



# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 157765**

**TO: Tamthom Troung**  
**Location: REM-5B19&5C18**  
**Art Unit: 1624**  
**Friday, July 15, 2005**  
**Case Serial Number: 09/787426**

**From: John DiNatale**  
**Location: Biotech-Chem Library**  
**REM-1B65**  
**Phone: (571)272-2557**

**john.dinatale@uspto.gov**

### **Search Notes**

Examiner Troung,

See attached results.

If you have any questions about this search feel free to contact me at any time.

Thank you for using STIC search services!

John DiNatale  
Technical Information Specialist  
STIC Biotech/Chem Library  
(571)272-2557



# STIC SEARCH RESULTS FEEDBACK FORM

## Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact:***

Mary Hale, Information Branch Supervisor  
Remsen Bldg. 01 D86  
571-272-2507

## Voluntary Results Feedback Form

➤ I am an examiner in Workgroup:  Example: 1610

➤ Relevant prior art **found**, search results used as follows:

- ☐ 102 rejection
- ☐ 103 rejection
- ☐ Cited as being of interest.
- ☐ Helped examiner better understand the invention.
- ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature  
(journal articles, conference proceedings, new product announcements etc.)

➤ Relevant prior art **not found**:

- ☐ Results verified the lack of relevant prior art (helped determine patentability).
- ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC-Biotech-Chem Library, Remsen Bldg.





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## Request a Search

Search requests relating to published applications, patent families, and litigation may be submitted by filling out this form and clicking on "Send."

For all other search requests, fill out the form, print, and submit the printout with any attachments to the STIC facility serving your Technology Center.

### Tech Center:

- ☒ TC 1600   ☐ TC 1700   ☐ TC 2100   ☐ TC 2600   ☐ TC 2800  
☐ TC2900   ☐ TC 3600   ☐ TC 3700   ☐ Law Lib   ☐ Other

### Enter your Contact Information below:

Name:

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Enter the case serial number (Required):

If not related to a patent application, please enter NA here.

Class / Subclass(es)

Earliest Priority Filing Date:

### Format preferred for results:

☒ Paper   ☐ Diskette   ☐ E-mail

### Provide detailed information on your search topic:

#### Enter your Search Topic Information below:

PLEASE SEARCH CLAIMS 27, 33 AND 39 (SPECIES).

THANK YOU.

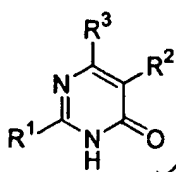
## AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

## Listing of Claims:

Claims 1-26: (Canceled)

Claim 27: (Currently Amended) A pyrimidone compound represented by formula (I) or a pharmaceutically acceptable salt thereof, or a solvate thereof or a hydrate thereof:



(I)

544/320

514/269

↪ also search for tautomer

wherein

R<sup>1</sup> represents a group represented by -N(R<sup>4</sup>)-W-R<sup>5</sup> wherein

R<sup>4</sup> represents a hydrogen atom;

R<sup>5</sup> represents a C<sub>1</sub>-C<sub>18</sub> alkyl group which may be substituted, a C<sub>3</sub>-C<sub>18</sub> alkenyl group which may be substituted, a C<sub>3</sub>-C<sub>18</sub> alkynyl group which may be substituted, a C<sub>3</sub>-C<sub>8</sub> cycloalkyl group which may be substituted, or a C<sub>6</sub>-C<sub>14</sub> aryl group which may be substituted, and

symbol "W" represents a single bond, a carbonyl group, a sulfonyl group, NH or a nitrogen atom ~~which may be~~ substituted with a C<sub>1</sub>-C<sub>18</sub> alkyl group which may be substituted;

$R^2$  represents a hydrogen atom or ~~hydroxyl group, an unsubstituted, linear C<sub>1</sub>-C<sub>8</sub> alkyl group, a C<sub>2</sub>-C<sub>8</sub> alkenyl group which may be substituted, a C<sub>2</sub>-C<sub>8</sub> cycloalkyl group which may be substituted, a C<sub>1</sub>-C<sub>8</sub> alkyloxy group which may be substituted, a C<sub>2</sub>-C<sub>8</sub> cycloalkyloxy group which may be substituted, a C<sub>6</sub>-C<sub>14</sub> aryloxy group which may be substituted, a C<sub>1</sub>-C<sub>8</sub> alkylthio group which may be substituted, a halogen atom, nitro group, cyano group, an amino group which may be substituted, carboxyl group, a C<sub>1</sub>-C<sub>8</sub> alkylloxycarbonyl group which may be substituted, a C<sub>2</sub>-C<sub>8</sub> cycloalkylloxycarbonyl group which may be substituted, carbamoyl group, a C<sub>1</sub>-C<sub>8</sub> alkylaminocarbonyl group which may be substituted, or a C<sub>1</sub>-C<sub>8</sub> dialkylaminocarbonyl group which may be substituted; and~~

$R^3$  represents a 4-pyridyl group which may be substituted.

Claim 28: (Previously Presented) The pyrimidone compound or the pharmaceutically acceptable salt thereof, or the solvate thereof, or the hydrate thereof according to claim 27 wherein  $R^5$  represents a C<sub>1</sub>-C<sub>18</sub> alkyl group substituted with a C<sub>6</sub>-C<sub>10</sub> aryl.

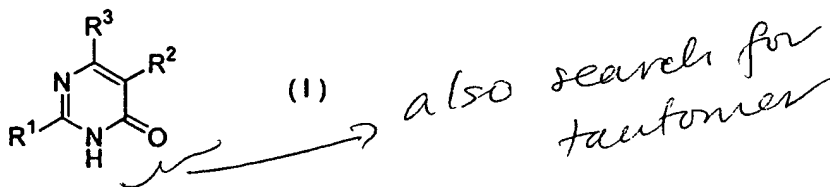
Claim 29 (Canceled)

Claim 30: (Currently Amended) The pyrimidone compound or the pharmaceutically acceptable salt thereof, or the solvate thereof, or the hydrate thereof according to claim 29 27 wherein  $R^2$  represents a hydrogen atom.

Claim 31: (Previously Presented) The pyrimidone compound or the pharmaceutically acceptable salt thereof, or the solvate thereof, or the hydrate thereof according to claim 27 wherein the symbol "W" represents a single bond or a carbonyl group.

Claim 32: (Previously Presented) The pyrimidone compound or the pharmaceutically acceptable salt thereof, or the solvate thereof, or the hydrate thereof according to claim 31 wherein the symbol "W" represents a single bond.

Claim 33: (Currently Amended) A pyrimidone compound represented by formula (I) or a pharmaceutically acceptable salt thereof, or a solvate thereof or a hydrate thereof:



wherein  $R^1$  represents a group represented by  $-N(R^4)-W-R^5$  wherein

$R^4$  represents a hydrogen atom, a  $C_1$ - $C_{18}$  alkyl group which may be substituted, a  $C_3$ - $C_{18}$  alkenyl group which may be substituted, a  $C_3$ - $C_{18}$  alkynyl group which may be substituted, a  $C_3$ - $C_8$  cycloalkyl group which may be substituted, or a  $C_6$ - $C_{14}$  aryl group which may be substituted,

$R^5$  represents an alkyl group which may be substituted, said alkyl group being one of ethyl group, n-propyl group, isopropyl group, n-butyl group, isobutyl group, sec-butyl group, tert-butyl group, n-pentyl group, isopentyl group, neopentyl group, 1,1-dimethylpropyl group, n-hexyl group, isohexyl group, a linear or branched heptyl group, octyl group, nonyl group, decyl group, undecyl group, dodecyl group, tridecyl group, tetradecyl group, pentadecyl group or octadecyl group, a  $C_3$ - $C_{18}$  alkenyl group which may be substituted, a  $C_3$ - $C_{18}$  alkynyl group

which may be substituted, a C<sub>3</sub>-C<sub>8</sub> cycloalkyl group which may be substituted, or a C<sub>6</sub>-C<sub>14</sub> aryl group which may be substituted, and

symbol "W" represents a single bond, a carbonyl group, a sulfonyl group, NH or a nitrogen atom ~~which may be substituted~~ with a C<sub>1</sub>-C<sub>18</sub> alkyl group which may be substituted;

R<sup>2</sup> represents a hydrogen atom ~~or, hydroxyl group, an unsubstituted, linear C<sub>1</sub>-C<sub>8</sub> alkyl group, a C<sub>3</sub>-C<sub>8</sub> alkenyl group which may be substituted, a C<sub>3</sub>-C<sub>8</sub> cycloalkyl group which may be substituted, a C<sub>1</sub>-C<sub>8</sub> alkyloxy group which may be substituted, a C<sub>3</sub>-C<sub>8</sub> cycloalkyloxy group which may be substituted, a C<sub>6</sub>-C<sub>14</sub> aryloxy group which may be substituted, a C<sub>1</sub>-C<sub>8</sub> alkylthio group which may be substituted, a halogen atom, nitro group, cyano group, an amino group which may be substituted, carboxyl group, a C<sub>1</sub>-C<sub>8</sub> alkylloxycarbonyl group which may be substituted, a C<sub>3</sub>-C<sub>8</sub> cycloalkyloxycarbonyl group which may be substituted, carbamoyl group, a C<sub>1</sub>-C<sub>8</sub> alkylaminocarbonyl group which may be substituted, or a C<sub>1</sub>-C<sub>8</sub> dialkylaminocarbonyl group which may be substituted; and~~

R<sup>3</sup> represents a 4-pyridyl group which may be substituted.

Claim 34 (Canceled)

Claim 35: (Currently Amended) The pyrimidone compound or the pharmaceutically acceptable salt thereof, or the solvate thereof, or the hydrate thereof according to claim 34 33 wherein R<sup>2</sup> represents a hydrogen atom.

Claim 36: (Previously Presented) The pyrimidone compound or the pharmaceutically acceptable salt thereof, or the solvate thereof, or the hydrate thereof according to claim 33 wherein the symbol "W" represents a single bond or a carbonyl group.

Claim 37: (Previously Presented) The pyrimidone compound or the pharmaceutically acceptable salt thereof, or the solvate thereof, or the hydrate thereof according to claim 36 wherein the symbol "W" represents a single bond.

Claim 38: (Previously Presented) The pyrimidone compound or a pharmaceutically acceptable salt thereof, or a solvate thereof or a hydrate thereof according to claim 33 wherein R<sup>1</sup> represents N,N-diethylamino group, N,N-dipropylamino group, N-benzyl-N-methylamino group, N-isobutyl-N-methylamino group, N-benzylamino group, N-(3-hydroxypropyl)amino group, N-cyclohexylmethylamino group, N-phenylamino group, N-(4-ethylphenyl)amino group, N-(3-bromophenyl)amino group or N-(3-methoxyphenyl)amino group.

~~X~~ Claim 39: (Previously Presented) A pyrimidone compound which is selected from the group consisting of:

- 2-(N-phenylamino)-6-(4-pyridyl)pyrimidin-4-one,
- 2-(N,N-diethylamino)-6-(4-pyridyl)pyrimidin-4-one,
- 2-(N,N-dipropylamino)-6-(4-pyridyl)pyrimidin-4-one,
- 2-(N-benzylamino)-6-(4-pyridyl)pyrimidin-4-one,
- 2-(N-benzyl-N-methylamino)-6-(4-pyridyl)pyrimidin-4-one,
- 2-(N-(3-bromophenyl)amino)-6-(4-pyridyl)pyrimidin-4-one,
- 2-(N-(4-ethylphenyl)amino)-6-(4-pyridyl)pyrimidin-4-one,

2-(N-(3-methoxyphenyl)amino)-6-(4-pyridyl)pyrimidin-4-one,

2-(N-cyclohexylmethylamino)-6-(4-pyridyl)pyrimidin-4-one, and

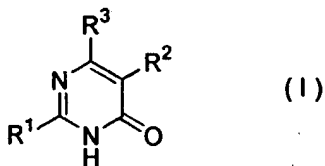
2-(N-isobutyl-N-methylamino)-6-(4pyridyl)pyrimidin-4-one,

or a pharmaceutically acceptable salt thereof, or a solvate thereof or a hydrate thereof.

Claim 40: (Previously Presented) A pharmaceutical composition comprising as an active ingredient a substance selected from the group consisting of the pyrimidone compound or a pharmaceutically acceptable salt thereof, or a solvate thereof or a hydrate thereof according to claim 27.

Claim 41: (Previously Presented) A pharmaceutical composition comprising as an active ingredient a substance selected from the group consisting of the pyrimidone compound or a pharmaceutically acceptable salt thereof, or a solvate thereof or a hydrate thereof according to claim 33.

Claim 42: (Currently Amended) A method for therapeutic treatment of Alzheimer disease, which comprises administering to a patient a therapeutically effective amount of a substance selected from the group consisting of a pyrimidone compound represented by formula (I) or a pharmaceutically acceptable salt thereof, or a solvate thereof or a hydrate thereof:



wherein

$R^1$  represents a group represented by  $-N(R^4)-W-R^5$  wherein

$R^4$  and  $R^5$  independently represent a hydrogen atom, a  $C_1$ - $C_{18}$  alkyl group which may be substituted, a  $C_3$ - $C_{18}$  alkenyl group which may be substituted, a  $C_3$ - $C_{18}$  alkynyl group which may be substituted, a  $C_3$ - $C_8$  cycloalkyl group which may be substituted, or a  $C_6$ - $C_{14}$  aryl group which may be substituted, and

symbol "W" represents a single bond, a carbonyl group, a sulfonyl group, NH or a nitrogen atom ~~which may be substituted~~ with a  $C_1$ - $C_{18}$  alkyl group which may be substituted;

$R^2$  represents a hydrogen atom or ~~hydroxyl group, an unsubstituted  $C_1$ - $C_8$  alkyl group, a  $C_3$ - $C_8$  alkenyl group which may be substituted, a  $C_3$ - $C_8$  cycloalkyl group which may be substituted, a  $C_1$ - $C_8$  alkyloxy group which may be substituted, a  $C_3$ - $C_8$  cycloalkyloxy group which may be substituted, a  $C_6$ - $C_{14}$  aryloxy group which may be substituted, a  $C_1$ - $C_8$  alkylthio group which may be substituted, a halogen atom, nitro group, cyano group, an amino group which may be substituted, carboxyl group, a  $C_1$ - $C_8$  alkylloxycarbonyl group which may be substituted, a  $C_3$ - $C_8$  cycloalkyloxycarbonyl group which may be substituted, carbamoyl group, a  $C_1$ - $C_8$  alkylaminocarbonyl group which may be substituted, or a  $C_1$ - $C_8$  dialkylaminocarbonyl group which may be substituted; and~~

$R^3$  represents a pyridyl group which may be substituted.

*FULL HISTORY - (see individual database results  
for specific queries.)*

Truong 09\_787426

07/14/2005

=> d his full

(FILE 'HOME' ENTERED AT 11:35:06 ON 14 JUL 2005)

L1 FILE 'CAPLUS' ENTERED AT 11:35:16 ON 14 JUL 2005  
STRUCTURE UPLOADED  
S L1

L2 FILE 'REGISTRY' ENTERED AT 11:35:57 ON 14 JUL 2005  
7 SEA SSS SAM L1

L3 FILE 'CAPLUS' ENTERED AT 11:35:57 ON 14 JUL 2005  
2 SEA ABB=ON PLU=ON L2

FILE 'REGISTRY' ENTERED AT 11:36:38 ON 14 JUL 2005  
D COST  
D SCA L2

FILE 'CAPLUS' ENTERED AT 11:38:22 ON 14 JUL 2005  
D SCA L3

L4 FILE 'REGISTRY' ENTERED AT 11:40:02 ON 14 JUL 2005  
D SCA L2  
142 SEA SSS FUL L1

L5 FILE 'CAPLUS' ENTERED AT 11:41:52 ON 14 JUL 2005  
11 SEA ABB=ON PLU=ON L4

FILE 'REGISTRY' ENTERED AT 11:42:36 ON 14 JUL 2005

L6 FILE 'MEDLINE' ENTERED AT 11:48:34 ON 14 JUL 2005  
0 SEA ABB=ON PLU=ON L4

L7 FILE 'EMBASE' ENTERED AT 11:48:52 ON 14 JUL 2005  
0 SEA ABB=ON PLU=ON L4

L8 FILE 'BIOSIS' ENTERED AT 11:49:05 ON 14 JUL 2005  
0 SEA ABB=ON PLU=ON L4

L9 FILE 'MARPAT' ENTERED AT 11:49:52 ON 14 JUL 2005  
1 SEA SSS SAM L1  
D SCA

L10 22 SEA SSS FUL L1

L11 16 SEA ABB=ON PLU=ON L10 NOT L5  
D COST

L12 FILE 'CAPLUS, MARPAT' ENTERED AT 11:57:11 ON 14 JUL 2005  
27 DUP REM L5 L10 (6 DUPLICATES REMOVED)  
ANSWERS '1-11' FROM FILE CAPLUS  
ANSWERS '12-27' FROM FILE MARPAT  
D COST

FILE 'REGISTRY' ENTERED AT 12:00:46 ON 14 JUL 2005

FILE 'CAPLUS' ENTERED AT 12:01:01 ON 14 JUL 2005

FILE 'STNGUIDE' ENTERED AT 12:06:34 ON 14 JUL 2005  
D QUE L12  
D STAT QUE L12

FILE 'REGISTRY' ENTERED AT 12:14:26 ON 14 JUL 2005

FILE 'CAPLUS' ENTERED AT 12:15:08 ON 14 JUL 2005  
D QUE STAT L12

FILE 'CAPLUS, MARPAT' ENTERED AT 12:21:45 ON 14 JUL 2005

FILE 'CAPLUS' ENTERED AT 12:22:29 ON 14 JUL 2005

FILE 'CAPLUS, MARPAT' ENTERED AT 12:22:50 ON 14 JUL 2005  
D IBIB ABS HITSTR L12 1-11

FILE 'CAPLUS' ENTERED AT 12:23:02 ON 14 JUL 2005

FILE 'REGISTRY' ENTERED AT 12:28:43 ON 14 JUL 2005

FILE 'CAPLUS' ENTERED AT 12:28:51 ON 14 JUL 2005

FILE 'MARPAT' ENTERED AT 12:28:55 ON 14 JUL 2005  
D QUERY STAT L12

FILE 'MARPAT' ENTERED AT 12:32:50 ON 14 JUL 2005

FILE 'CAPLUS, MARPAT' ENTERED AT 12:34:01 ON 14 JUL 2005  
D IBIB ABS QHIT 12-27 L12

FILE 'MARPAT' ENTERED AT 12:34:37 ON 14 JUL 2005

FILE 'MEDLINE' ENTERED AT 12:36:52 ON 14 JUL 2005  
D QUE STAT L6

FILE 'EMBASE' ENTERED AT 12:38:01 ON 14 JUL 2005  
D QUE STAT L7

FILE 'BIOSIS' ENTERED AT 12:38:35 ON 14 JUL 2005  
D QUE STAT L8

FILE HOME

FILE CAPLUS

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FILE COVERS 1907 - 14 Jul 2005 VOL 143 ISS 3  
FILE LAST UPDATED: 13 Jul 2005 (20050713/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate

substance identification.

#### FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 JUL 2005 HIGHEST RN 854992-86-2

DICTIONARY FILE UPDATES: 13 JUL 2005 HIGHEST RN 854992-86-2

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

#### FILE MEDLINE

FILE LAST UPDATED: 13 JUL 2005 (20050713/UP). FILE COVERS 1950 TO DATE.

On December 19, 2004, the 2005 MeSH terms were loaded.

The MEDLINE reload for 2005 is now available. For details enter HELP RLOAD at an arrow prompt (=>). See also:

<http://www.nlm.nih.gov/mesh/>

[http://www.nlm.nih.gov/pubs/techbull/nd04/nd04\\_mesh.html](http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html)

OLDMEDLINE now back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

#### FILE EMBASE

FILE COVERS 1974 TO 7 Jul 2005 (20050707/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS  
FILE COVERS 1969 TO DATE.  
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT  
FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 8 July 2005 (20050708/ED)

FILE RELOADED: 19 October 2003.

FILE MARPAT  
FILE CONTENT: 1988-PRESENT (VOL 143 ISS 02) (20050708/ED)

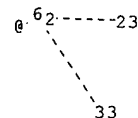
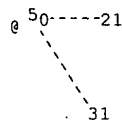
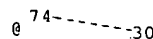
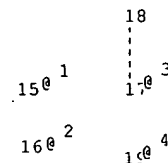
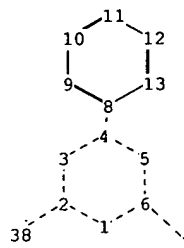
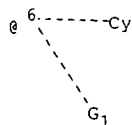
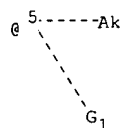
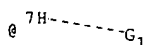
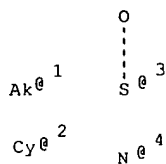
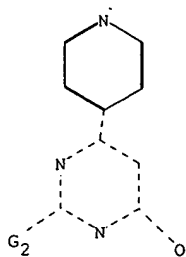
MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6878716 12 APR 2005  
DE 2020040200 14 APR 2005  
EP 1524261 20 APR 2005  
JP 2005097299 14 APR 2005  
WO 2005051891 09 JUN 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

FILE STNGUIDE  
FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Jul 8, 2005 (20050708/UP).



chain nodes :

7 15 16 17 18 19 20 21 22 23 24 30 31 33 38

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13

chain bonds :

2-38 4-8 6-7 17-18 20-21 20-31 22-23 22-33 24-30

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13

exact/norm bonds :

1-2 1-6 2-3 2-38 3-4 4-5 4-8 5-6 6-7 17-18 20-21 20-31 22-23 22-33 24-30

normalized bonds :

8-9 8-13 9-10 10-11 11-12 12-13

G1: [\*1], [\*2], [\*3], [\*4]

G2: [\*5], [\*6], [\*7]

Connectivity :

1:2 E exact RC ring/chain 2:3 E exact RC ring/chain 4:3 E exact RC ring/chain

5:2 E exact RC ring/chain 6:3 E exact RC ring/chain 7:1 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom

12:Atom 13:Atom 15:CLASS 16:Atom 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS

22:CLASS 23:Atom 24:CLASS 30:CLASS 31:CLASS 33:CLASS 38:CLASS

**THIS PAGE BLANK (USPTO)**

=> file registry

FILE 'REGISTRY' ENTERED AT 12:28:43 ON 14 JUL 2005  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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STRUCTURE FILE UPDATES: 13 JUL 2005 HIGHEST RN 854992-86-2  
DICTIONARY FILE UPDATES: 13 JUL 2005 HIGHEST RN 854992-86-2

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

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information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> file caplus

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FILE LAST UPDATED: 13 Jul 2005 (20050713/ED)

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Ak 14

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16

Cy 15

N 18

M1 N ~~~~~ G1 24  
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Page 2-A

N ~~~~~ Ak  
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Page 3-A

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VAR G2=19/21/23

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## GRAPH ATTRIBUTES:

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NUMBER OF NODES IS 27

## STEREO ATTRIBUTES: NONE

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12-27 from MARPAT*

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YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS, MARPAT' - CONTINUE? (Y)/N:y

L12 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2001:713340 CAPLUS

DOCUMENT NUMBER: 135:272981

TITLE: Preparation of 2-(arylalkylamino)pyrimidones and 2-(heteroarylalkylamino)pyrimidones for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3 $\beta$ 

INVENTOR(S): Almario Garcia, Antonio; Ando, Ryoichi; Aritomo, Keiichi; Frost, Jonathan Reid; Li, Adrien Tak; Shoda, Aya; Uehara, Fumiaki; Watanabe, Kazutoshi

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

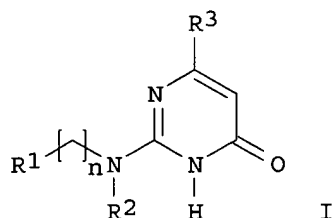
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO.             | KIND                                                                                                                                                                                                                                                                                                                                                                               | DATE     | APPLICATION NO. | DATE       |
|------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|------------|
| WO 2001070727          | A1                                                                                                                                                                                                                                                                                                                                                                                 | 20010927 | WO 2001-EP3638  | 20010322   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG                                                                                                                                                                                             |          |                 |            |
| EP 1136484             | A1                                                                                                                                                                                                                                                                                                                                                                                 | 20010926 | EP 2000-400804  | 20000323   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO                                                                                                                                                                                                                                                                                             |          |                 |            |
| EP 1136099             | A1                                                                                                                                                                                                                                                                                                                                                                                 | 20010926 | EP 2000-400805  | 20000323   |
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| EP 1136491             | A1                                                                                                                                                                                                                                                                                                                                                                                 | 20010926 | EP 2000-400806  | 20000323   |
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| JP 2001270884          | A2                                                                                                                                                                                                                                                                                                                                                                                 | 20011002 | JP 2000-81938   | 20000323   |
| AU 2001048365          | A5                                                                                                                                                                                                                                                                                                                                                                                 | 20011003 | AU 2001-48365   | 20010322   |
| PRIORITY APPLN. INFO.: |                                                                                                                                                                                                                                                                                                                                                                                    |          | EP 2000-400804  | A 20000323 |
|                        |                                                                                                                                                                                                                                                                                                                                                                                    |          | EP 2000-400805  | A 20000323 |
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OTHER SOURCE(S): MARPAT 135:272981

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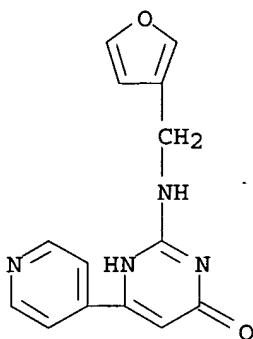
AB The title compds. [I; R2 = H, perhalogenated alkyl, (un)substituted alkyl; R3 = 2-, 3- or 4-pyridyl optionally substituted by alkyl, alkoxy or a halogen; and when n = 1-10, the R1 = unsubstituted naphth-1-yl, unsubstituted naphth-2-yl, aryl, etc.; when n = 4-10 then R1 can represent in addition an unsubstituted Ph; and when n = 1-3 and R1 = unsubstituted Ph then R2 = perhalogenated alkyl or substituted alkyl] and their pharmaceutically acceptable salts which are used for preventive and/or therapeutic treatment of a neurodegenerative diseases caused by abnormal activity of GSK3 $\beta$ , were prepared and formulated. The compds. I were synthesized by reacting Et 3-(4-pyridyl)-3-oxopropionate (preparation given) with R1(CH2) $n$ NR2C(:NH)NH2 or by reacting 2-(methylthio)-6-(pyridin-4-yl)pyrimidin-4(1H)-one (preparation given) with R1(CH2) $n$ NHR2. The compds. I such as I [R1 = 3,4-(MeO)2C6H3; R2 = H; R3 = 4-pyridyl] showed IC50's of 0.01-10  $\mu$ M against GSK3 $\beta$ .

IT 361484-66-4P 361484-67-5P 361484-68-6P  
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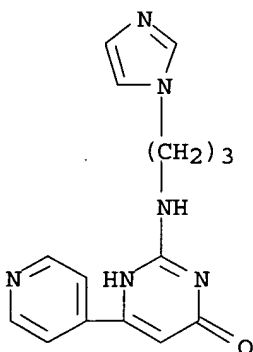
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
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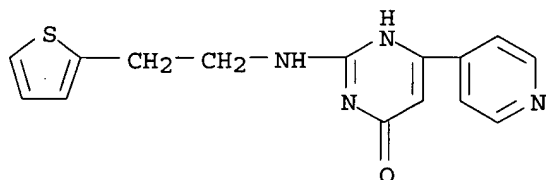
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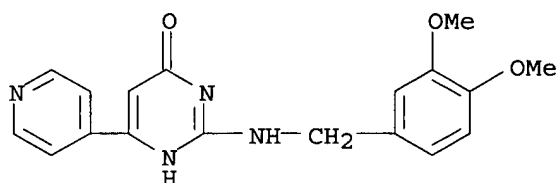
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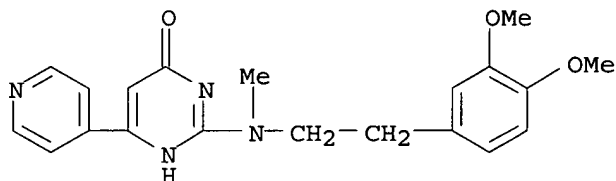
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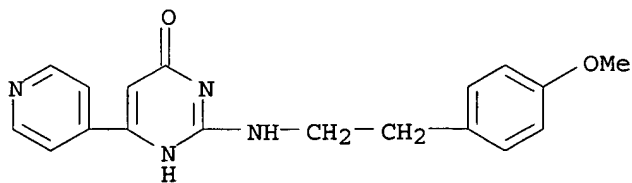
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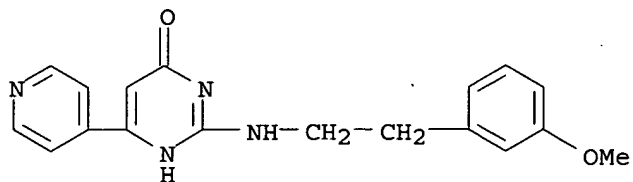
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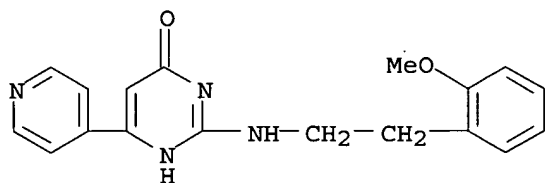
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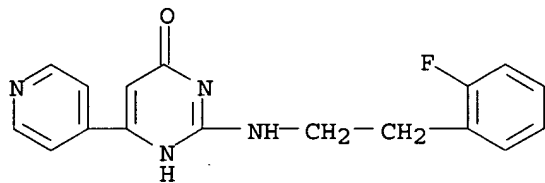
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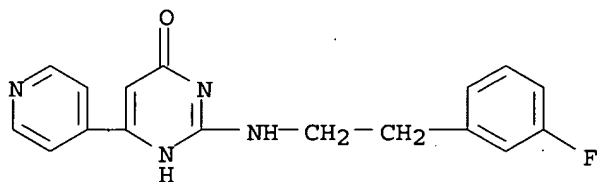
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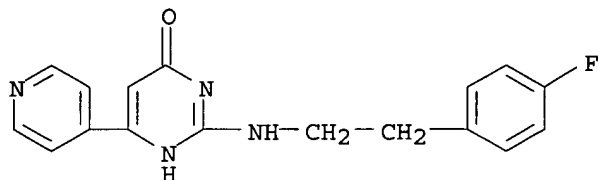
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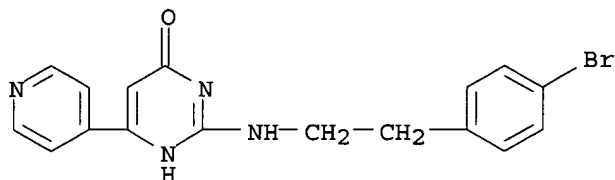
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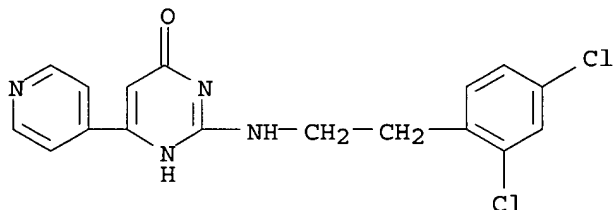
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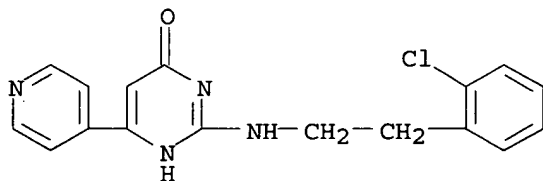
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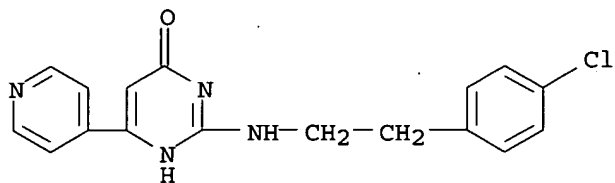
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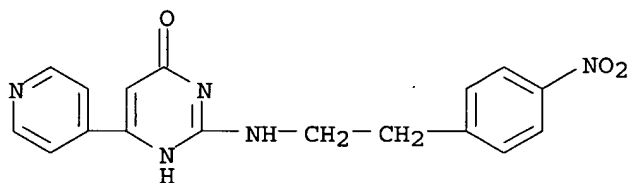
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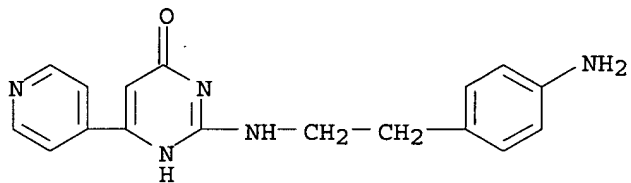
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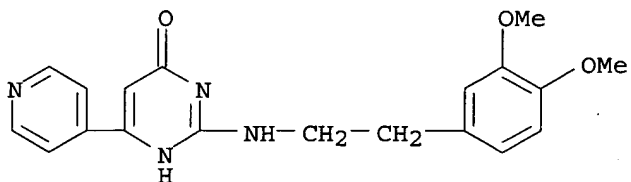
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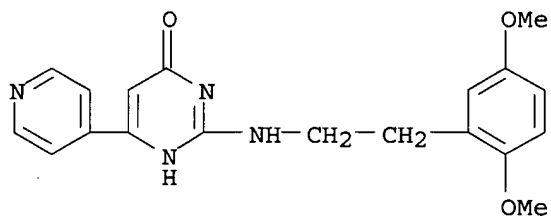
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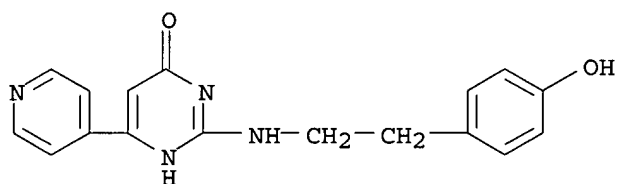
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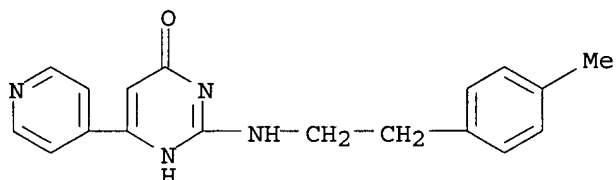
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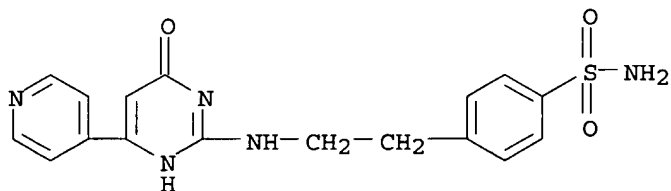
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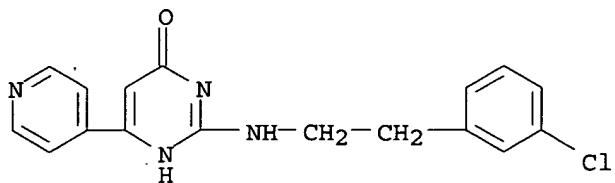
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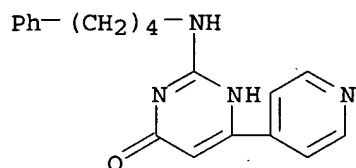
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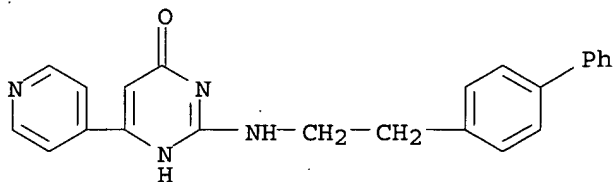
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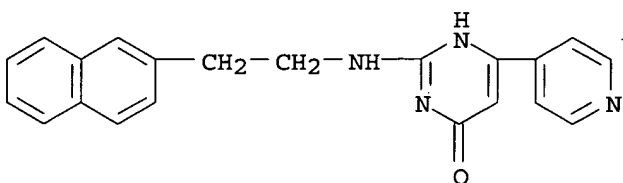
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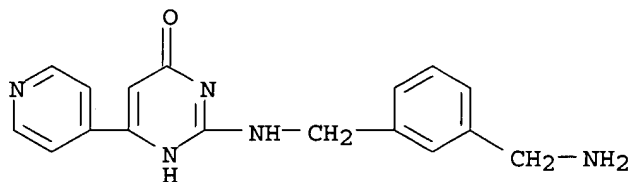
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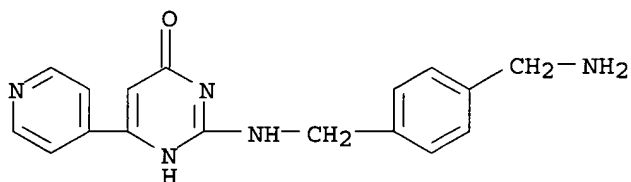
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RN 361542-34-9 CAPLUS

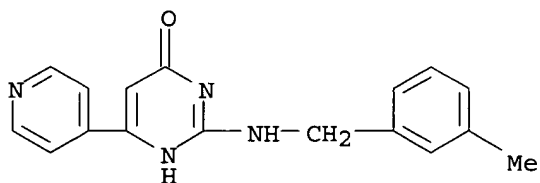
CN 4(1H)-Pyrimidinone, 2-[[[4-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

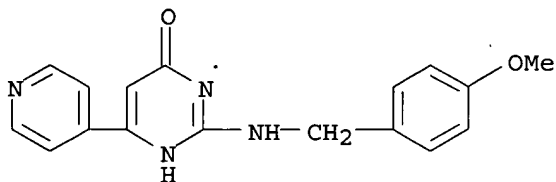
RN 361542-35-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-methylphenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

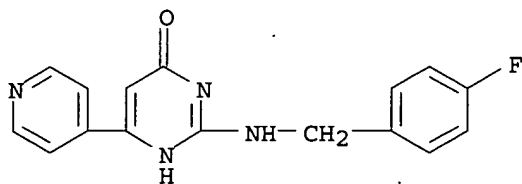


RN 361542-36-1 CAPLUS

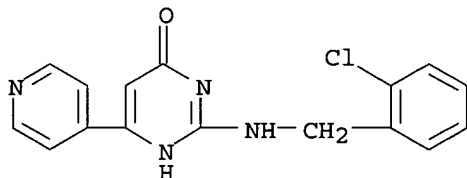
CN 4(1H)-Pyrimidinone, 2-[[[4-methoxyphenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



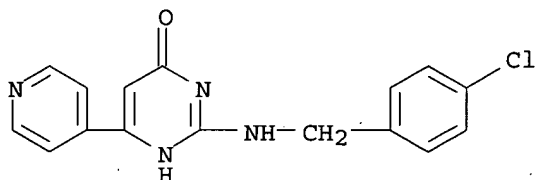
RN 361542-37-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-fluorophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

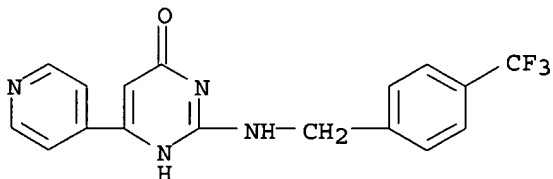
RN 361542-38-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[2-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

RN 361542-39-4 CAPLUS

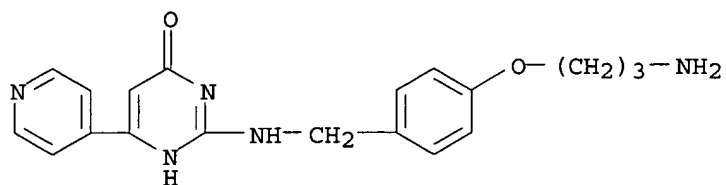
CN 4(1H)-Pyrimidinone, 2-[[[4-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

RN 361542-40-7 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[4-(trifluoromethyl)phenyl)methyl]  
amino]- (9CI) (CA INDEX NAME)

RN 361542-41-8 CAPLUS

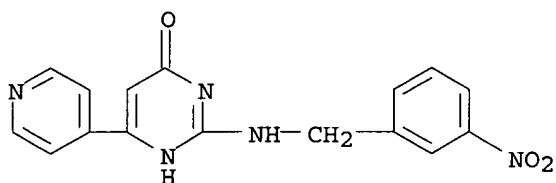
CN 4(1H)-Pyrimidinone, 2-[[[4-(3-aminopropoxy)phenyl)methyl]amino]-6-(4-  
pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

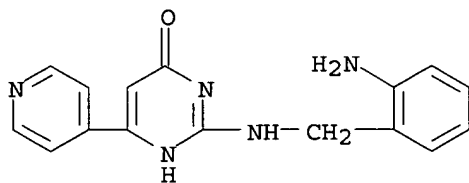
RN 361542-42-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[(3-nitrophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



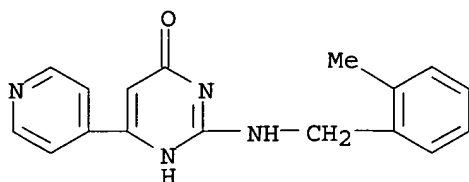
RN 361542-43-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[(2-aminophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-44-1 CAPLUS

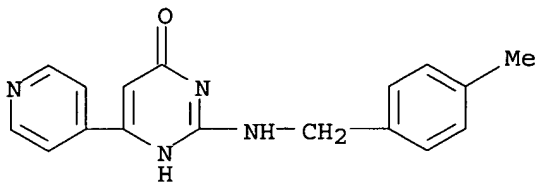
CN 4(1H)-Pyrimidinone, 2-[[[(2-methylphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



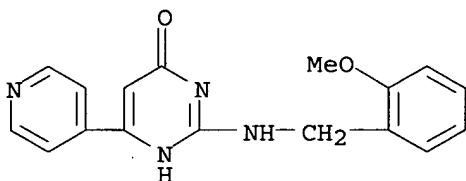
RN 361542-45-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[(4-methylphenyl)methyl]amino]-6-(4-pyridinyl)-

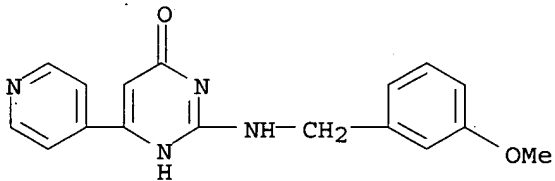
(9CI) (CA INDEX NAME)



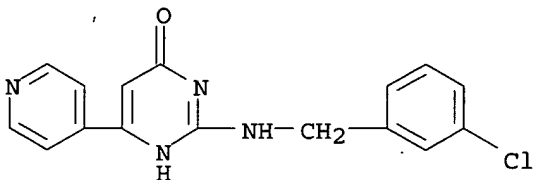
RN 361542-46-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[(2-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

RN 361542-47-4 CAPLUS

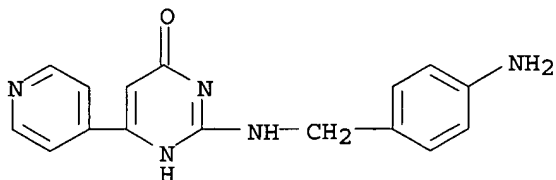
CN 4(1H)-Pyrimidinone, 2-[[[(3-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

RN 361542-48-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[(3-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

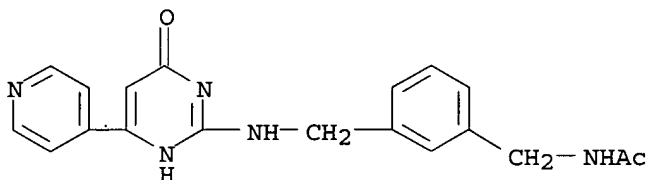
RN 361542-49-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[(4-aminophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



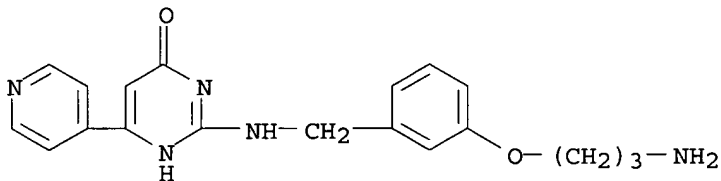
RN 361542-50-9 CAPLUS

CN Acetamide, N-[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 361542-51-0 CAPLUS

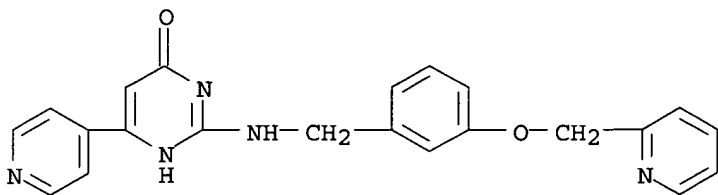
CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

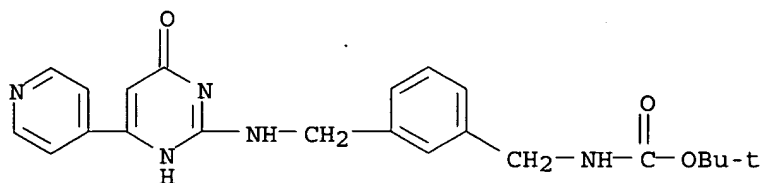
RN 361542-52-1 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(2-pyridinylmethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



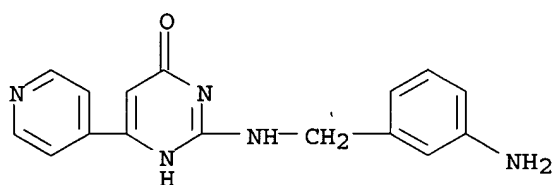
RN 361542-54-3 CAPLUS

CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



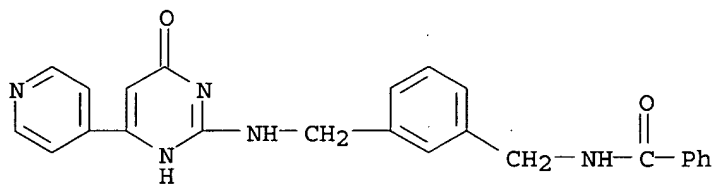
RN 361542-55-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[(3-aminophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



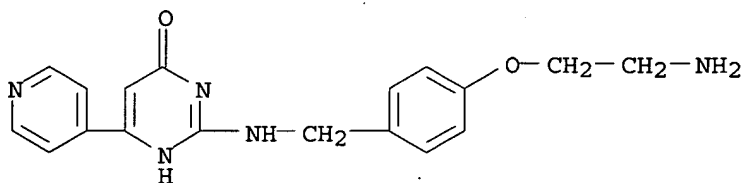
RN 361542-56-5 CAPLUS

CN Benzamide, N-[[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 361542-57-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

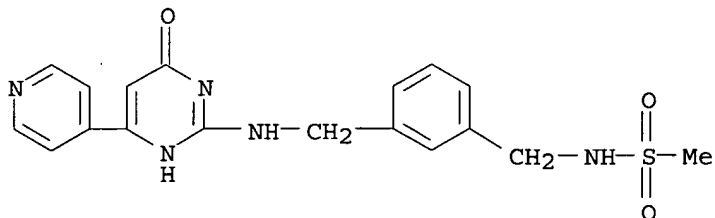


● 2 HCl

RN 361542-58-7 CAPLUS

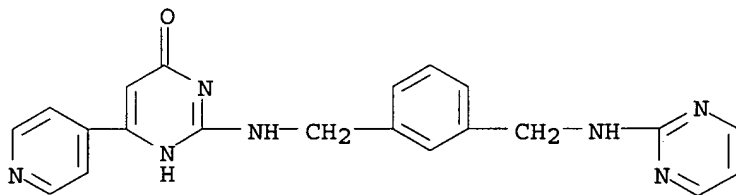
CN Methanesulfonamide, N-[[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-

pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



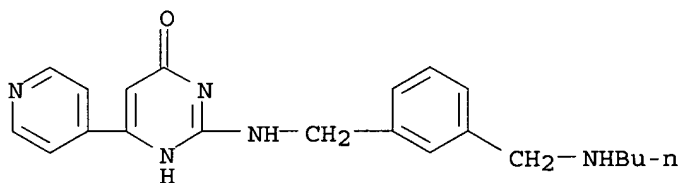
RN 361542-59-8 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[(2-pyrimidinylamino)methyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 361542-60-1 CAPLUS

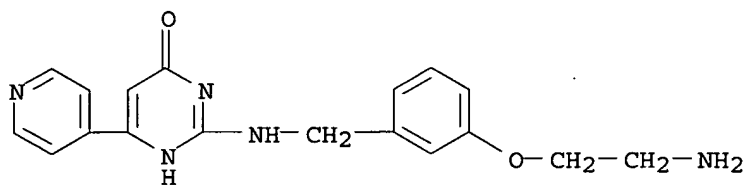
CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 361542-61-2 CAPLUS

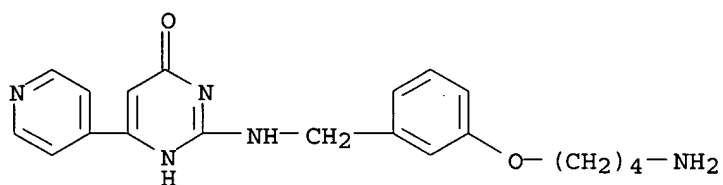
CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 361542-62-3 CAPLUS

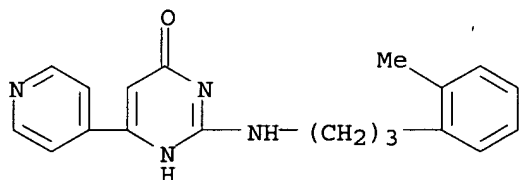
CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

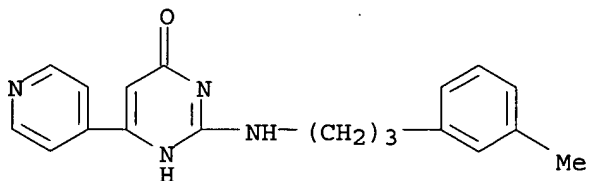
RN 361542-63-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-methylphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

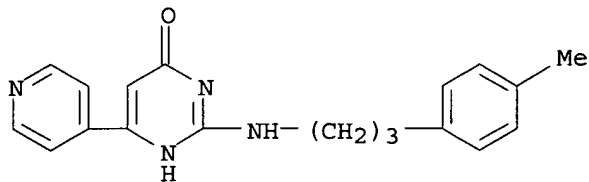


RN 361542-64-5 CAPLUS

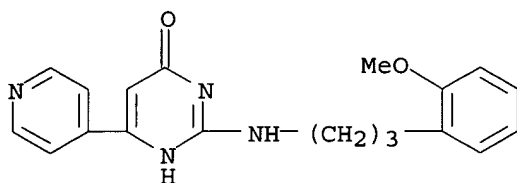
CN 4(1H)-Pyrimidinone, 2-[[3-(3-methylphenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



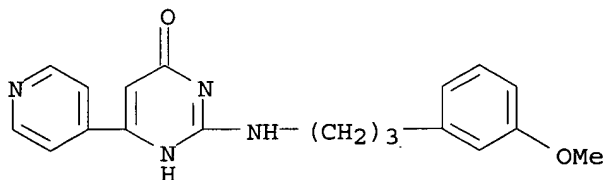
RN 361542-65-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(4-methylphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

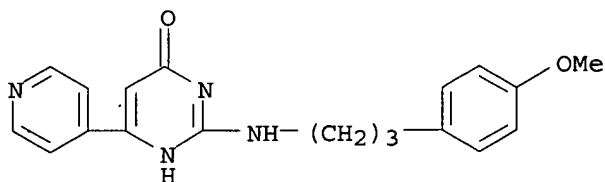
RN 361542-66-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

RN 361542-67-8 CAPLUS

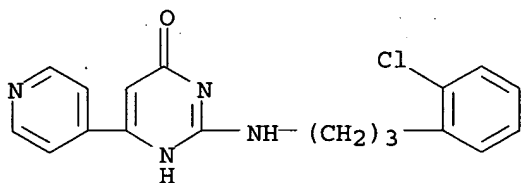
CN 4(1H)-Pyrimidinone, 2-[[3-(3-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

RN 361542-68-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(4-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

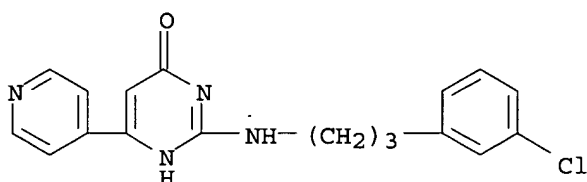
RN 361542-69-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



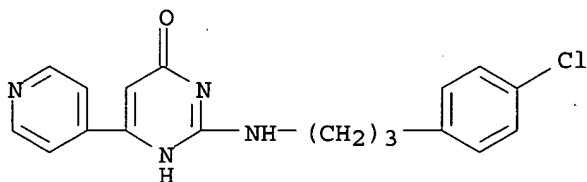
RN 361542-70-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



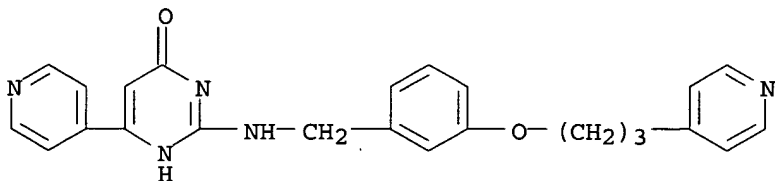
RN 361542-71-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(4-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



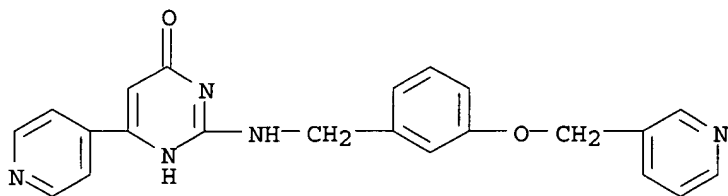
RN 361542-72-5 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(3-(4-pyridinyl)propoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



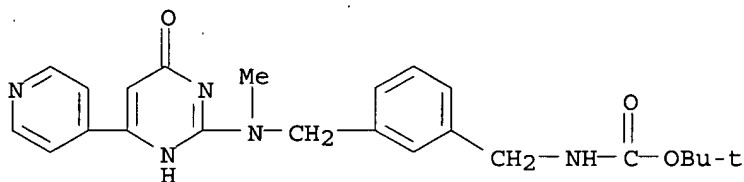
RN 361542-73-6 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(3-pyridinylmethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



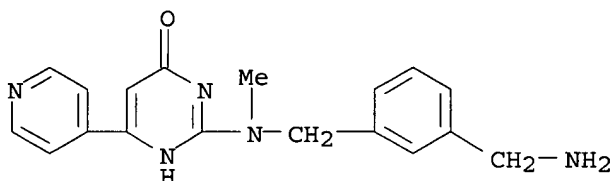
RN 361542-75-8 CAPLUS

CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]methylamino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 361542-76-9 CAPLUS

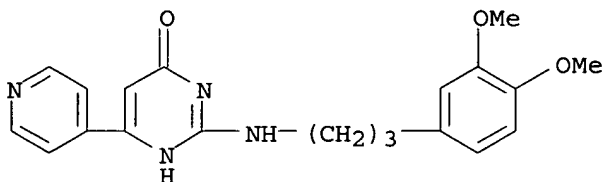
CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

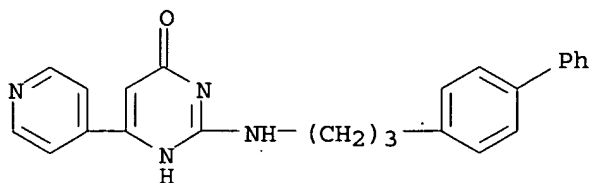
RN 361542-77-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(3,4-dimethoxyphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



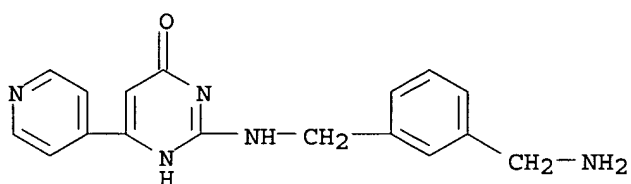
RN 361542-78-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(1,1'-biphenyl)-4-ylpropyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



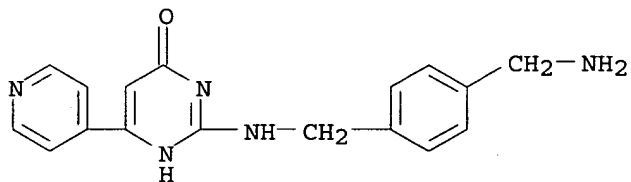
RN 361542-79-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



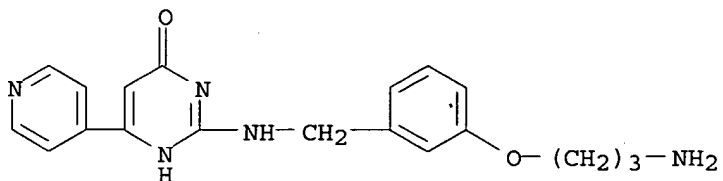
RN 361542-80-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



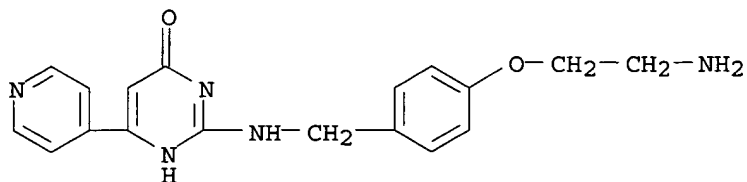
RN 361542-82-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



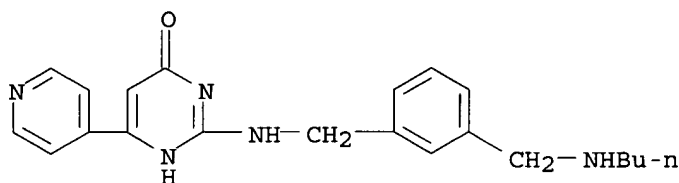
RN 361542-84-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



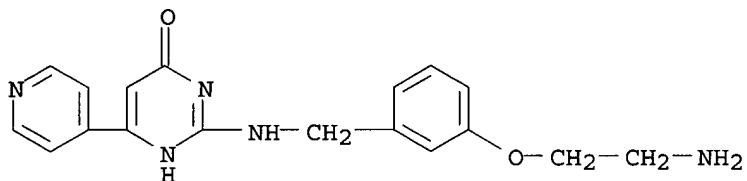
RN 361542-85-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



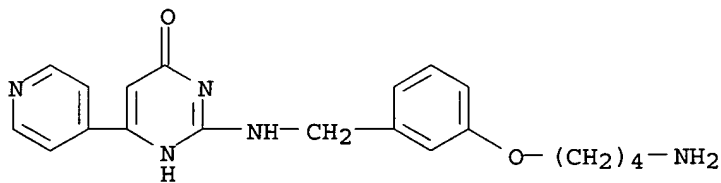
RN 361542-86-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



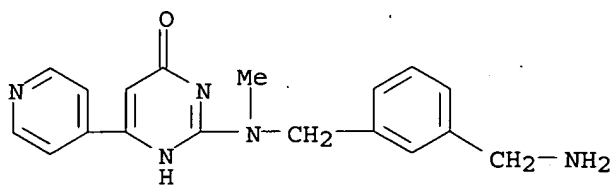
RN 361542-87-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



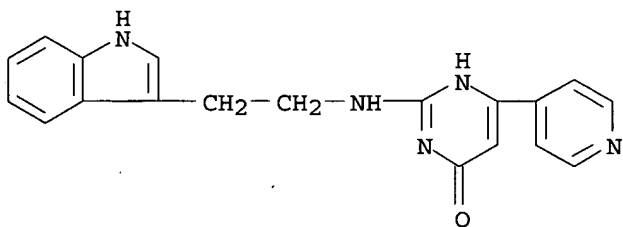
RN 361542-89-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



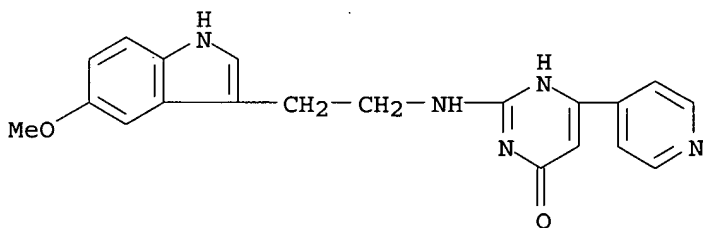
RN 362048-04-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



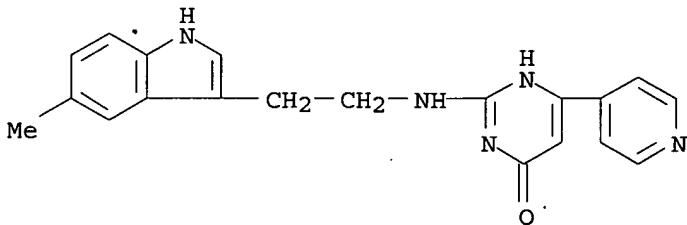
RN 362048-06-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(5-methoxy-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



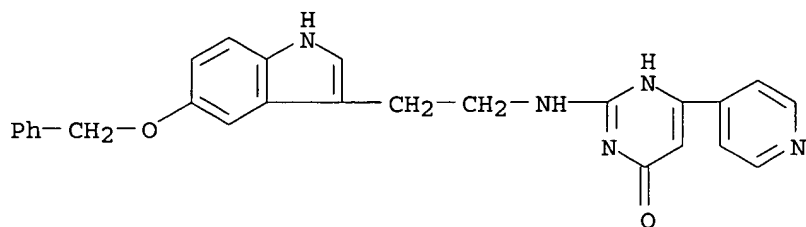
RN 362048-07-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(5-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



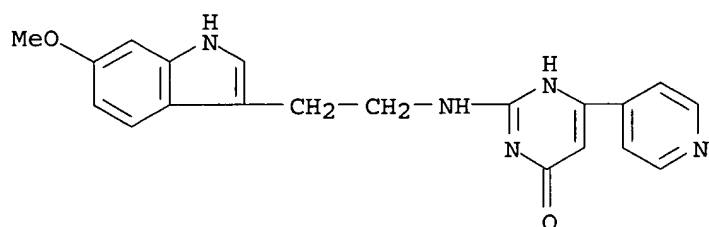
RN 362048-08-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-[5-(phenylmethoxy)-1H-indol-3-yl]ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



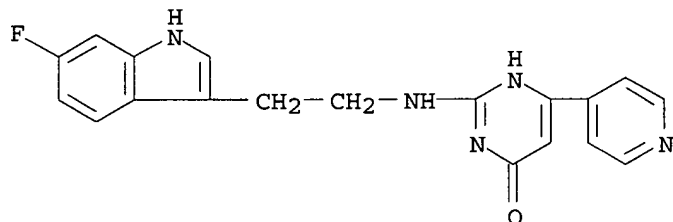
RN 362048-09-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-methoxy-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



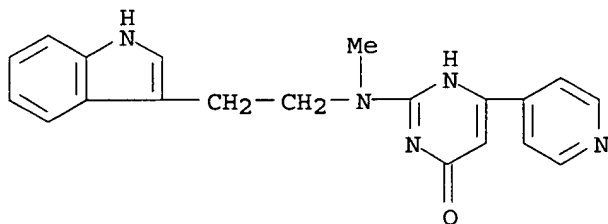
RN 362048-10-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-fluoro-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



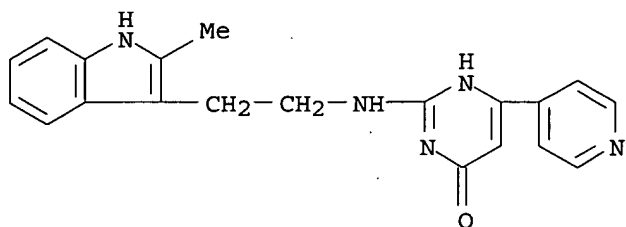
RN 362048-12-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



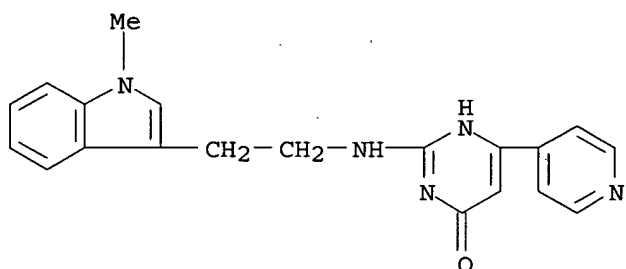
RN 362048-13-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



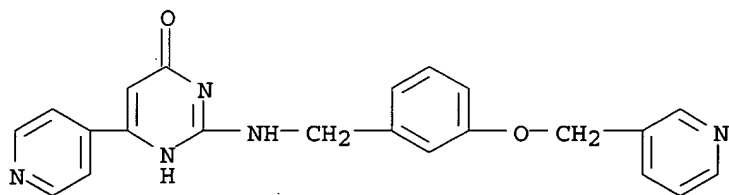
RN 362048-14-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362601-30-7 CAPLUS

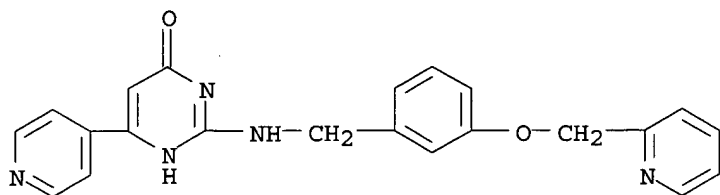
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(3-pyridinylmethoxy)phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 362601-35-2 CAPLUS

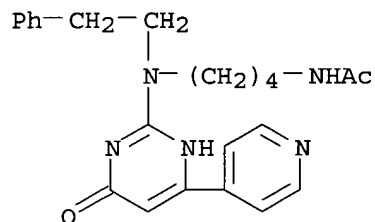
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(2-pyridinylmethoxy)phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

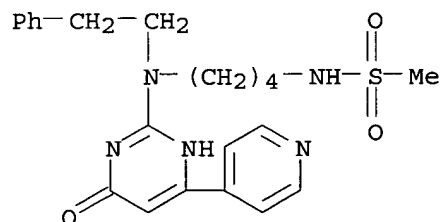
RN 362601-36-3 CAPLUS

CN Acetamide, N-[4-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl](2-phenylethyl)amino]butyl]- (9CI) (CA INDEX NAME)



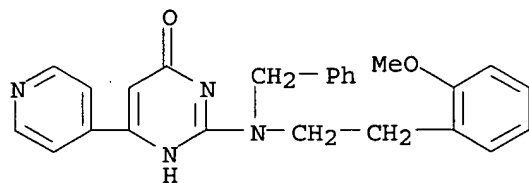
RN 362601-37-4 CAPLUS

CN Methanesulfonamide, N-[4-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl](2-phenylethyl)amino]butyl]- (9CI) (CA INDEX NAME)



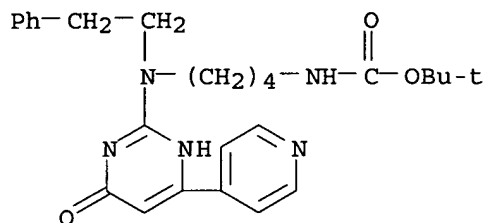
RN 362601-38-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-methoxyphenyl)ethyl](phenylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



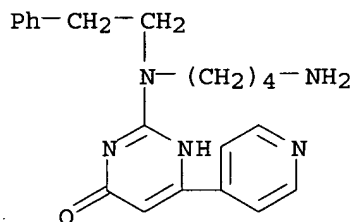
RN 362601-39-6 CAPLUS

CN Carbamic acid, [4-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl](2-phenylethyl)amino]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 362601-41-0 CAPLUS

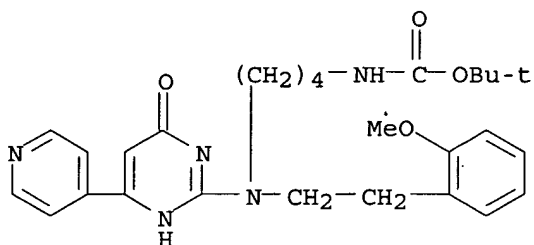
CN 4(1H)-Pyrimidinone, 2-[(4-aminobutyl)(2-phenylethyl)amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

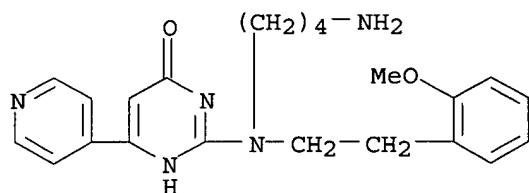
RN 362601-42-1 CAPLUS

CN Carbamic acid, [4-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl][2-(2-methoxyphenyl)ethyl]amino]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 362601-43-2 CAPLUS

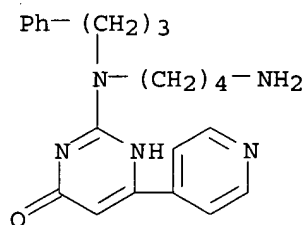
CN 4(1H)-Pyrimidinone, 2-[(4-aminobutyl)[2-(2-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 362601-44-3 CAPLUS

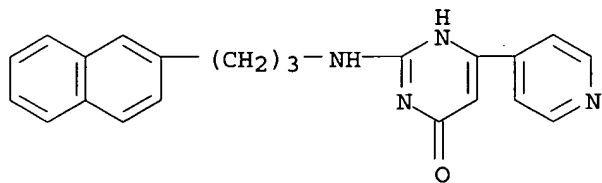
CN 4(1H)-Pyrimidinone, 2-[(4-aminobutyl)(3-phenylpropyl)amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

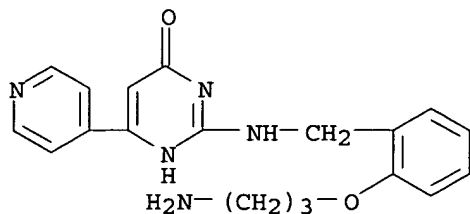
RN 362601-45-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-naphthalenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362601-47-6 CAPLUS

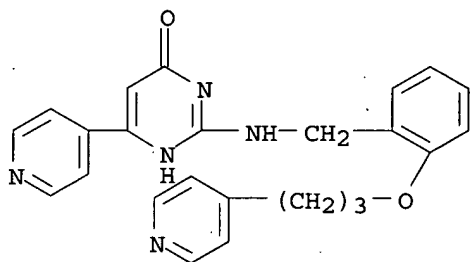
CN 4(1H)-Pyrimidinone, 2-[[[2-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

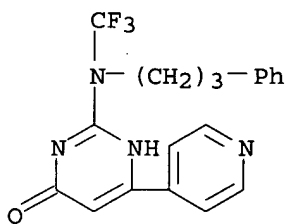
RN 362601-49-8 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[2-[3-(4-pyridinyl)propoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 362601-50-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-phenylpropyl)(trifluoromethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



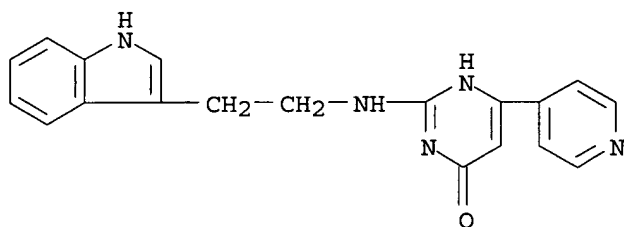
RN 362601-51-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 362048-04-2

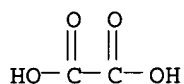
CMF C19 H17 N5 O



CM 2

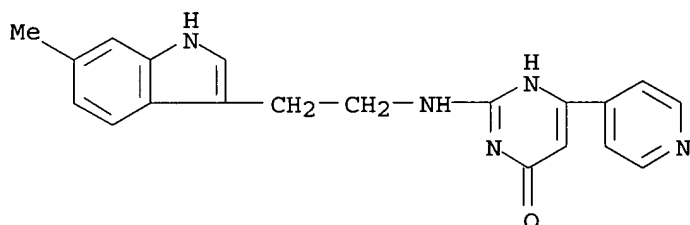
CRN 144-62-7

CMF C2 H2 O4



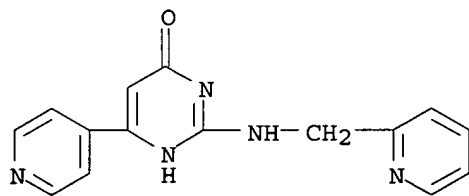
RN 362601-52-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



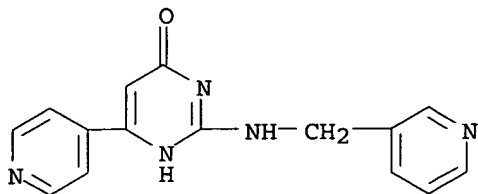
RN 362601-54-5 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



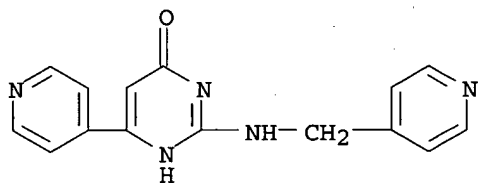
RN 362601-55-6 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



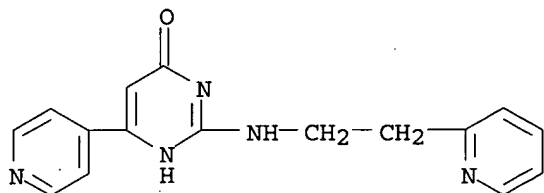
RN 362601-56-7 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[(4-pyridinylmethyl)amino]- (9CI)  
(CA INDEX NAME)



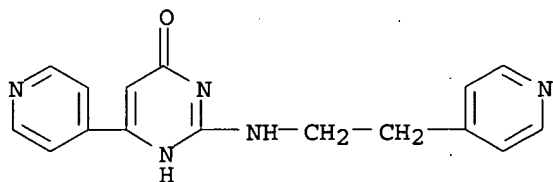
RN 362601-58-9 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(2-pyridinyl)ethyl]amino]- (9CI)  
(CA INDEX NAME)



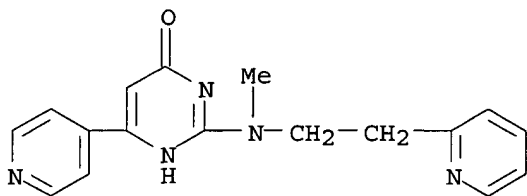
RN 362601-59-0 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(4-pyridinyl)ethyl]amino]- (9CI)  
(CA INDEX NAME)



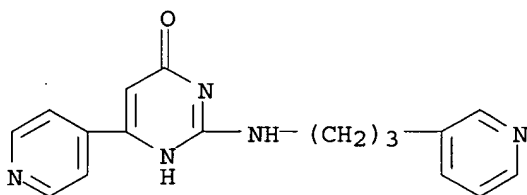
RN 362601-60-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[methyl[2-(2-pyridinyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



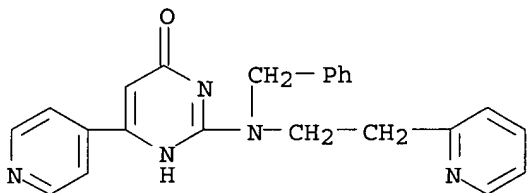
RN 362601-61-4 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[3-(3-pyridinyl)propyl]amino]-  
(9CI) (CA INDEX NAME)



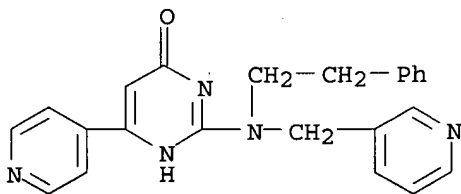
RN 362601-62-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(phenylmethyl)[2-(2-pyridinyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



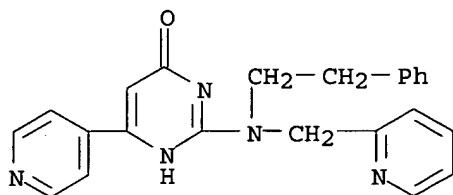
RN 362601-64-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(2-phenylethyl)(3-pyridinylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

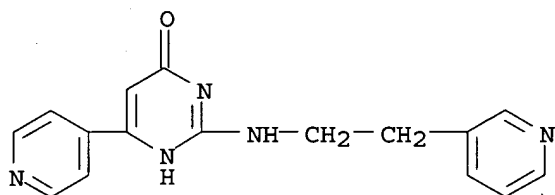


RN 362601-65-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(2-phenylethyl)(2-pyridinylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362601-67-0 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(3-pyridinyl)ethyl]amino]- (9CI)  
(CA INDEX NAME)REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2001:709747 CAPLUS

DOCUMENT NUMBER: 135:257262

TITLE: Preparation of 2-[(heteroaryl)alkylamino]pyrimidones  
as GSK3β inhibitorsINVENTOR(S): Almario-Garcia, Antonio; Frost, Jonathan Reid; Li,  
Adrien-TakPATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo  
Pharmaceuticals, Inc.

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

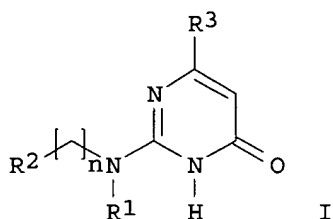
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO.                                                                                                                                                                                                                                                                                                                                                                            | KIND | DATE     | APPLICATION NO. | DATE       |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| EP 1136491                                                                                                                                                                                                                                                                                                                                                                            | A1   | 20010926 | EP 2000-400806  | 20000323   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO                                                                                                                                                                                                                                                                                             |      |          |                 |            |
| WO 2001070727                                                                                                                                                                                                                                                                                                                                                                         | A1   | 20010927 | WO 2001-EP3638  | 20010322   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG                                                                                                                                                                                            |      |          |                 |            |
| AU 2001048365                                                                                                                                                                                                                                                                                                                                                                         | A5   | 20011003 | AU 2001-48365   | 20010322   |
| PRIORITY APPLN. INFO.:                                                                                                                                                                                                                                                                                                                                                                |      |          | EP 2000-400804  | A 20000323 |

|                |            |
|----------------|------------|
| EP 2000-400805 | A 20000323 |
| EP 2000-400806 | A 20000323 |
| JP 2000-81938  | A 20000323 |
| WO 2001-EP3638 | W 20010322 |

OTHER SOURCE(S) : MARPAT 135:257262  
GI



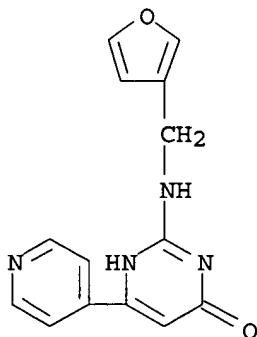
AB The title compds. [I; R1 = H, alkyl; R2 = (un)substituted furyl, thienyl, pyrrolyl or imidazolyl; R3 = 2-, 3- or 4-pyridyl optionally substituted by alkyl, alkoxy or halogen; n = 1-5] which are used for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3 $\beta$  such as Alzheimer's disease, Parkinson's disease, frontoparietal dementia, corticobasal degeneration, Pick's disease, cerebrovascular accidents, brain and spinal trauma, and peripheral neuropathies, were prepared and formulated. Thus, reacting 2-(methylthio)-6-(pyridin-4-yl)pyrimidin-4(1H)-one (preparation given) with 3-furylmethylamine afforded I [R1 = H; R2 = 3-furyl; R3 = 4-pyridyl; n = 1]. The exemplified compds. I showed IC<sub>50</sub>'s of 0.3-10  $\mu$ M against GSK3 $\beta$ .

IT 361484-66-4P 361484-67-5P 361484-68-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2-[(heteroaryl)alkylamino]pyrimidones as GSK3 $\beta$  inhibitors)

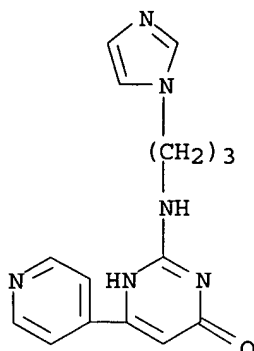
RN 361484-66-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-furanylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



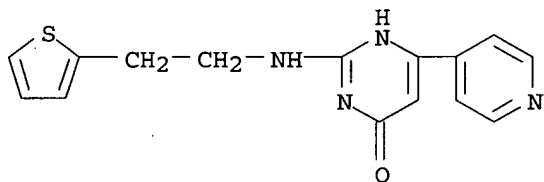
RN 361484-67-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(1H-imidazol-1-yl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361484-68-6 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(2-thienyl)ethyl]amino]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2001:709744 CAPLUS

DOCUMENT NUMBER: 135:257260

TITLE: Preparation of 2-[(indanylamino)pyrimidones and  
2-[tetrahydronaphthalenylamino]pyrimidones as  
GSK3β inhibitors

INVENTOR(S): Almario-Garcia, Antonio; Frost, Jonathan Reid; Li,  
Adrien-Tak

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo  
Pharmaceuticals, Inc.

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.                                                                                   | KIND | DATE     | APPLICATION NO. | DATE     |
|----------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| EP 1136486                                                                                   | A1   | 20010926 | EP 2000-400808  | 20000323 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO |      |          |                 |          |
| WO 2001070725                                                                                | A1   | 20010927 | WO 2001-EP3636  | 20010322 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001062149

A5

20011003

AU 2001-62149

20010322

PRIORITY APPLN. INFO.:

EP 2000-400808

A 20000323

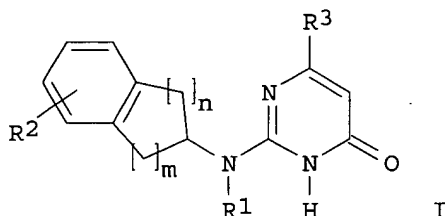
WO 2001-EP3636

W 20010322

OTHER SOURCE(S):

MARPAT 135:257260

GI



AB The title compds. [I; R1 = H, alkyl; R2 = H, alkyl, halo, etc.; R3 = 2-, 3- or 4-pyridyl group optionally substituted by alkyl, alkoxy or a halogen atom; n = 0-1; when n = 0 then m = 2 or 3, and when n = 1 then m = 1 or 2] which is used for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3 $\beta$  such as Alzheimer's disease, Parkinson's disease, frontoparietal dementia, corticobasal degeneration, Pick's disease, cerebrovascular accidents and brain and spinal trauma and peripheral neuropathies, were prepared and formulated. E.g., a 3-step synthesis of I [R1, R2 = H; R3 = 4-pyridyl; n, m = 1] which showed IC50 of 0.1  $\mu$ M against GSK3 $\beta$ , was given.

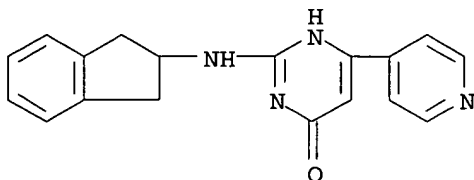
IT 361458-95-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-[(indanylamino)pyrimidones and 2-[tetrahydronaphthalenylamino]pyrimidones as GSK3 $\beta$  inhibitors)

RN 361458-95-9 CAPLUS

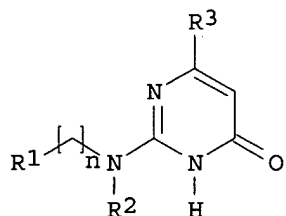
CN 4(1H)-Pyrimidinone, 2-[(2,3-dihydro-1H-inden-2-yl)amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



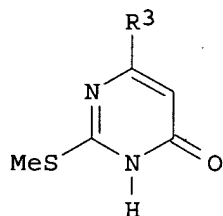
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 4  
 ACCESSION NUMBER: 2001:709742 CAPLUS  
 DOCUMENT NUMBER: 135:257258  
 TITLE: Preparation of 2-(arylalkylamino)pyrimidones as GSK3 $\beta$  inhibitors  
 INVENTOR(S): Almarino-Garcia, Antonio; Frost, Jonathan Reid; Li, Adrien-Tak; Ando, Ryoichi; Watanabe, Kazutoshi  
 PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.  
 SOURCE: Eur. Pat. Appl., 24 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

| PATENT NO.                                                                                                                                                                                                                                                                                                                                                                            | KIND | DATE              | APPLICATION NO. | DATE       |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|-------------------|-----------------|------------|
| EP 1136484                                                                                                                                                                                                                                                                                                                                                                            | A1   | 20010926          | EP 2000-400804  | 20000323   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO                                                                                                                                                                                                                                                                                             |      |                   |                 |            |
| WO 2001070727                                                                                                                                                                                                                                                                                                                                                                         | A1   | 20010927          | WO 2001-EP3638  | 20010322   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |                   |                 |            |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG                                                                                                                                                                                            |      |                   |                 |            |
| AU 2001048365                                                                                                                                                                                                                                                                                                                                                                         | A5   | 20011003          | AU 2001-48365   | 20010322   |
| PRIORITY APPLN. INFO.:                                                                                                                                                                                                                                                                                                                                                                |      |                   | EP 2000-400804  | A 20000323 |
|                                                                                                                                                                                                                                                                                                                                                                                       |      |                   | EP 2000-400805  | A 20000323 |
|                                                                                                                                                                                                                                                                                                                                                                                       |      |                   | EP 2000-400806  | A 20000323 |
|                                                                                                                                                                                                                                                                                                                                                                                       |      |                   | JP 2000-81938   | A 20000323 |
|                                                                                                                                                                                                                                                                                                                                                                                       |      |                   | WO 2001-EP3638  | W 20010322 |
| OTHER SOURCE(S):                                                                                                                                                                                                                                                                                                                                                                      |      | MARPAT 135:257258 |                 |            |
| GI                                                                                                                                                                                                                                                                                                                                                                                    |      |                   |                 |            |



I



II

AB The title compds. [I; R<sup>1</sup> = unsubstituted naphth-1-yl, unsubstituted naphth-2-yl, substituted aryl; when n = 4-5 then R<sup>1</sup> can represent

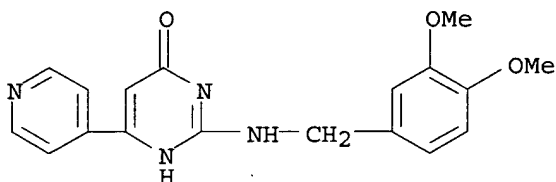
unsubstituted Ph; R2 = H, alkyl; R3 = 2-, 3- or 4-pyridyl optionally substituted by alkyl, alkoxy group or a halogen atom] which are used for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3 $\beta$ , were prepared and formulated. The compds. I were prepared by reacting the propionate R3COCH2COOR with the amidine R1(CH2)nNR2C(:NH)NH2 or by reacting the pyrimidinone II with amine R1(CH2)nNHR2. All exemplified compds. I such as I [R1 = 3,4-(MeO)2C6H3; R2 = H; R3 = 4-pyridyl; n = 1] showed IC50 of 0.01-10  $\mu$ M against GSK3 $\beta$ .

IT 361542-10-1P 361542-11-2P 361542-12-3P  
 361542-13-4P 361542-14-5P 361542-15-6P  
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 361542-88-3P 361542-89-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 2-(arylalkylamino)pyrimidones as GSK3 $\beta$  inhibitors)

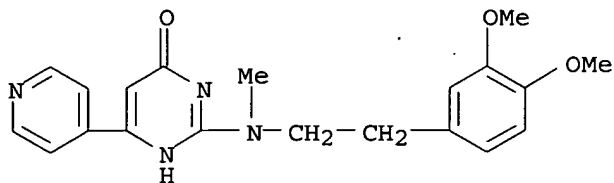
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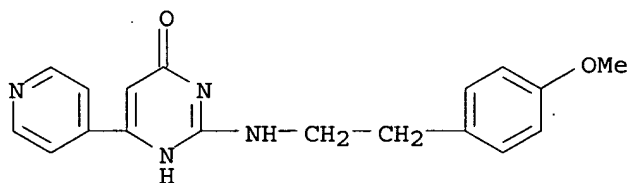


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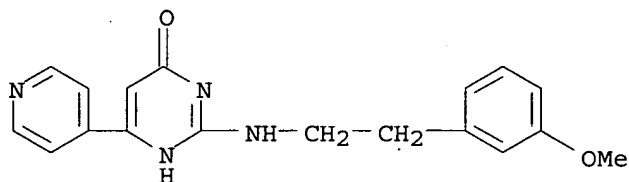
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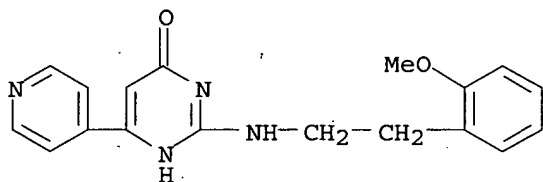
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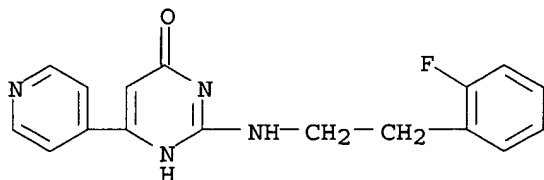
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(9CI) (CA INDEX NAME)



RN 361542-14-5 CAPLUS  
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(9CI) (CA INDEX NAME)

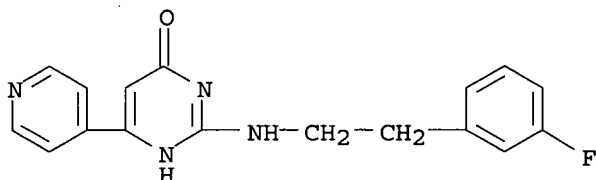


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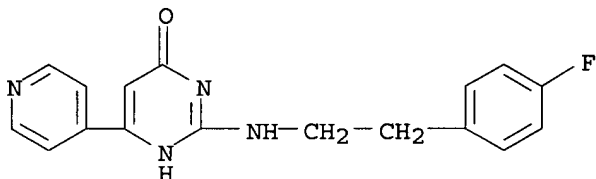
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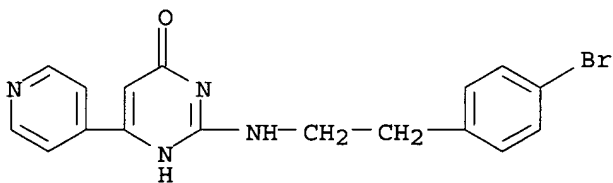
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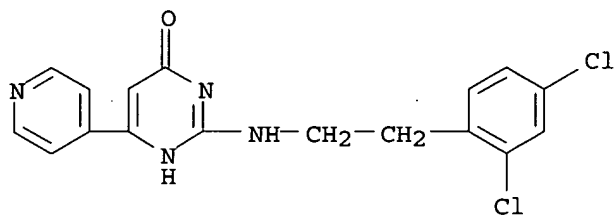
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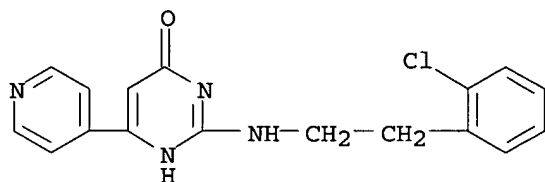
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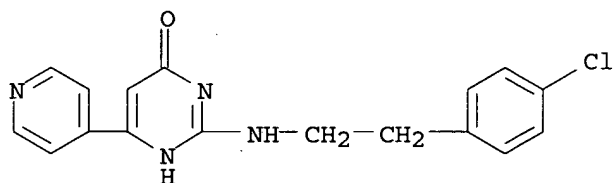
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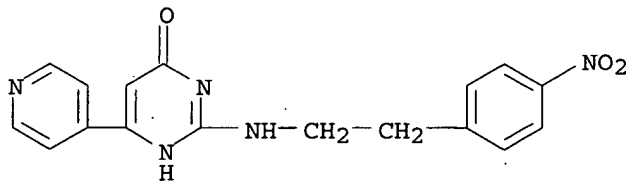
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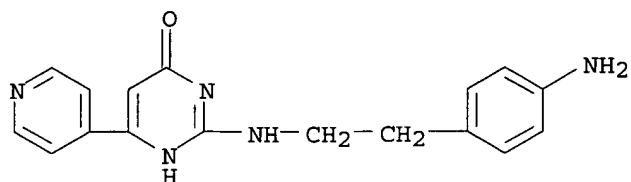
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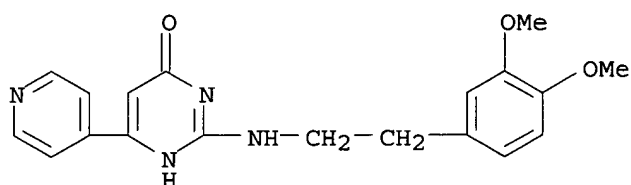
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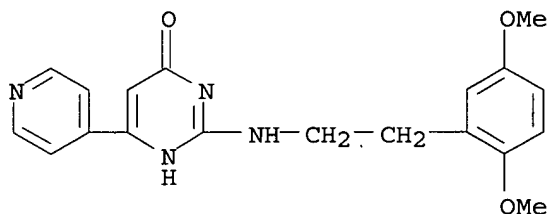
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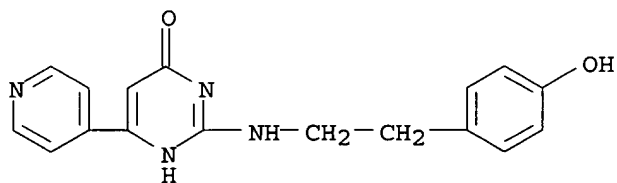
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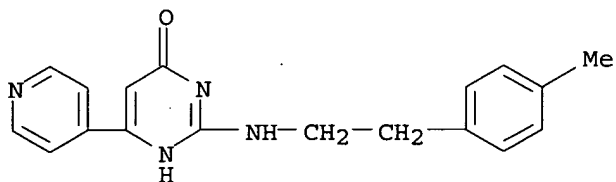
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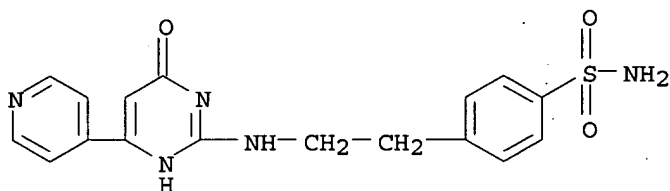
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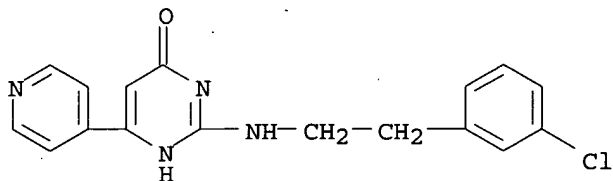
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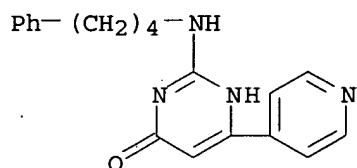
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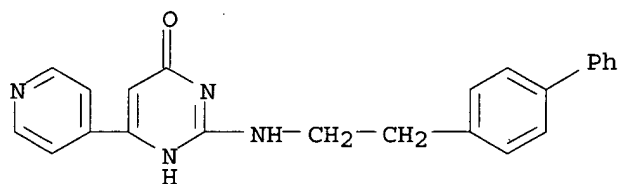
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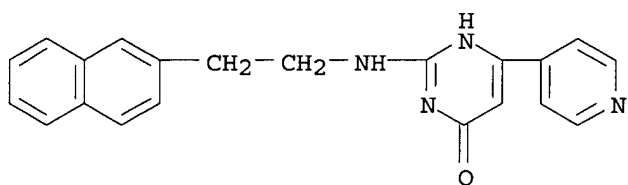


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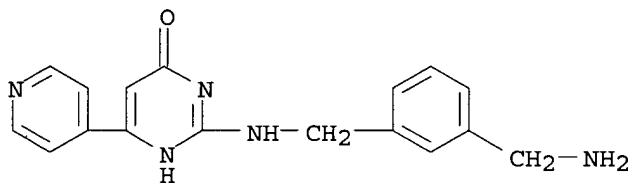


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RN 361542-33-8 CAPLUS

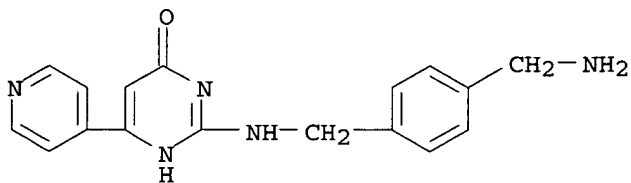
CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

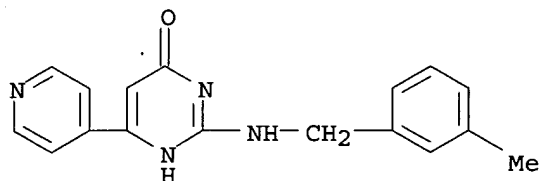
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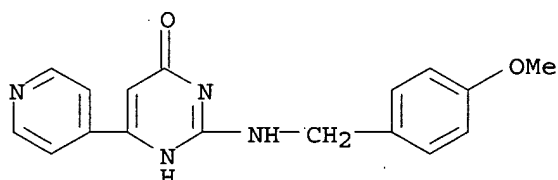


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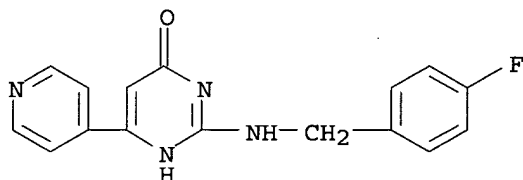
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CN 4(1H)-Pyrimidinone, 2-[[ (3-methylphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

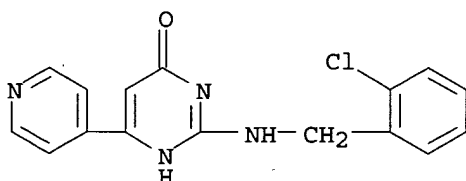
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CN 4(1H)-Pyrimidinone, 2-[[ (4-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

RN 361542-37-2 CAPLUS

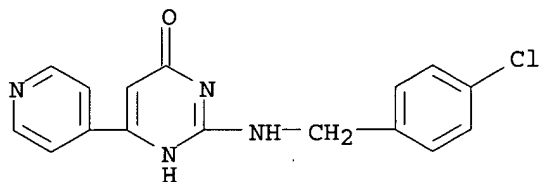
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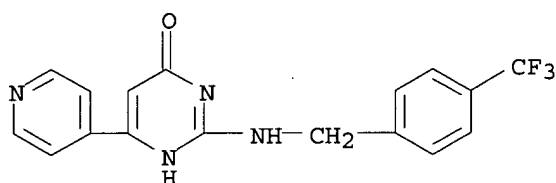
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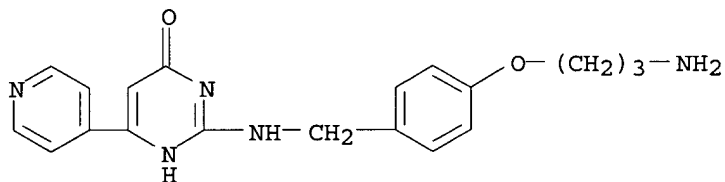
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RN 361542-41-8 CAPLUS

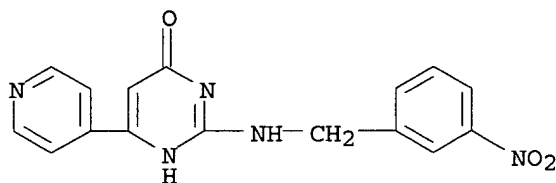
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● 2 HCl

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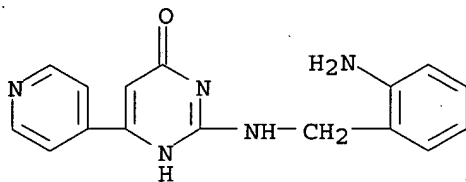
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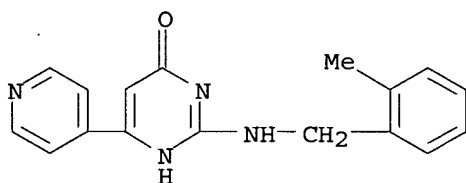
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(9CI) (CA INDEX NAME)



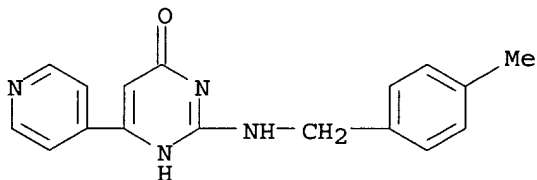
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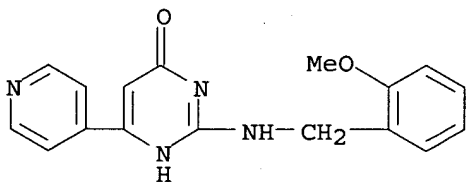
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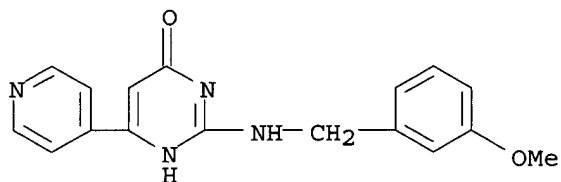
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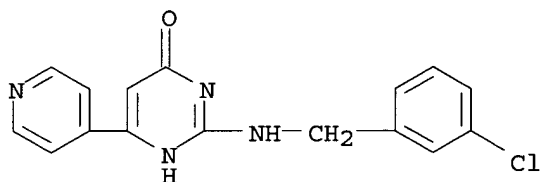
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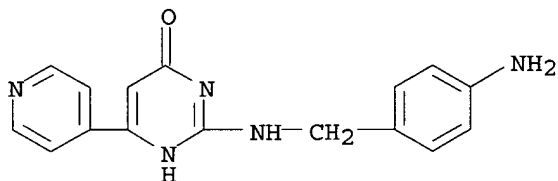
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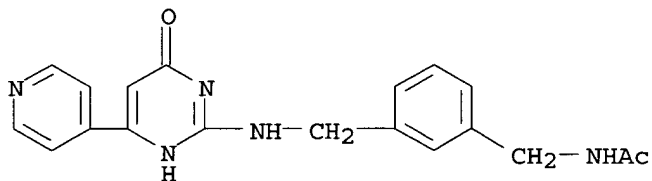
RN 361542-49-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[(4-aminophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



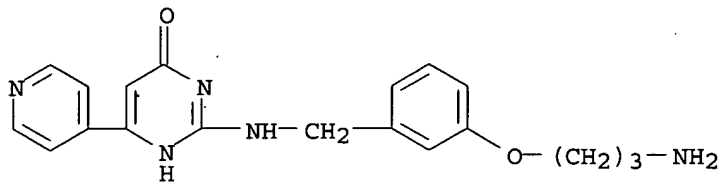
RN 361542-50-9 CAPLUS

CN Acetamide, N-[[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 361542-51-0 CAPLUS

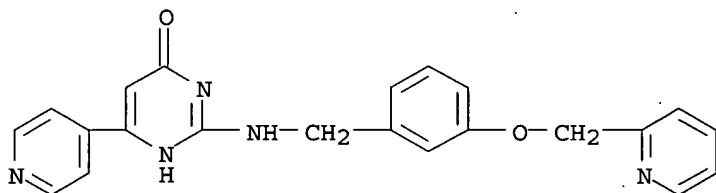
CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

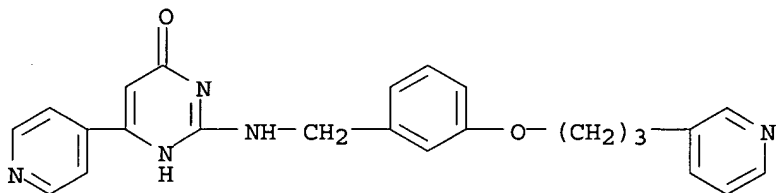
RN 361542-52-1 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(2-pyridinylmethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 361542-53-2 CAPLUS

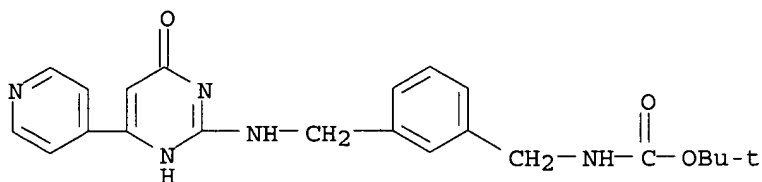
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[3-(3-pyridinyl)propoxy]phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

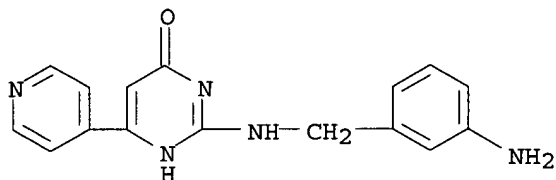
RN 361542-54-3 CAPLUS

CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



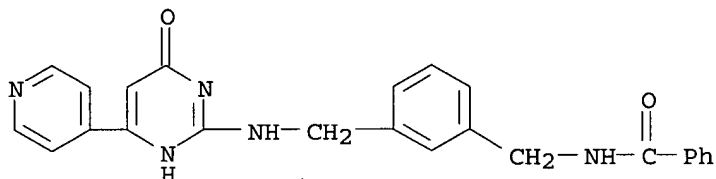
RN 361542-55-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminophenyl)methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



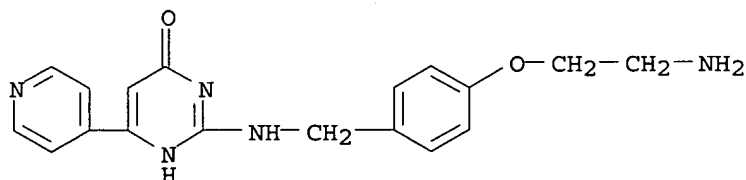
RN 361542-56-5 CAPLUS

CN Benzamide, N-[[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 361542-57-6 CAPLUS

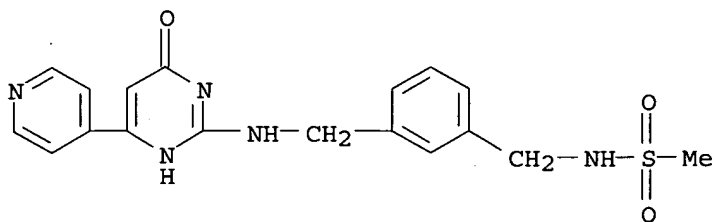
CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

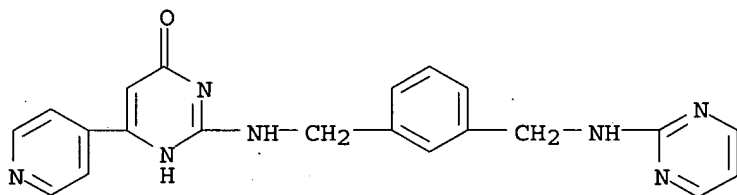
RN 361542-58-7 CAPLUS

CN Methanesulfonamide, N-[[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



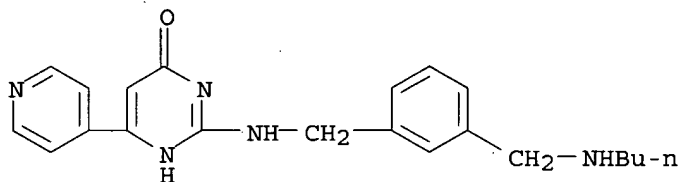
RN 361542-59-8 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[(2-pyrimidinylamino)methyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 361542-60-1 CAPLUS

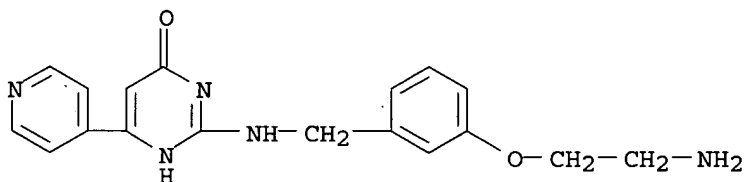
CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 361542-61-2 CAPLUS

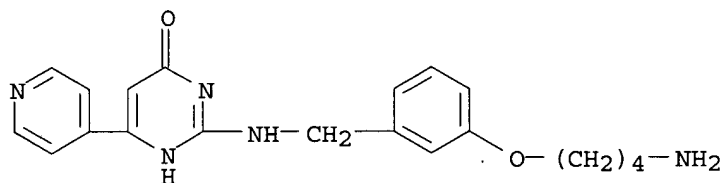
CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 361542-62-3 CAPLUS

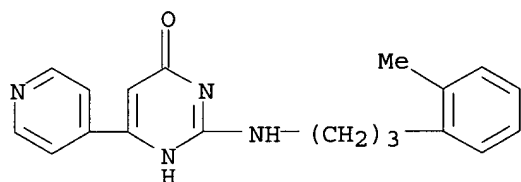
CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

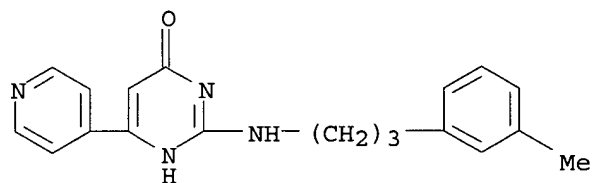
RN 361542-63-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-methylphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



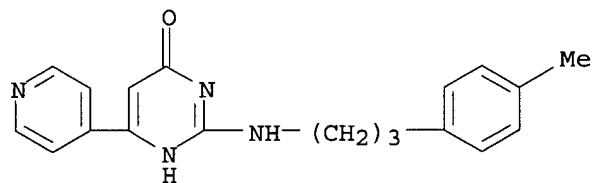
RN 361542-64-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-methylphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

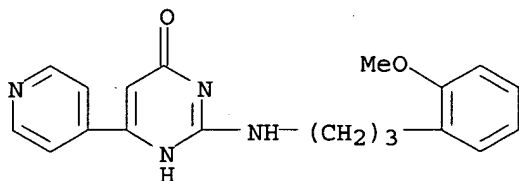


RN 361542-65-6 CAPLUS

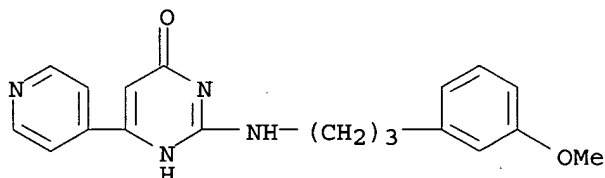
CN 4(1H)-Pyrimidinone, 2-[[3-(4-methylphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



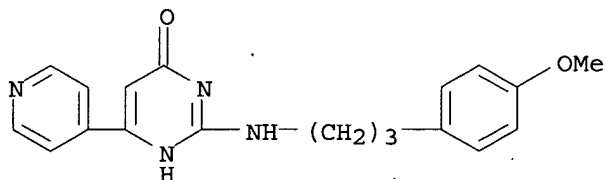
RN 361542-66-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

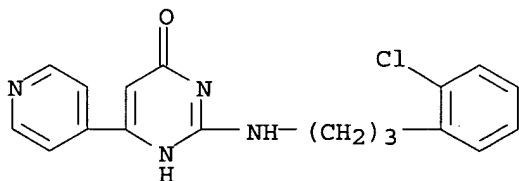
RN 361542-67-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

RN 361542-68-9 CAPLUS

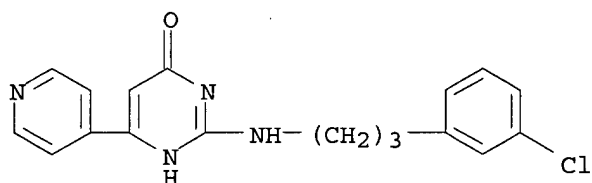
CN 4(1H)-Pyrimidinone, 2-[[3-(4-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

RN 361542-69-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

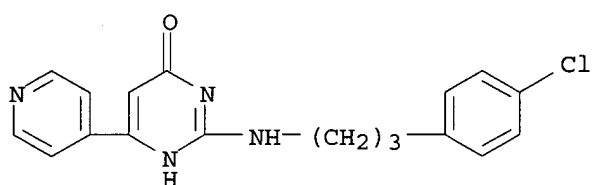
RN 361542-70-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



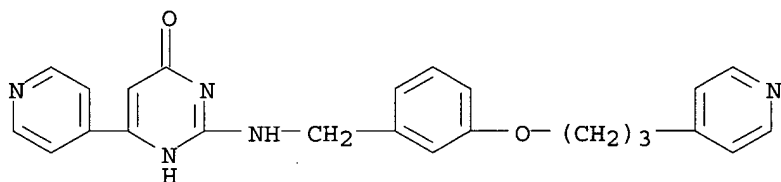
RN 361542-71-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(4-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



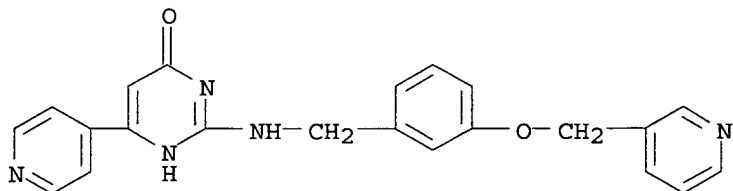
RN 361542-72-5 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[3-(4-pyridinyl)propoxy]phenyl]methyl]amino]-(9CI) (CA INDEX NAME)



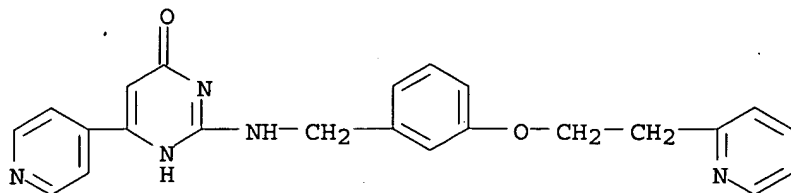
RN 361542-73-6 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(3-pyridinylmethoxy)phenyl]methyl]amino]-(9CI) (CA INDEX NAME)



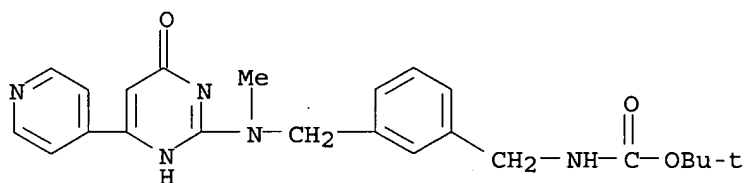
RN 361542-74-7 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[2-(2-pyridinyl)ethoxy]phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

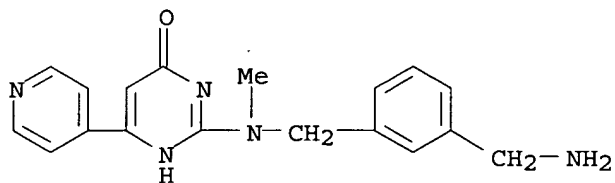


● 2 HCl

RN 361542-75-8 CAPLUS  
 CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]methylamino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

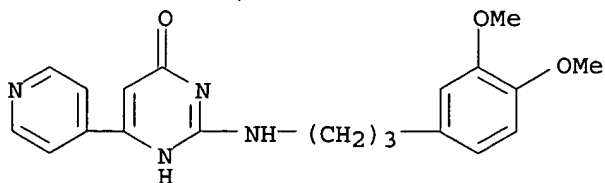


RN 361542-76-9 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



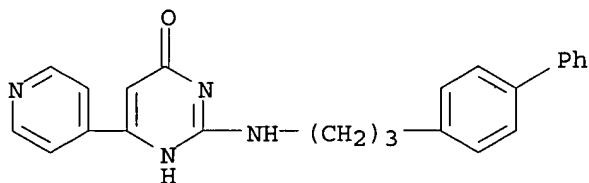
● 2 HCl

RN 361542-77-0 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-[[[3-(3,4-dimethoxyphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



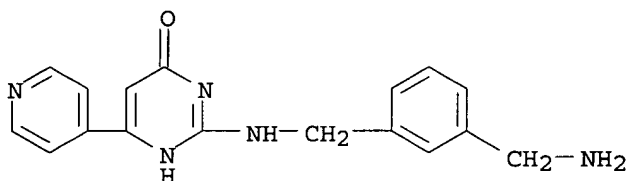
RN 361542-78-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-[1,1'-biphenyl]-4-ylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



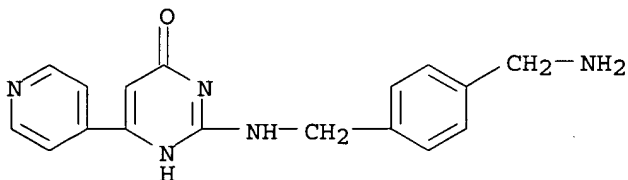
RN 361542-79-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME).



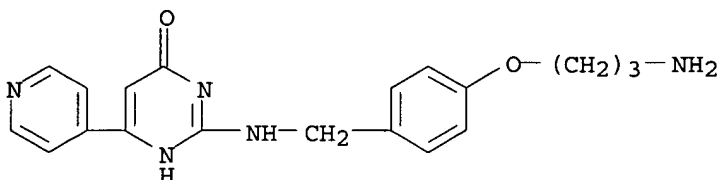
RN 361542-80-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



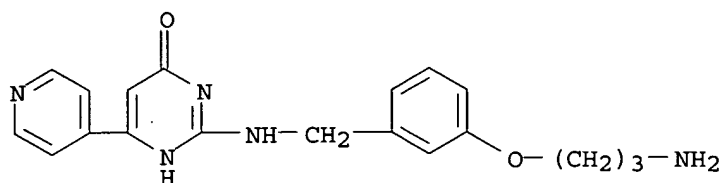
RN 361542-81-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

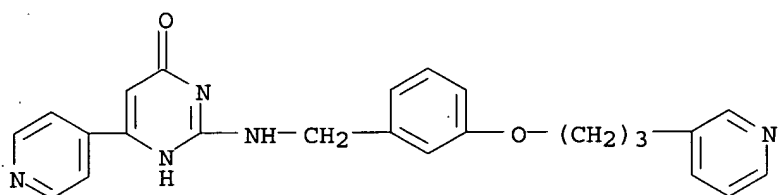


RN 361542-82-7 CAPLUS

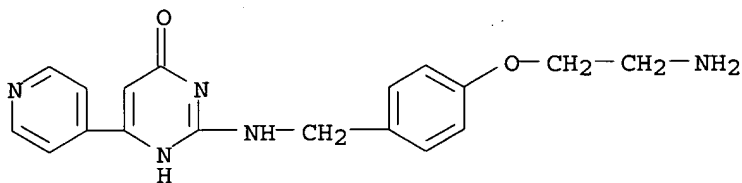
CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



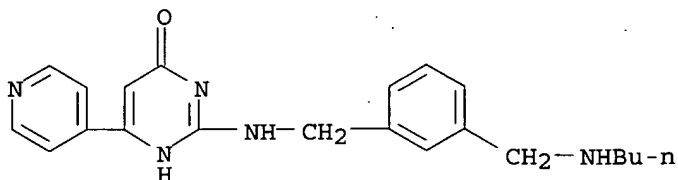
RN 361542-83-8 CAPLUS  
 CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[3-(3-aminopropoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



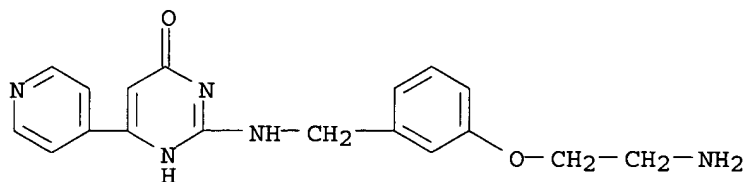
RN 361542-84-9 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 361542-85-0 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

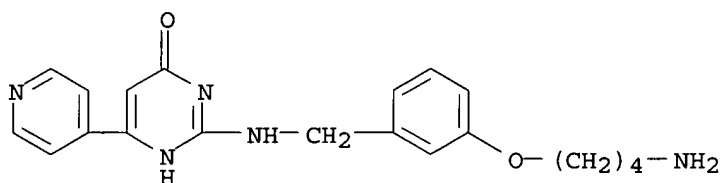


RN 361542-86-1 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



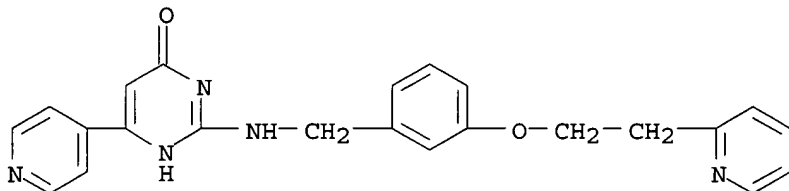
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CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



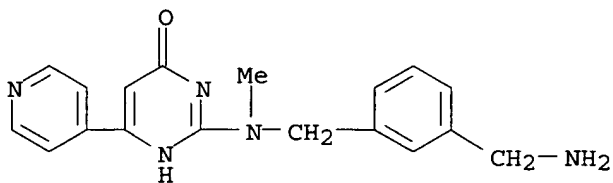
RN 361542-88-3 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[2-(2-pyridinyl)ethoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 361542-89-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2001:709694 CAPLUS

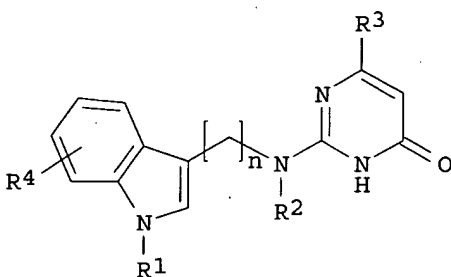
DOCUMENT NUMBER: 135:262238

TITLE: Preparation of 2-(indolylalkylamino)pyrimidone derivatives as gsk3beta inhibitors

INVENTOR(S): Almario-Garcia, Antonio; Frost, Jonathan Reid; Li, Adrien-Tak  
 PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.  
 SOURCE: Eur. Pat. Appl., 14 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

| PATENT NO.                                                                                                                                                                                                                                                                                                                                                                            | KIND | DATE     | APPLICATION NO. | DATE       |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|------------|
| EP 1136099                                                                                                                                                                                                                                                                                                                                                                            | A1   | 20010926 | EP 2000-400805  | 20000323   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO                                                                                                                                                                                                                                                                                             |      |          |                 |            |
| WO 2001070727                                                                                                                                                                                                                                                                                                                                                                         | A1   | 20010927 | WO 2001-EP3638  | 20010322   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |            |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG                                                                                                                                                                                            |      |          |                 |            |
| AU 2001048365                                                                                                                                                                                                                                                                                                                                                                         | A5   | 20011003 | AU 2001-48365   | 20010322   |
| PRIORITY APPLN. INFO.:                                                                                                                                                                                                                                                                                                                                                                |      |          | EP 2000-400804  | A 20000323 |
|                                                                                                                                                                                                                                                                                                                                                                                       |      |          | EP 2000-400805  | A 20000323 |
|                                                                                                                                                                                                                                                                                                                                                                                       |      |          | EP 2000-400806  | A 20000323 |
|                                                                                                                                                                                                                                                                                                                                                                                       |      |          | JP 2000-81938   | A 20000323 |
|                                                                                                                                                                                                                                                                                                                                                                                       |      |          | WO 2001-EP3638  | W 20010322 |

OTHER SOURCE(S): MARPAT 135:262238  
 GI



I

AB A pyrimidone derivative represented by formula I or a salt thereof: wherein: R1 represents a hydrogen atom or a C1-6 alkyl group; R2 represents a hydrogen atom or a C1-6 alkyl group; R3 represents a 2, 3 or 4-pyridyl group optionally substituted by a C1-4 alkyl group, a C1-4 alkoxy group or a halogen atom; R4 represents a hydrogen atom, a C1-6 alkyl group, a halogen atom, a C1-2 perhalogenated alkyl group, a C1-3 halogenated alkyl group, a hydroxyl group, a C1-6 alkoxy group, methylenedioxy group, a nitro, a cyano, an amino, a C1-6 monoalkylamino group, C2-12 dialkylamino

group, a C1-6 alkylcarbonylamino group, C6-10 arylcarbonylamino group, a Ph group or a benzyloxy group; and n represents 1 to 5. And a medicament comprising the said derivative or a salt thereof as an active ingredient which is used for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3 $\beta$  (as glycogen synthase kinase 3 $\beta$ ) such as Alzheimer's disease, Parkinson's disease, frontoparietal dementia, corticobasal degeneration, Pick's disease, cerebrovascular accidents, brain and spinal cord trauma and peripheral neuropathies. A solution of 2-(methylthio)-6-pyridinyl-4-ylpyrimidin-4(1H)-one and different indolylalkylamines in amyl alc. were heated at 150° for 72 h to obtain 2-[indolylalkylamino]-6-pyridin-4-ylpyrimidin-4(1H)-one derivs. Inhibitory activity of the above derivs. against gsk3 $\beta$  was tested. A tablet contained a 2-

(indolylalkylamino)pyrimidone derivative 30, crystalline cellulose 60, corn starch

100, lactose 200, and magnesium stearate 4 mg.

IT 362048-05-3P 362048-06-4P 362048-07-5P  
362048-08-6P 362048-09-7P 362048-10-0P  
362048-11-1P 362048-12-2P 362048-13-3P  
362048-14-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolylalkylaminopyrimidone derivs. as glycogen synthase kinase inhibitors)

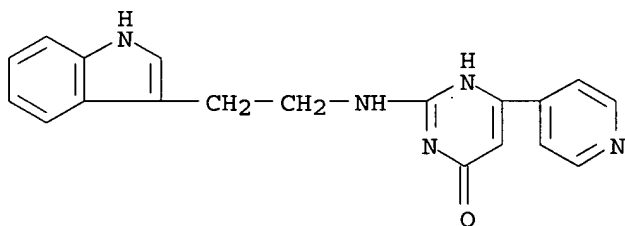
RN 362048-05-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 362048-04-2

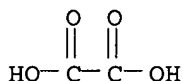
CMF C19 H17 N5 O



CM 2

CRN 144-62-7

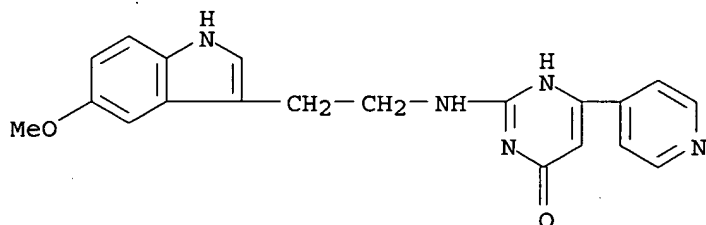
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RN 362048-06-4 CAPLUS

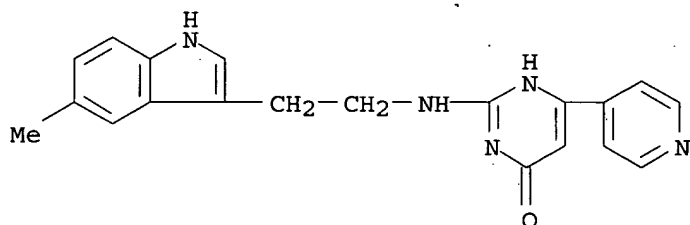
CN 4(1H)-Pyrimidinone, 2-[[2-(5-methoxy-1H-indol-3-yl)ethyl]amino]-6-(4-

pyridinyl)- (9CI) (CA INDEX NAME)



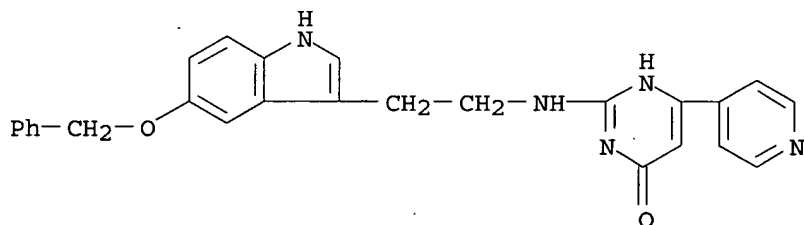
RN 362048-07-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(5-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



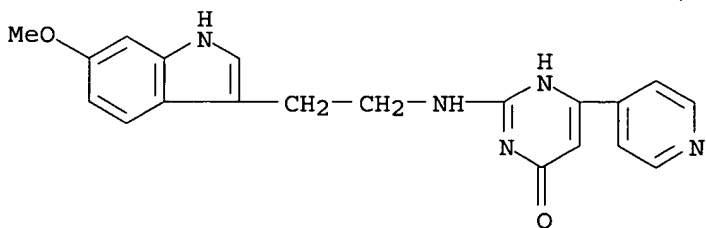
RN 362048-08-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-[5-(phenylmethoxy)-1H-indol-3-yl]ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



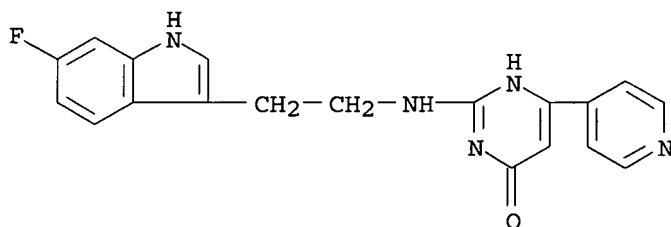
RN 362048-09-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-methoxy-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



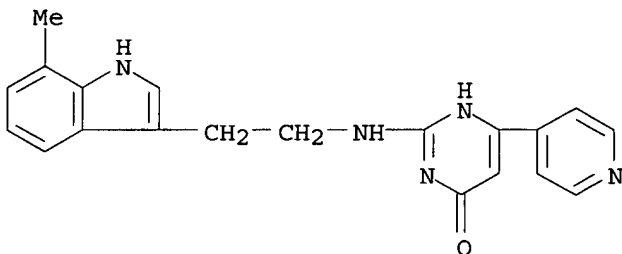
RN 362048-10-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-fluoro-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



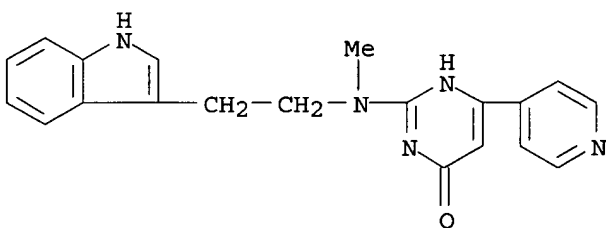
RN 362048-11-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(7-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



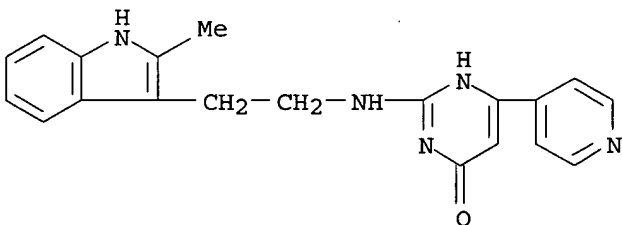
RN 362048-12-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

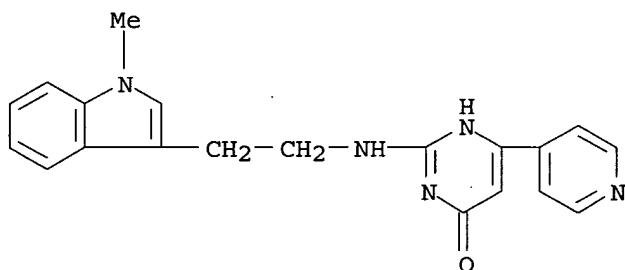


RN 362048-13-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362048-14-4 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-[[2-(1-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 2000:227649 CAPLUS

DOCUMENT NUMBER: 132:265206

TITLE: Preparation of pyrimidones for treating diseases caused by tau protein kinase 1 hyperactivity such as Alzheimer disease

INVENTOR(S): Watanabe, Kazutoshi; Ando, Ryoichi; Saito, Ken-ichi; Kawamoto, Rie; Shoda, Aya

PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

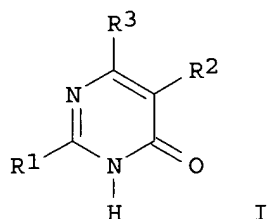
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND                                                                                                                                                                                                                                                                                                                                                   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|------------|
| WO 2000018758          | A1                                                                                                                                                                                                                                                                                                                                                     | 20000406 | WO 1999-JP5224  | 19990924   |
| W:                     | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG                                                                                                                                                                             |          |                 |            |
| CA 2345065             | AA                                                                                                                                                                                                                                                                                                                                                     | 20000406 | CA 1999-2345065 | 19990924   |
| AU 9957599             | A1                                                                                                                                                                                                                                                                                                                                                     | 20000417 | AU 1999-57599   | 19990924   |
| EP 1115721             | A1                                                                                                                                                                                                                                                                                                                                                     | 20010718 | EP 1999-944815  | 19990924   |
| EP 1115721             | B1                                                                                                                                                                                                                                                                                                                                                     | 20031210 |                 |            |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO                                                                                                                                                                                                                                                                 |          |                 |            |
| JP 2002525366          | T2                                                                                                                                                                                                                                                                                                                                                     | 20020813 | JP 2000-572218  | 19990924   |
| AT 256123              | E                                                                                                                                                                                                                                                                                                                                                      | 20031215 | AT 1999-944815  | 19990924   |
| PT 1115721             | T                                                                                                                                                                                                                                                                                                                                                      | 20040430 | PT 1999-944815  | 19990924   |
| ES 2214045             | T3                                                                                                                                                                                                                                                                                                                                                     | 20040901 | ES 1999-944815  | 19990924   |
| PRIORITY APPLN. INFO.: |                                                                                                                                                                                                                                                                                                                                                        |          | JP 1998-271277  | A 19980925 |

JP 1998-305266  
WO 1999-JP5224A 19981027  
W 19990924OTHER SOURCE(S) : MARPAT 132:265206  
GI

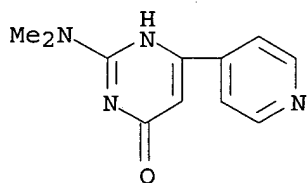
AB The title compds. [I; R1 = C1-18 alkyl, C3-18 alkenyl, C3-18 alkenyl, etc.; R2 = H, OH, C1-18 alkyl, etc.; R3 = (un)substituted pyridyl], useful for preventive and/or therapeutic treatment of a disease caused by tau protein kinase 1 hyperactivity such as Alzheimer disease, were prepared and formulated. Thus, reacting Et 3-(4-pyridyl)-3-oxopropionate with 3-amidinopyridine.HCl in the presence of K2CO3 in EtOH afforded I [R1 = 3-pyridyl; R2 = H; R3 = 4-pyridyl] which showed IC50 of 2.3  $\mu$ M against P-GS1 phosphorylation by bovine cerebral TPK1.

IT 54950-14-0P 263244-10-6P 263244-16-2P  
263244-25-3P 263244-26-4P 263244-27-5P  
263244-30-0P 263244-31-1P 263244-32-2P  
263244-34-4P 263244-35-5P 263244-36-6P  
263244-37-7P 263244-38-8P 263244-39-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of pyrimidones for treating diseases caused by tau protein kinase 1 hyperactivity such as Alzheimer disease)

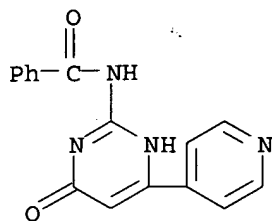
RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

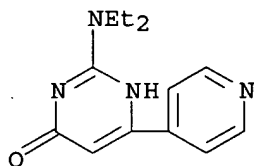


RN 263244-10-6 CAPLUS

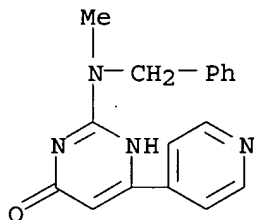
CN Benzamide, N-[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



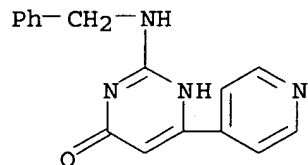
RN 263244-16-2 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-(diethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



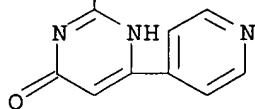
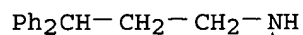
RN 263244-25-3 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[methyl (phenylmethyl) amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 263244-26-4 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[(phenylmethyl) amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 263244-27-5 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[(3,3-diphenylpropyl) amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

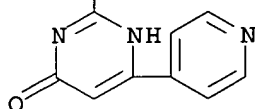


RN 263244-30-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[methyl(2-methylpropyl)amino]-6-(4-pyridinyl)- (9CI)  
(CA INDEX NAME)

Me

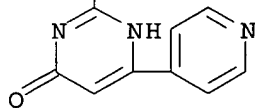
N-Bu-i



RN 263244-31-1 CAPLUS

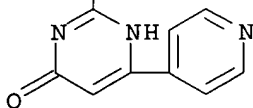
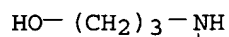
CN 4(1H)-Pyrimidinone, 2-(dipropylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

N(Pr-n)<sub>2</sub>



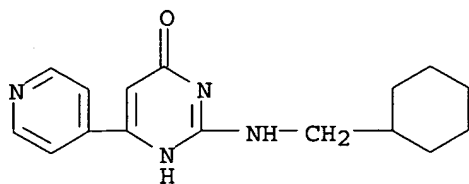
RN 263244-32-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-hydroxypropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

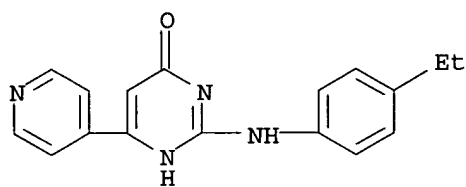


RN 263244-34-4 CAPLUS

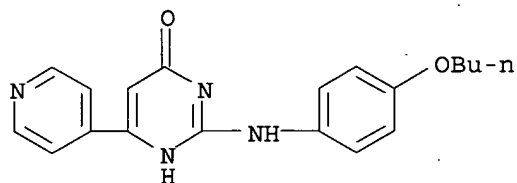
CN 4(1H)-Pyrimidinone, 2-[(cyclohexylmethyl)amino]-6-(4-pyridinyl)- (9CI)  
(CA INDEX NAME)



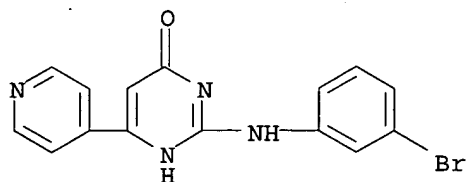
RN 263244-35-5 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-[(4-ethylphenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



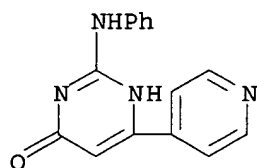
RN 263244-36-6 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-[(4-butoxyphenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



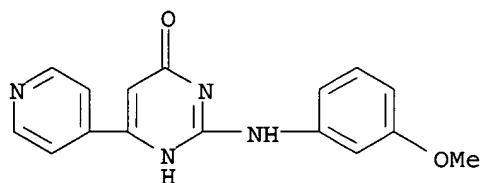
RN 263244-37-7 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-[(3-bromophenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 263244-38-8 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-(phenylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 263244-39-9 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-[(3-methoxyphenyl)amino]-6-(4-pyridinyl)- (9CI) (CA  
 INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1985:471335 CAPLUS

DOCUMENT NUMBER: 103:71335

TITLE: Triazolopyrimidine derivatives and their use as  
 cardiac stimulants

INVENTOR(S): Barthelemy, Gerard; Hallot, Andre; Vallat, Jean Noel

PATENT ASSIGNEE(S): SANOFI, Fr.

SOURCE: Fr. Demande, 13 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

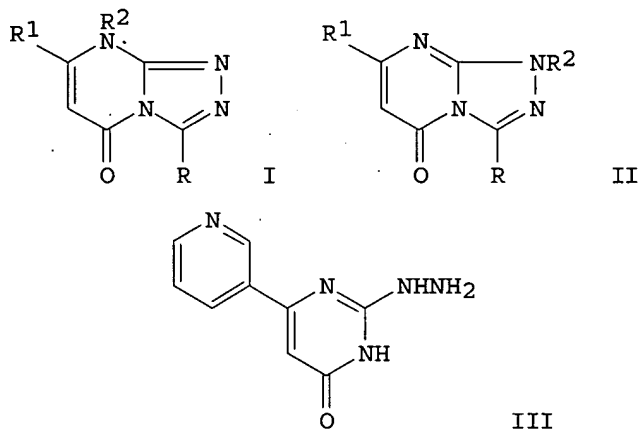
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE     |
|-----------------------------------------------|------|----------|-----------------|----------|
| FR 2549834                                    | A1   | 19850201 | FR 1983-12443   | 19830725 |
| FR 2549834                                    | B1   | 19851018 |                 |          |
| IL 72330                                      | A1   | 19870227 | IL 1984-72330   | 19840706 |
| US 4581358                                    | A    | 19860408 | US 1984-628916  | 19840709 |
| ZA 8405301                                    | A    | 19850227 | ZA 1984-5301    | 19840710 |
| AU 8430791                                    | A1   | 19850131 | AU 1984-30791   | 19840718 |
| AU 562596                                     | B2   | 19870611 |                 |          |
| DK 8403605                                    | A    | 19850126 | DK 1984-3605    | 19840723 |
| ES 534550                                     | A1   | 19850501 | ES 1984-534550  | 19840723 |
| CS 248718                                     | B2   | 19870212 | CS 1984-5626    | 19840723 |
| NO 8403003                                    | A    | 19850128 | NO 1984-3003    | 19840724 |
| EP 136198                                     | A1   | 19850403 | EP 1984-401551  | 19840724 |
| EP 136198                                     | B1   | 19880210 |                 |          |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |          |
| CA 1226284                                    | A1   | 19870901 | CA 1984-459573  | 19840724 |
| AT 32462                                      | E    | 19880215 | AT 1984-401551  | 19840724 |
| FI 8402966                                    | A    | 19850126 | FI 1984-2966    | 19840725 |
| JP 60051190                                   | A2   | 19850322 | JP 1984-155127  | 19840725 |

|                        |                    |          |                 |            |
|------------------------|--------------------|----------|-----------------|------------|
| HU 34753               | O                  | 19850429 | HU 1984-2861    | 19840725   |
| HU 190653              | B                  | 19861028 |                 |            |
| DD 222593              | A5                 | 19850522 | DD 1984-265646  | 19840725   |
| SU 1347865             | A3                 | 19871023 | SU 1984-3767330 | 19840725   |
| PRIORITY APPLN. INFO.: |                    |          | FR 1983-12443   | A 19830725 |
|                        |                    |          | EP 1984-401551  | A 19840724 |
| OTHER SOURCE(S):       | CASREACT 103:71335 |          |                 |            |
| GI                     |                    |          |                 |            |

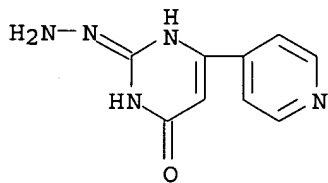


AB Triazolopyrimidinones I and II (R = alkyl; R1 = pyridyl, alkyl-, alkoxy-, hydroxy-, or cyanopyridyl; R2 = H, alkyl, unsatd. aliphatic group), which were prepared, showed cardiovascular activity. Hydrazinopyrimidinone III was heated with MeC(OEt)<sub>3</sub> in BuOH to give I (R = Me, R1 = 3-pyridyl, R2 = H).

IT 97545-28-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation of, with ortho esters)

RN 97545-28-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 6-(4-pyridinyl)-, 2-hydrazone (9CI) (CA INDEX NAME)



L12 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:171028 CAPLUS

DOCUMENT NUMBER: 82:171028

TITLE: 2,4,5-Trisubstituted-6-pyridylpyrimidine derivatives

INVENTOR(S): Tani, Hideo; Nakamura, Koji; Yokoo, Nobuo; Kyoya, Yoshinori; Akashi, Keisuke

PATENT ASSIGNEE(S): Mori, Hiroshi  
 SOURCE: Jpn. Tokkyo Koho, 3 pp.  
 CODEN: JAXXAD  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE       |
|------------------------|------|----------|-----------------|------------|
| JP 49036719            | B4   | 19741002 | JP 1970-128201  | 19701230   |
| PRIORITY APPLN. INFO.: |      |          | JP 1970-128201  | A 19701230 |

GI For diagram(s), see printed CA Issue.

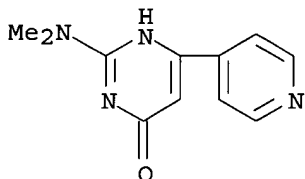
AB Pyridylpyrimidinols [I, R = 1-piperidinylmethyl (II), morpholinomethyl], useful as antiinflammatory agents (no data), were prepared by reacting I (R = H) with RH and formalin. E.g., 650 mg I (R = H) was refluxed with 0.036 ml HOAc, 306 mg piperidine, 0.375 ml formalin and 6 ml EtOH for 45 min, the mixture allowed to stand for 2.5 hr, 0.1 ml formalin added, and the mixture again refluxed for 1.5 hr to give 44 mg II. II·HCl was also prepared

IT 54950-14-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction with amines and formaldehyde)

RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:410129 CAPLUS

DOCUMENT NUMBER: 83:10129

TITLE: 2-(Substituted)-4-hydroxy-6-pyridylpyrimidine derivatives

INVENTOR(S): Tani, Hidero; Nakamura, Koji; Mori, Yasuhiro; Yokoo, Nobuo; Kyotani, Yoshinori; Wada, Yasushi

PATENT ASSIGNEE(S): Mori, Hiroshi

SOURCE: Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE       |
|------------------------|------|----------|-----------------|------------|
| JP 49035634            | B4   | 19740925 | JP 1970-128203  | 19701230   |
| PRIORITY APPLN. INFO.: |      |          | JP 1970-128203  | A 19701230 |

GI For diagram(s), see printed CA Issue.

AB Seven 2-amino-6-pyridyl-4-pyrimidinols [I, R = H2, Me, or R2N =

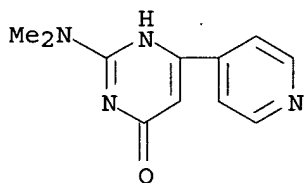
morpholino; R1 = 2-, 3-, or 4-pyridyl), useful as antiinflammatory agents, were prepared from the 2-(methylthio) derivs. and the appropriate amines. E.g., 3.0 g 2-(methylthio)-6-(4-pyridyl)-4-pyrimidinol, obtained from reaction of H<sub>2</sub>NC(:S)NH<sub>2</sub> with Et isonicotinoylacetate and subsequent methylation, was treated with 260 mg Me<sub>2</sub>NH in BuOH at 150° for 2 hr to give 76.5% I (R = Me, R1 = 4-pyridyl).

IT 54950-14-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:410127 CAPLUS

DOCUMENT NUMBER: 83:10127

TITLE: 5-Nitro-6-pyridylprimidine derivatives

INVENTOR(S): Tani, Hidero; Nakamura, Koji; Yokoo, Nobuo; Kyotani, Yoshinori; Akaishi, Keisuke

PATENT ASSIGNEE(S): Mori, Hiroshi

SOURCE: Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE       |
|------------------------|------|----------|-----------------|------------|
| JP 49035633            | B4   | 19740925 | JP 1970-128199  | 19701230   |
| PRIORITY APPLN. INFO.: |      |          | JP 1970-128199  | A 19701230 |

GI For diagram(s), see printed CA Issue.

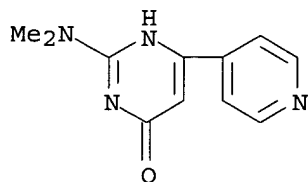
AB Three 5-nitro-2-amino-4-(4-pyridyl)pyrimidines (R = H, Me; R1 = OH, NH<sub>2</sub>), useful as antiinflammatory agents, were prepared by nitration of the corresponding II. Thus, 15 g II (R = Me, R1 = NH<sub>2</sub>) was treated with a mixture of 10 ml fuming HNO<sub>3</sub> and 50 ml H<sub>2</sub>SO<sub>4</sub> for 1 hr and the mixture was treated with 28% NH<sub>3</sub>-H<sub>2</sub>O to give 8.08 g I (R = Me, R1 = NH<sub>2</sub>).

IT 54950-14-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(nitration of)

RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1976:44112 CAPLUS  
 DOCUMENT NUMBER: 84:44112  
 TITLE: 4-Hydroxy-pyridylpyrimidine derivatives  
 INVENTOR(S): Tani, Hidero; Nakamura, Koji; Mori, Yasuhiro; Yokoo, Nobuo; Kyotani, Yoshinori; Wada, Yasushi  
 PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan  
 SOURCE: Jpn. Tokkyo Koho, 3 pp.  
 CODEN: JAXXAD  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE       |
|------------------------|------|----------|-----------------|------------|
| JP 49035631            | B4   | 19740925 | JP 1970-127611  | 19701228   |
| PRIORITY APPLN. INFO.: |      |          | JP 1970-127611  | A 19701228 |

GI For diagram(s), see printed CA Issue.

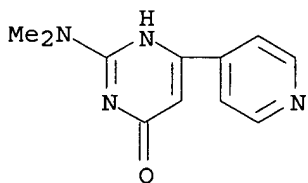
AB Seven pyrimidinols (I, R = 2-, 3-, 4-pyridyl, R1 = H, Me, or R12N = morpholino), useful as antiinflammatory agents (no data), were prepared from the corresponding pyridylcarbonylacetic acid ester and guanidine derivs. [R12NC(:NH)NH2]. E.g., 54.9 g nicotinoylacetic acid Me ester in 53 g EtOAc was refluxed with EtO Na (obtained from 11.5 g Na and 200 ml EtOH) for 10 hr and the reaction mixture was adjusted with H2SO4 to pH 7 to give 24.95 g nicotinoylacetic acid Et ester, which (18.1 g) was refluxed 5 hr with 12.6 g H2NC(:NH)NH2 carbonate in 60 ml EtOH to give I (R = 3-pyridyl, R1 = H).

IT **54950-14-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



Marpat (12-27)

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07/14/2005

=> d ibib abs qhit 12-27 L12

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YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS, MARPAT' - CONTINUE? (Y)/N:y

L12 ANSWER 12 OF 27 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 141:379931 MARPAT

TITLE: Preparation of aminopyrimidines as IKK inhibitors for treating autoimmune diseases and inflammations

INVENTOR(S): Bollbuck, Birgit; Denholm, Alastair; Eder, Joerg; Hersperger, Rene; Janser, Philipp; Revesz, Laszlo; Schlapbach, Achim; Waelchli, Rudolf

PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 217 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

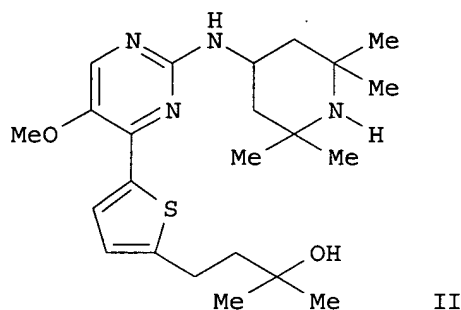
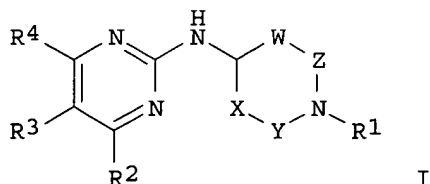
| PATENT NO.    | KIND                                                                                                                                                                                                                                                                                                                                                                                           | DATE     | APPLICATION NO. | DATE     |
|---------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|----------|
| WO 2004089913 | A1                                                                                                                                                                                                                                                                                                                                                                                             | 20041021 | WO 2004-EP3819  | 20040408 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:           | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG                                                                                                                         |          |                 |          |

PRIORITY APPLN. INFO.:

GB 2003-8466

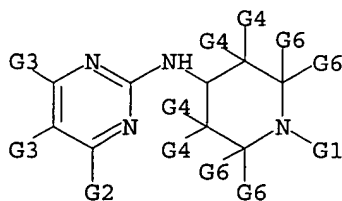
20030411

GI



AB Title compds. I [wherein R1 = H, (un)substituted lower alkyl, aryl, heterocycloalkyl, etc.; R2 = (un)substituted aryl, wherein aryl is not 4-(4-fluorophenyl)-1(1-methylpiperidin-4-yl)imidazole; each R3, R4 = independently H, CN, halo, OH, lower alkoxy, (un)substituted lower alkyl; X = CR6R7; Y = CR8R9; Z = CR10R11; W = CR12R13; each R6 to R13 = independently H, (un)substituted lower alkyl, lower alkoxy, CH2O-NH2, etc.; wherein at least one of R6 to R13 is not equal to H; any pair of R6 to R13 are joined together to form an (un)substituted C1 to C4 bridge in which one or more of the bridge atoms is optionally replaced by O, S, NH and derivs.; their pharmaceutically acceptable salts, esters or prodrugs] were prepared as inhibitors of IKK protein kinase (IKK) and production of tumor necrosis factor- $\alpha$  (TNF- $\alpha$ ). For e.g., a 3-step synthesis of II was given. I showed IC50 values range of 20 to 1,000 nM in the I $\kappa$ B kinase activity assay. I, at 30 mg/kg p.o., i.v. or s.c., inhibited TNF- $\alpha$  production to the extent of up to about 50% or more in LPS stimulated mice. I are useful as immunosuppressants and antiinflammatory agents.

#### MSTR 1



G2 = pyridyl

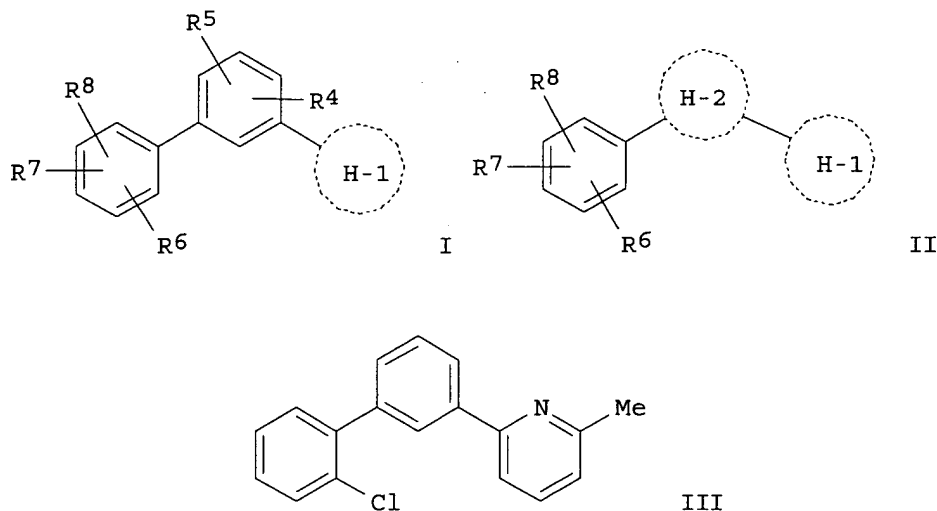
G3 = OH  
Patent location: claim 1  
Note: or pharmaceutically acceptable salts, esters or prodrugs  
Note: additional ring formation also claimed  
Note: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 27 MARPAT COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 141:332206 MARPAT  
TITLE: Preparation of biaryl substituted 6-membered heterocycles as sodium channel blockers  
INVENTOR(S): Chakravarty, Prasun K.; Fisher, Michael H.; Parsons, William H.; Liang, Jun; Zhou, Bishan  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.    | KIND                                                                                                                                                                                                                                                                                                                                                                                           | DATE     | APPLICATION NO. | DATE     |
|---------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|----------|
| WO 2004084824 | A2                                                                                                                                                                                                                                                                                                                                                                                             | 20041007 | WO 2004-US8532  | 20040319 |
| WO 2004084824 | A3                                                                                                                                                                                                                                                                                                                                                                                             | 20050331 |                 |          |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:           | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG                                                                                                                         |          |                 |          |

PRIORITY APPLN. INFO.: US 2003-456312P 20030324  
GI



AB The title biaryl substituted pyridine, pyrimidine and pyrazine compds. [I or II; H-1 = (un)substituted pyridyl, pyrimidyl, pyrazinyl; H-2 = (un)substituted pyridyl, pyrimidyl, pyrazinyl; R4, R5 = H, alkyl, alkoxy, aryloxy, etc.; R6-R8 = H, alkyl, cycloalkyl, alkoxy, etc.] which are sodium channel blockers useful for the treatment of pain (no data), were prepared E.g., a 2-step synthesis of III, starting from 2-bromo-6-methylpyridine and 3-bromophenylboronic acid, was given. Claimed pharmaceutical compns. comprise an effective amount of the instant compds. I, either alone, or in combination with one or more therapeutically active compds., and a pharmaceutically acceptable carrier. Methods of treating conditions associated with, or caused by, sodium channel activity, including, for example, acute pain, chronic pain, visceral pain, inflammatory pain, neuropathic pain, epilepsy, irritable bowel syndrome, depression, anxiety, multiple sclerosis, and bipolar disorder, comprise administering an effective amount of the present compds., either alone, or in combination with one or more other therapeutically active compds.

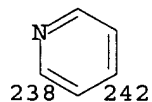
#### MSTR 1

~~G7—G26—G27—G1~~  
198 199 200 201

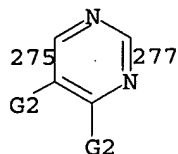
G2 = OH  
G11 = NH (opt. substd.)  
G12 = 35

~~C(O)·G18~~  
35

G26 = 238-198 242-200



G27 = 275-199 277-201

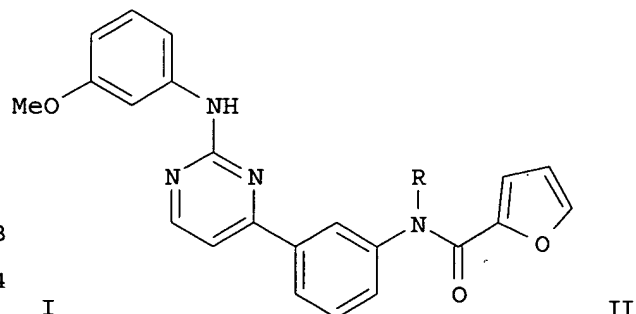
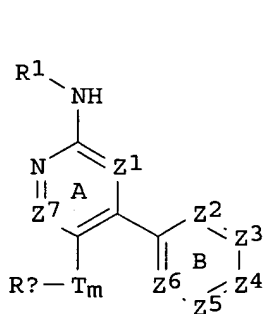


Patent location: claim 1  
 Note: or pharmaceutically acceptable salts

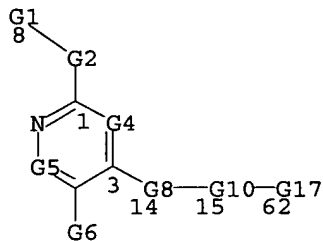
L12 ANSWER 14 OF 27 MARPAT COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 140:423690 MARPAT  
 TITLE: Pyridine and pyrimidine derivatives and their compositions, useful as inhibitors of JAK and other protein kinases  
 INVENTOR(S): Ledebouer, Mark; Ledford, Brian  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 122 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2004041789                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               | A1   | 20040521 | WO 2003-US34991 | 20031103 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |          |
| US 2004147507                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               | A1   | 20040729 | US 2003-700333  | 20031103 |
| PRIORITY APPLN. INFO.:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |      |          | US 2002-422973P | 20021101 |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |      |          | WO 2003-US34991 | 20031103 |

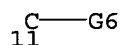
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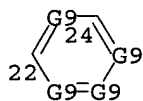
MSTR 1



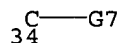
G1 = cyclohexyl  
 G2 = NH  
 G4 = N  
 G5 = 11



G6 = OH  
 G8 = 22-3 24-15



G9 = N / 34



Patent location: claim 1  
 Note: substitution is restricted

L12 ANSWER 15 OF 27 MARPAT COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 140:217824 MARPAT  
 TITLE: Preparation of novel biphenyl and biphenyl-like  
 cannabinoids with binding affinities for the CB1 and  
 CB2 cannabinoid receptor  
 INVENTOR(S): Makriyannis, Alexandros; Lai, Xin-Zhong; Lu, Dai  
 PATENT ASSIGNEE(S): University of Connecticut, USA  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------|------|----------|-----------------|----------|
| WO 2004017920 | A2   | 20040304 | WO 2003-US26585 | 20030825 |
| WO 2004017920 | A3   | 20040708 |                 |          |
| WO 2004017920 | B1   | 20040910 |                 |          |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
 TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

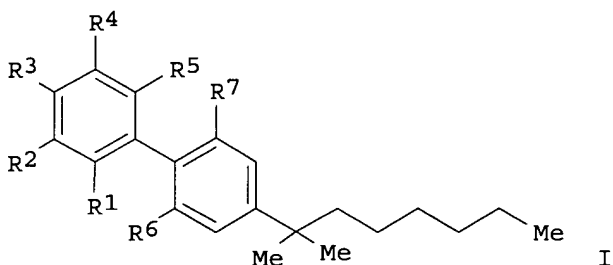
CA 2495903 AA 20040304 CA 2003-2495903 20030825  
 US 2004087590 A1 20040506 US 2003-647550 20030825  
 EP 1542948 A2 20050622 EP 2003-793389 20030825

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.:

US 2002-405608P 20020823  
 WO 2003-US26585 20030825

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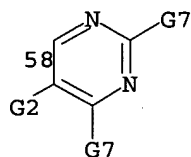


AB Novel biphenyl and biphenyl-like cannabinoid compds., such as I [R1 = H, F, CH2OH, CH2Br; R2 = Cl, NO2, CF3, F, Me, H, CO2Me; R3 = H, OH, NH2; R4 = Cl, NO2, CF3, F, Br, Me, H, CO2Me, CO2Et, CH2OH, CHO, COMe; R5 = H, F; R6, R7 = OH, OMe], were prepd for pharmaceutical use. These compds., when administered in a therapeutically effective amount to an individual or animal, result in a sufficiently high level of that compound in the individual or animal to cause a physiol. response useful to treat a number of physiol. conditions, such as central and peripheral pain, glaucoma, epilepsy, nausea, such as associated with cancer chemotherapy, AIDS Wasting Syndrome, cancer, neurodegenerative diseases, including Multiple Sclerosis, Parkinson's Disease, Huntington's Chorea and Alzheimer's Disease, and can also be used to enhance appetite, to reduce fertility, to prevent or reduce diseases associated with motor function such as Tourette's syndrome, to provide neuroprotection, to produce peripheral vasodilation and to suppress memory. The prepared cannabinoids were tested for CB2 receptor binding affinity and for CB1 receptor affinity. Thus, cannabinoid compound I [R1, R3, R5 = H; R2, R4 = Cl; R6, R7 = OH], prepared via a multistep synthetic sequence, exhibited IC50 values 2.6 nM and 0.6 nM for the CB1 and CB2 cannabinoid receptors, resp.

MSTR 1

G11-G1

G1 = 58



G8 = O / 122

N—G9  
122

G9 = carbon chain <containing 1-16 C> (opt. substd.)

G10 = carbon chain <containing 1-16 C> (opt. substd.)

G11 = pyridyl (opt. substd.)

Patent location: claim 1

Note: and physiologically acceptable salts

L12 ANSWER 16 OF 27 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:111414 MARPAT

TITLE: Preparation of imidazolpyrimidines and related compounds as JNK protein kinase inhibitors

INVENTOR(S): Ledebouer, Mark; Wang, Jian; Moon, Young Choom

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

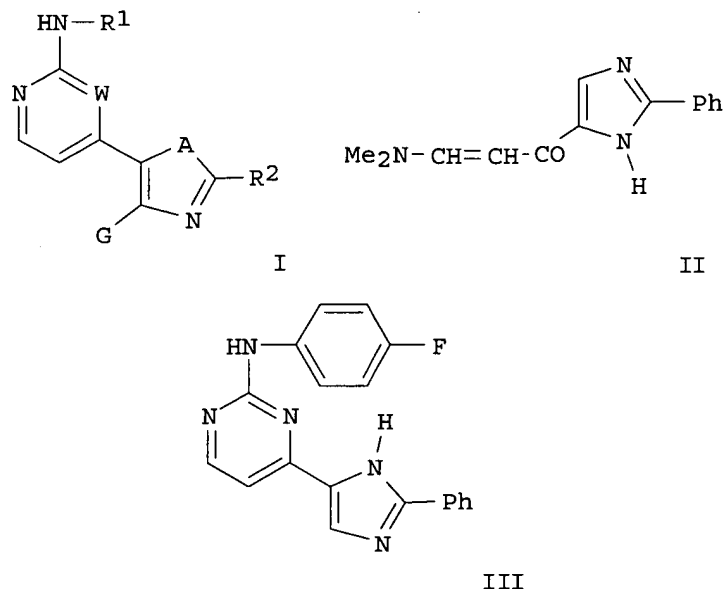
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

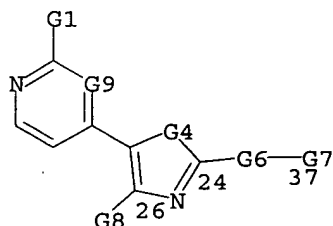
| PATENT NO.                                                                                                                                                                                                                                                                                                                                                                            | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2004005283                                                                                                                                                                                                                                                                                                                                                                         | A1   | 20040115 | WO 2003-US21524 | 20030709 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG                                                                                                                    |      |          |                 |          |
| CA 2491895                                                                                                                                                                                                                                                                                                                                                                            | AA   | 20040115 | CA 2003-2491895 | 20030709 |
| US 2004097531                                                                                                                                                                                                                                                                                                                                                                         | A1   | 20040520 | US 2003-616560  | 20030709 |
| PRIORITY APPLN. INFO.:                                                                                                                                                                                                                                                                                                                                                                |      |          | US 2002-395202P | 20020709 |
|                                                                                                                                                                                                                                                                                                                                                                                       |      |          | WO 2003-US21524 | 20030709 |

GI



AB Title compds. I [W = N, CH; G = H, alkyl with provisos; A = O, S, N-Tn-R; R = H, (un)substituted aliphatic; T = alkylidene chain wherein one methylene unit is optionally replaced by CO, CO<sub>2</sub>, CONH, etc.; n = 0, 1; R<sub>1</sub> = Tn-R, Tn-Ar<sub>1</sub>; Ar<sub>1</sub> = 3-7 membered monocyclic saturated, partially saturated or aromatic ring; R<sub>2</sub> = Qn-Ar<sub>2</sub>; Q = alkylidene chain with provisos; Ar<sub>2</sub> = 3-7 membered monocyclic saturated, partially saturated or aromatic ring] and their pharmaceutically acceptable salts and formulations were prepared. For example, condensation of enone II, e.g., prepared from 4-methoxybut-3-en-2-one in 3-steps, and N-(4-fluorophenyl)guanidine afforded imidazolpyrimidine III in 56% yield. In human JNK3 protein kinase inhibition assays, 36-examples of compds. I exhibited K<sub>i</sub> values ranging from 0.1-1.0 μM. Compds. I are claimed useful as inhibitors of JNK, a mammalian protein kinase involved cell proliferation, cell death and response to extracellular stimuli.

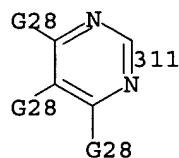
#### MSTR 1



G5 = NH  
G6 = 33-24 34-37

$\text{C}(\text{O})-\text{G5}$   
33 34

G7 = 311



G28 = OH / pyridyl

Patent location:

claim 1

Note:

substitution is restricted

Note:

or pharmaceutically acceptable derivatives

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 27 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 139:323530 MARPAT

TITLE: Preparation of novel pyrimidinediones for treating inflammation and immunol. diseases

INVENTOR(S): Agarwal, Shiv Kumar; Tadiparthi, Ravikumar; Aggarwal, Pawan; Shivakumar, Savithiri

PATENT ASSIGNEE(S): Orchid Chemicals &amp; Pharmaceuticals Limited, India

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

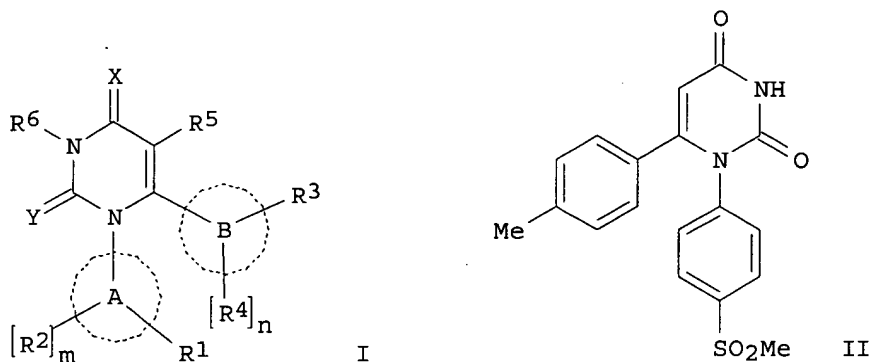
English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

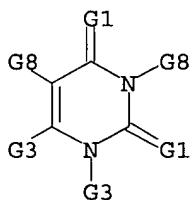
| PATENT NO.                                                                                                                                                                                                                                                                                                                                                                    | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2003084937                                                                                                                                                                                                                                                                                                                                                                 | A2   | 20031016 | WO 2003-IB1287  | 20030409 |
| WO 2003084937                                                                                                                                                                                                                                                                                                                                                                 | A3   | 20040603 |                 |          |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG                                                                                                            |      |          |                 |          |
| US 2003232813                                                                                                                                                                                                                                                                                                                                                                 | A1   | 20031218 | US 2003-409161  | 20030409 |
| US 2004009975                                                                                                                                                                                                                                                                                                                                                                 | A1   | 20040115 | US 2003-409153  | 20030409 |
| PRIORITY APPLN. INFO.:                                                                                                                                                                                                                                                                                                                                                        |      |          | IN 2002-MA266   | 20020410 |

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AB The title compds. [I; X, Y = O, S, NR (R = H, OH, acyl, etc.); A, B = (hetero)aryl; R<sub>1</sub>, R<sub>3</sub> = H, SR<sub>7</sub> (R<sub>7</sub> = alkyl, aryl), SO<sub>2</sub>PR<sub>8</sub> (R<sub>8</sub> = alkyl, amino, aryl; p = 1-2); R<sub>2</sub>, R<sub>4</sub> = H, halo, OH, NO<sub>2</sub>, etc.; R<sub>5</sub>, R<sub>6</sub> = H, halo, OH, etc.; m, n = 0-2], useful for treating inflammation and immunol. diseases mediated by cytokines such as TNF- $\alpha$ , IL-1, IL-6, IL-1 $\beta$ , IL-8 and cyclooxygenase such as COX-2 and COX-3, were prepared E.g., a multi-step synthesis of II (starting from 4-methylbenzoyl chloride) which showed 40.76% COX-2 inhibition, was given. Pharmaceutical composition comprising the compound I is claimed.

#### MSTR 1



G1 = O / 10

N—G2  
10

G2 = alkyl  
G3 = pyridyl  
Patent location:  
Note:  
Stereochemistry:

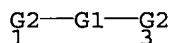
claim 14  
and derivatives, analogs, tautomeric forms,  
polymorphs, and pharmaceutically acceptable salts  
and stereoisomers

L12 ANSWER 18 OF 27 MARPAT COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 138:339812 MARPAT  
TITLE: Additives for aqueous ink compositions  
INVENTOR(S): Smith, Thomas W.; Luca, David J.; McGrane, Kathleen M.  
PATENT ASSIGNEE(S): Xerox Corporation, USA  
SOURCE: U.S. Pat. Appl. Publ., 44 pp.  
CODEN: USXXCO

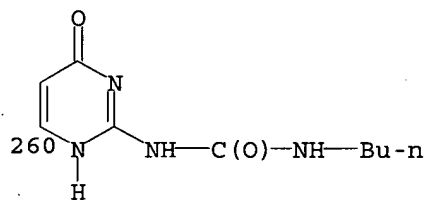
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| US 2003079644          | A1   | 20030501 | US 2001-949315  | 20010907 |
| PRIORITY APPLN. INFO.: |      |          | US 2001-949315  | 20010907 |

AB Disclosed is an aqueous ink composition comprising an aqueous liquid vehicle, a colorant, and an additive wherein, when the ink has been applied to a recording substrate in an image pattern and a substantial amount of the aqueous liquid vehicle has either evaporated from the ink image, hydrogen bonds of sufficient strength exist between the additive mols. so that the additive forms hydrogen-bonded oligomers or polymers. Tetraethylene glycol di-p-benzoic acid was prepared and used as an ink additive.

**MSTR 1A**

G2 = pyridyl (opt. substd.) / 260



Patent location: claim 1

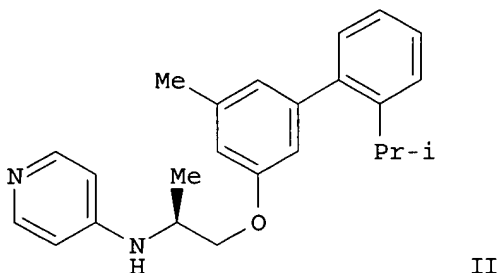
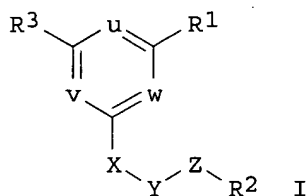
L12 ANSWER 19 OF 27 MARPAT COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 136:263168 MARPAT  
TITLE: Preparation of substituted heterocyclic aryl-alkyl-aryl compounds as thrombin inhibitors  
INVENTOR(S): Isaacs, Richard C.; Williams, Peter D.; Lyle, Terry A.; Staas, Donnette D.; Savage, Kelly L.  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 91 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.                                                                                                                                                                                         | KIND | DATE     | APPLICATION NO. | DATE     |
|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2002022584                                                                                                                                                                                      | A1   | 20020321 | WO 2001-US28791 | 20010911 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, |      |          |                 |          |

LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,  
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,  
 UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001094557 A5 20020326 AU 2001-94557 20010911  
 PRIORITY APPLN. INFO.: US 2000-231656P 20000911  
 WO 2001-US28791 20010911

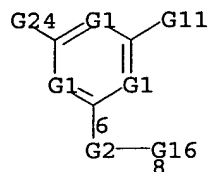
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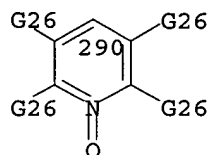
AB Title compds. I [u, v, w = CH, N; X = O, SO0-2, NH, alkenyl, C:O, C:ONH, C:OO, alkyl, CH2NH, CH2O, CF2; Y = (CH2)0-1(CR4R5)(CH2)0-1; Z = O, S0-2, C:O, amino, CF2, bond; R1 = H, alkyl(CN), C:O, (CH2)0-1-carboxy, CF3, alkoxy, halo, SO0-2, amino; R2 = (un)substituted Ph, 5-6-membered heterocycle; R3 = Ph, (un)substituted ring system, 5-6-membered heterocycle; R4-5 = H, alkyl; R6, R8 = halo, alkylamino, heterocycle] were prepared. Examples include data for over 20 compds., 3 solid oral dosage formulations and an in-vitro assay for protease determination for example compds.

For instance, 2'-isopropyl-5-methylbiphenyl-3-ol (prepared in 3 steps from 2-isopropylphenyl iodide) was reacted with (S)-2-(pyridin-4-ylamino)propan-1-ol to give II isolated as the trifluoroacetate. Example compds. exhibited inhibitory activity against human thrombin,  $K_i < 24$  nM. I are useful in the treatment of blood coagulation and cardiovascular disorders.

MSTR 1



G1 = CH / N  
 G3 = NH  
 G11 = OH  
 G24 = 290



G29 = alkylene <containing 1 or more C>  
 Patent location: claim 1  
 Note: substitution is restricted  
 Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 27 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:247608 MARPAT

TITLE: Preparation of piperidinyl-, piperazinyl-, and homopiperazinylpolyarylcaboxamides as lipid lowering agents

INVENTOR(S): Meerpoel, Lieven; Roevens, Peter Walter Maria; Backx, Leo Jacobus Jozef; Van der Veken, Louis Jozef Elisabeth; Viellevoeye, Marcel

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

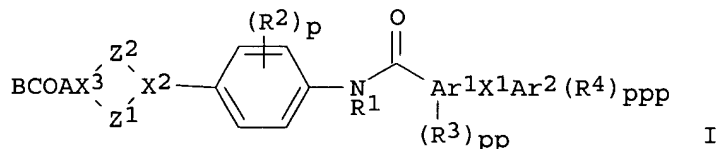
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.                                                                                                                                                                                                                                                                                                                                                                                | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2002020501                                                                                                                                                                                                                                                                                                                                                                             | A2   | 20020314 | WO 2001-EP9926  | 20010827 |
| WO 2002020501                                                                                                                                                                                                                                                                                                                                                                             | A3   | 20020627 |                 |          |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG                                                                                                                                                                                            |      |          |                 |          |
| CA 2421228                                                                                                                                                                                                                                                                                                                                                                                | AA   | 20020314 | CA 2001-2421228 | 20010827 |

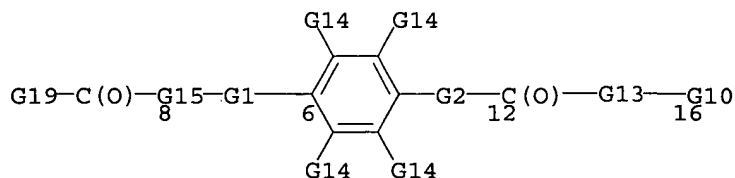
|                                                                                                           |    |          |                |          |
|-----------------------------------------------------------------------------------------------------------|----|----------|----------------|----------|
| AU 2002010468                                                                                             | A5 | 20020322 | AU 2002-10468  | 20010827 |
| EP 1317431                                                                                                | A2 | 20030611 | EP 2001-978313 | 20010827 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR |    |          |                |          |
| BR 2001014045                                                                                             | A  | 20030701 | BR 2001-14045  | 20010827 |
| JP 2004508361                                                                                             | T2 | 20040318 | JP 2002-525123 | 20010827 |
| NZ 524525                                                                                                 | A  | 20040326 | NZ 2001-524525 | 20010827 |
| EE 200300080                                                                                              | A  | 20050215 | EE 2003-80     | 20010827 |
| BG 107581                                                                                                 | A  | 20031128 | BG 2003-107581 | 20030221 |
| US 2004014971                                                                                             | A1 | 20040122 | US 2003-363665 | 20030228 |
| US 6878724                                                                                                | B2 | 20050412 |                |          |
| ZA 2003001755                                                                                             | A  | 20040622 | ZA 2003-1755   | 20030303 |
| NO 2003001001                                                                                             | A  | 20030304 | NO 2003-1001   | 20030304 |
| HR 2003000156                                                                                             | A1 | 20030430 | HR 2003-156    | 20030304 |
| PRIORITY APPLN. INFO.:                                                                                    |    |          | EP 2000-203067 | 20000904 |
|                                                                                                           |    |          | WO 2001-EP9926 | 20010827 |

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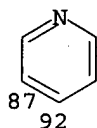


AB Title compds. [I; Z1 = (CH<sub>2</sub>)<sub>n</sub>, CH<sub>2</sub>CH<sub>2</sub>O; n = 1-3; Z2 = (CH<sub>2</sub>)<sub>m</sub>; m = 1, 2; X1 = O, CH<sub>2</sub>, CO, NH, CH<sub>2</sub>O, CH<sub>2</sub>S, bond; X2, X3 = CH, N, C; R1 = H, alkyl; Ar1, Ar2 = (substituted) Ph, naphthalenyl, pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, triazolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, pyrrolyl, furyl, thienyl; R2, R3 = alkyl, alkoxy, halo, CF<sub>3</sub>; R4 = alkyl, alkoxy, halo, OH, SH, cyano, NO<sub>2</sub>, alkylthio, polyhaloalkyl, amino, alkylamino, dialkylamino; p, pp = 0-2; ppp = 0-3; X1, R4 taken together with Ar1 and Ar2 to which they are attached = fluoren-1-yl, fluoren-4-yl; A = alkanediyl substituted with 1-2 aryl, heteroaryl, cycloalkyl; when X3 = CH, A may also = N substituted with H, alkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, cycloalkyl; B = H, alkyl, aralkyl, heteroarylalkyl, (substituted) aryl, heteroaryl, etc.], and N-oxides thereof, were prepared. Thus, 4'-trifluoromethylbiphenyl-2-carboxylic acid was stirred 2 h with (COCl)<sub>2</sub> in CH<sub>2</sub>Cl<sub>2</sub> containing DMF; the resulting mixture was added to a mixture prepared from 4-(4-aminophenyl)-α-Ph-N-(2,2,2-trifluoroethyl)-1-piperazineacetamide (preparation given) and Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> under ice/salt cooling followed by stirring and reflux for 2 days to give N-[4-[4-[2-oxo-1-phenyl-2-[(2,2,2-trifluoroethyl)amino]ethyl]-1-piperazinyl]phenyl]-4'-(trifluoromethyl)[1,1'-biphenyl]-2-carboxamide. The latter inhibited microsomal triglyceride transfer protein (MTP) activity with pIC<sub>50</sub> = 7.864.

## MSTR 1B



G10 = pyrimidinyl (opt. substd. by (1-3) G11)  
 G11 = OH / dialkylamino <each alkyl containing 1-4 C>  
 G13 = 87-12 92-16

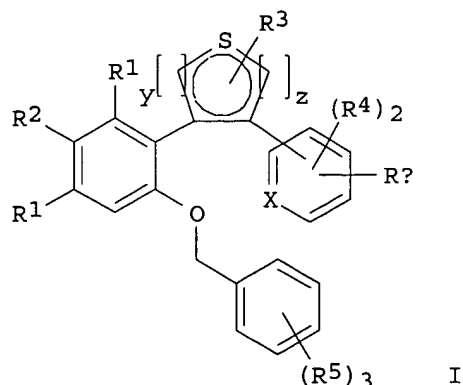


Patent location: claim 1  
 Note: and n-oxides and pharmaceutically acceptable addition salts  
 Note: also incorporates claim 7  
 Note: substitution is restricted  
 Stereochemistry: and stereochemically isomeric forms

L12 ANSWER 21 OF 27 MARPAT COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 136:210605 MARPAT  
 TITLE: Method of treating or preventing urinary incontinence using prostanoid EP1 receptor antagonists  
 INVENTOR(S): Broten, Theodore P.; Nantel, Francois J.; Metters, Kathleen M.; Turner, Mervyn  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Frosst Canada & Co.  
 SOURCE: PCT Int. Appl., 127 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

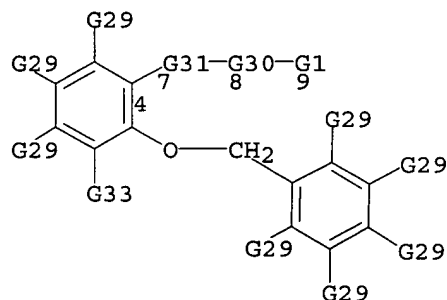
| PATENT NO.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  | KIND | DATE     | APPLICATION NO. | DATE     |
|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2002015902                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               | A1   | 20020228 | WO 2001-US25982 | 20010820 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |          |
| AU 2001086557                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               | A5   | 20020304 | AU 2001-86557   | 20010820 |
| US 2002137746                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               | A1   | 20020926 | US 2001-935614  | 20010823 |
| PRIORITY APPLN. INFO.:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |      |          | US 2000-227183P | 20000823 |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |      |          | WO 2001-US25982 | 20010820 |

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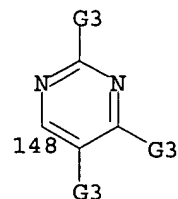


AB This invention encompasses a method of treating or preventing urinary incontinence in a mammalian patient comprising administering to the patient a compound of formula I (X = C or N; x and z are independently 0-2 such that y + z = 2; Ra = heteroaryl such as furyl, diazinyl, triazinyl, tetrazinyl, imidazolyl, isoxazolyl, isothiazolyl, etc.; R1, R2, R3, R4 and R5 are independently = H, halogen, C1-6alkyl, C1-6alkoxy, C1-6alkylthio, etc.; R6 = H, OH, C1-6alkyl, C1-6alkoxy, etc.) or a pharmaceutically acceptable salt, hydrate or ester thereof. The invention also encompasses certain pharmaceutical compns. and methods for treatment of prostaglandin mediated diseases comprising the use of compds. of formula I.

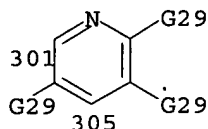
**MSTR 1**



G1 = 148



G3 = OH / dialkylamino <each alkyl containing 1-6 C>  
G30 = 301-7 305-9



Patent location: claim 1  
 Note: substitution is restricted  
 Note: or pharmaceutically acceptable salts, hydrates or esters

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 27 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 137:263025 MARPAT

TITLE: Preparation of substituted oxazoles as IMPDH inhibitors

INVENTOR(S): Liu, Chunjian; Dhar, T. G. Murali; Gu, Henry H.; Iwanowicz, Edwin J.; Leftheris, Katerina; Pitts, William J.; Herpin, Timothy F.; Pi, Zulan; Bisacchi, Gregory S.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S. Ser. No. 428,432.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

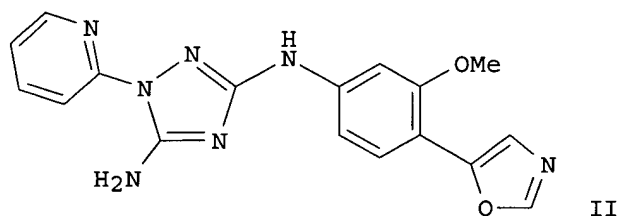
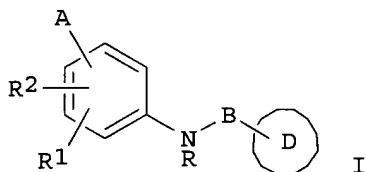
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.                                                                                                                                                                                                                                                                                                                                                                    | KIND | DATE     | APPLICATION NO. | DATE     |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| US 2002143176                                                                                                                                                                                                                                                                                                                                                                 | A1   | 20021003 | US 2001-997963  | 20011129 |
| US 6596747                                                                                                                                                                                                                                                                                                                                                                    | B2   | 20030722 |                 |          |
| US 6399773                                                                                                                                                                                                                                                                                                                                                                    | B1   | 20020604 | US 1999-428432  | 19991027 |
| WO 2003047512                                                                                                                                                                                                                                                                                                                                                                 | A2   | 20030612 | WO 2002-US38038 | 20021127 |
| WO 2003047512                                                                                                                                                                                                                                                                                                                                                                 | A3   | 20031016 |                 |          |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG                                                                                                                        |      |          |                 |          |
| EP 1448187                                                                                                                                                                                                                                                                                                                                                                    | A2   | 20040825 | EP 2002-789910  | 20021127 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK                                                                                                                                                                                                                                                     |      |          |                 |          |

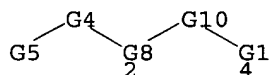
PRIORITY APPLN. INFO.:  
 US 1998-106186P 19981029  
 US 1999-428432 19991027  
 US 2001-997963 20011129  
 WO 2002-US38038 20021127

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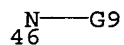


AB Title compds. I [D = mono/bicyclic (hetero)cyclic ring; A = R3, R4; R3 = 5-6-membered (un)saturated heterocyclic ring; R4 = H, halo, NO, CF3, alkyl, alkoxy, etc.; R = H, alkyl; R1-2 = H, halo, NO2, alkyl, etc.; B = mono/bicyclic (hetero)cyclic ring system] were prepared  
5-(4-Amino-2-methoxyphenyl)oxazole was reacted with di-Ph cyanocarbonimide (CH3CN, reflux, 40 h) to give an intermediate which was reacted with 2-hydrazinopyridine to afford II. I are effective inhibitors of IMPDH enzyme and/or serine protease factor VIIa.

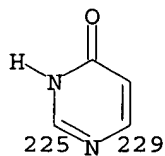
#### MSTR 1



G1 = pyridyl (opt. substd. by G15)  
G4 = phenylene (opt. substd.)  
G8 = 46



G9 = alkyl <containing 1-4 C>  
G10 = 225-2 229-4



Patent location: claim 1  
Note: substitution is restricted  
Note: additional ring formation also claimed

L12 ANSWER 23 OF 27 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 135:137519 MARPAT

TITLE: Preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as  $\alpha$ 1c antagonists

INVENTOR(S): Nagarathnam, Dhanapalan; Chiu, George; Dhar, T. G. Murali; Wong, Wai C.; Marzabadi, Mohammad R.; Gluchowski, Charles; Lagu, Bharat; Miao, Shou Wu

PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corp., USA

SOURCE: U.S., 67 pp., Cont.-in-part of U. S. Ser. No. 340,611, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

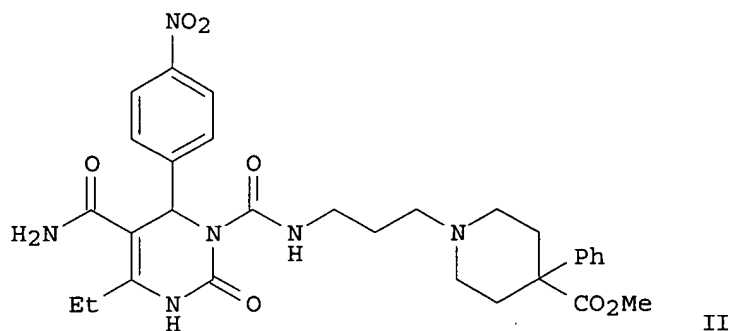
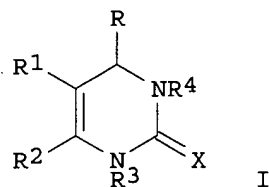
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

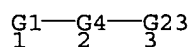
| PATENT NO.             | KIND                                                                                                                                                                                                   | DATE     | APPLICATION NO. | DATE     |
|------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|----------|
| US 6268369             | B1                                                                                                                                                                                                     | 20010731 | US 1997-836628  | 19970516 |
| WO 9614846             | A1                                                                                                                                                                                                     | 19960523 | WO 1995-US15025 | 19951116 |
| W:                     | AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT |          |                 |          |
| RW:                    | KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG                                                         |          |                 |          |
| US 6248747             | B1                                                                                                                                                                                                     | 20010619 | US 1999-291553  | 19990414 |
| US 6727257             | B1                                                                                                                                                                                                     | 20040427 | US 2000-730458  | 20001205 |
| PRIORITY APPLN. INFO.: |                                                                                                                                                                                                        |          | US 1994-340611  | 19941116 |
|                        |                                                                                                                                                                                                        |          | WO 1995-US15025 | 19951116 |
|                        |                                                                                                                                                                                                        |          | US 1997-836628  | 19970516 |
|                        |                                                                                                                                                                                                        |          | US 1997-978682  | 19971126 |

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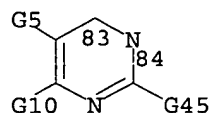


AB Title compds. [e.g., I; R = (un)substituted (hetero)aryl; R1 = H, (fluoro)alkyl, cyano, CO2R3, etc.; R2 = H, alkyl, OR3, etc.; R3 = H, (fluoro)alkyl, etc.; R4 = e.g., (4-arylpiperidinopropyl)carbamoyl; X = O, S, (alkyl)imino] and analogs thereof were prepared. Over 60 synthetic examples were provided. Thus 1,6-dihydro-5-(cyanoethoxycarbonyl)-4-ethyl-6-(4-nitrophenyl)-2-methoxypyrimidine (prepared in 3 steps) was treated with 4-nitrophenylchloroformate (acylation at N1) followed by the corresponding substituted piperidine to give the N1 carboxamide intermediate. The cyanoethoxycarbonyl function was saponified and converted to the 5-carboxamido derivative II. Thus, title compound II had pKi of 9.74 for binding at human  $\alpha$ lc receptors in vitro. Treatment of benign prostatic hyperplasia is a claimed use of the invention.

## MSTR 2



G1 = pyridyl (opt. substd.)  
G4 = 83-1 84-3



G7 = carbon chain <containing 1-7 C,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd. by 1 or more F)  
G10 = OH  
G45 = 408

HN—G7  
408

Patent location: disclosure  
Note: or pharmaceutically acceptable salts  
Note: additional ring formation also claimed  
Note: substitution is restricted

REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 24 OF 27 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 130:237583 MARPAT

TITLE: Preparation of quinoline and quinazoline derivatives having corticotropin releasing factor (CRF) antagonist activity

INVENTOR(S): Den Hartog, Jacobus A. J.; Visser, Gerben M.; Toorop, Gerrit P.; Jansen, Johannes W. C. M.; Ronken, Eric; Tulp, Martinus T. M.; Reinders, Jan H.

PATENT ASSIGNEE(S): Duphar International Research B.V., Neth.

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

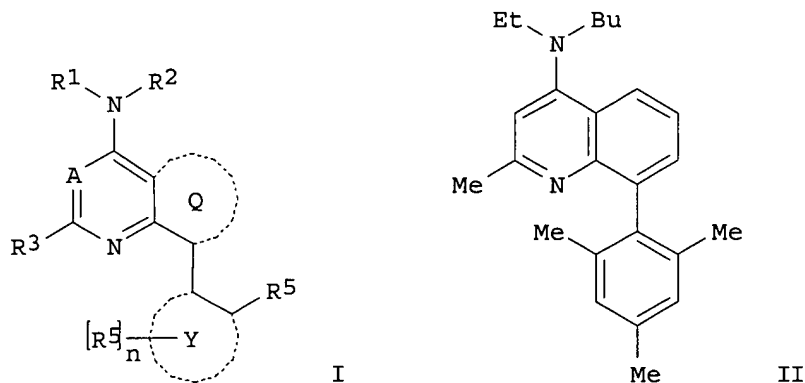
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

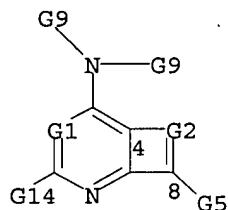
| PATENT NO.             | KIND                                                                                                                                                                                                                                                                                                                           | DATE     | APPLICATION NO. | DATE     |
|------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----------|-----------------|----------|
| WO 9912908             | A1                                                                                                                                                                                                                                                                                                                             | 19990318 | WO 1998-EP5726  | 19980907 |
| W:                     | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |          |
| RW:                    | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG                                                                                                                                                         |          |                 |          |
| NL 1010018             | C2                                                                                                                                                                                                                                                                                                                             | 19990310 | NL 1998-1010018 | 19980904 |
| CA 2270777             | AA                                                                                                                                                                                                                                                                                                                             | 19990318 | CA 1998-2270777 | 19980907 |
| AU 9896241             | A1                                                                                                                                                                                                                                                                                                                             | 19990329 | AU 1998-96241   | 19980907 |
| EP 966442              | A1                                                                                                                                                                                                                                                                                                                             | 19991229 | EP 1998-950008  | 19980907 |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI                                                                                                                                                                                                                                                         |          |                 |          |
| JP 2001505226          | T2                                                                                                                                                                                                                                                                                                                             | 20010417 | JP 1999-515100  | 19980907 |
| US 6350750             | B1                                                                                                                                                                                                                                                                                                                             | 20020226 | US 1999-297837  | 19990913 |
| PRIORITY APPLN. INFO.: |                                                                                                                                                                                                                                                                                                                                |          | EP 1997-202762  | 19970909 |
|                        |                                                                                                                                                                                                                                                                                                                                |          | WO 1998-EP5726  | 19980907 |

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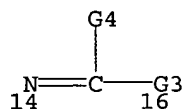


AB The title compds. [I; A = CH, N; Q = (un)substituted Ph, pyridyl, pyrimidinyl, pyridazinyl; Y = Ph, pyridyl, pyrimidinyl, etc.; R1, R2 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R3 H, alkyl optionally substituted with one or more F atoms; R4 = halo, MeO, EtO, etc.; R5 = halo, alkyl, alkenyl, etc.; n = 0-4], having corticotropin releasing factor (CRF) antagonist activity (no data) and useful in the treatment of a wide range of stress related disorders, were prepared E.g., a 4-step synthesis of quinoline II, starting with 2-methyl-4-hydroxy-8-bromoquinoline, was given.

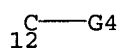
**MSTR 1**



G2 = 14-4 16-8

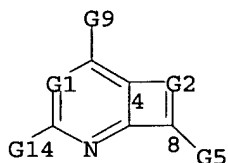


G3 = 12

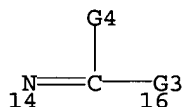


G5 = pyrimidinyl (substd. by 1 or more G6)  
 G6 = OH / dialkylamino <each alkyl containing 1-4 C>  
 Patent location: claim 1  
 Note: substitution is restricted

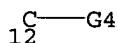
## MSTR 2



G2 = 14-4 16-8



G3 = 12



G5 = pyrimidinyl (substd. by 1 or more G6)

G6 = OH / dialkylamino <each alkyl containing 1-4 C>

Patent location: claim 2

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 25 OF 27 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 125:142759 MARPAT

TITLE: Preparation of 1-(4-arylpiperidinopropyl)carbamoyl-2-piperidone-5-carboxylates and analogs as  $\alpha$ 1c antagonists

INVENTOR(S): Nagarathnam, Dhanapalan; Chiu, George; Dhar, T. G. Murali; Wong, Wai C.; Marzabadi, Mohammad R.; Gluchowski, Charles; Lagu, Bharat; Miao, Shou Wu

PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA

SOURCE: PCT Int. Appl., 229 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

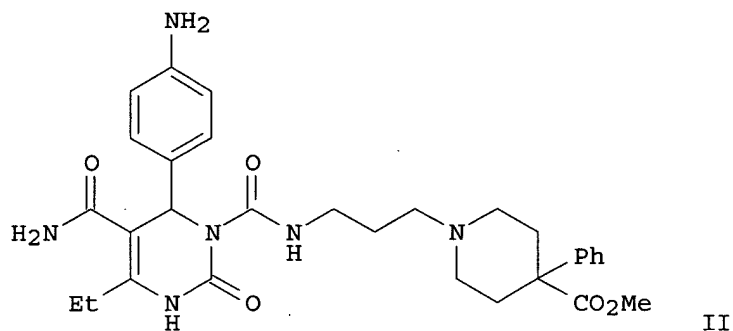
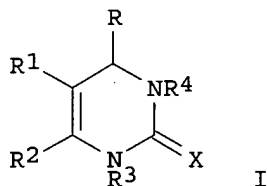
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO.                                                                                                                                                                                                | KIND | DATE     | APPLICATION NO. | DATE     |
|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 9614846                                                                                                                                                                                                | A1   | 19960523 | WO 1995-US15025 | 19951116 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT |      |          |                 |          |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG                                                        |      |          |                 |          |

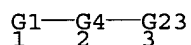
|                                                                                                                                                                                                                                                                                                       |    |          |                 |          |
|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|----|----------|-----------------|----------|
| CA 2205384                                                                                                                                                                                                                                                                                            | AA | 19960523 | CA 1995-2205384 | 19951116 |
| CA 2205384                                                                                                                                                                                                                                                                                            | C  | 20040629 |                 |          |
| AU 9642398                                                                                                                                                                                                                                                                                            | A1 | 19960606 | AU 1996-42398   | 19951116 |
| AU 714640                                                                                                                                                                                                                                                                                             | B2 | 20000106 |                 |          |
| EP 790826                                                                                                                                                                                                                                                                                             | A1 | 19970827 | EP 1995-940748  | 19951116 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE                                                                                                                                                                                                                                 |    |          |                 |          |
| CN 1173132                                                                                                                                                                                                                                                                                            | A  | 19980211 | CN 1995-197348  | 19951116 |
| JP 10510247                                                                                                                                                                                                                                                                                           | T2 | 19981006 | JP 1996-516354  | 19951116 |
| JP 3200070                                                                                                                                                                                                                                                                                            | B2 | 20010820 |                 |          |
| BR 9509700                                                                                                                                                                                                                                                                                            | A  | 19981103 | BR 1995-9700    | 19951116 |
| HU 77941                                                                                                                                                                                                                                                                                              | A2 | 19981228 | HU 1998-1222    | 19951116 |
| CA 2237774                                                                                                                                                                                                                                                                                            | AA | 19970522 | CA 1996-2237774 | 19961115 |
| WO 9717969                                                                                                                                                                                                                                                                                            | A1 | 19970522 | WO 1996-US18573 | 19961115 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |    |          |                 |          |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG                                                                                                                                                |    |          |                 |          |
| AU 9710558                                                                                                                                                                                                                                                                                            | A1 | 19970605 | AU 1997-10558   | 19961115 |
| AU 714287                                                                                                                                                                                                                                                                                             | B2 | 19991223 |                 |          |
| ZA 9609612                                                                                                                                                                                                                                                                                            | A  | 19970721 | ZA 1996-9612    | 19961115 |
| EP 866708                                                                                                                                                                                                                                                                                             | A1 | 19980930 | EP 1996-941406  | 19961115 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI                                                                                                                                                                                                                             |    |          |                 |          |
| JP 2000500470                                                                                                                                                                                                                                                                                         | T2 | 20000118 | JP 1997-519157  | 19961115 |
| NO 9702236                                                                                                                                                                                                                                                                                            | A  | 19970701 | NO 1997-2236    | 19970515 |
| FI 9702087                                                                                                                                                                                                                                                                                            | A  | 19970714 | FI 1997-2087    | 19970515 |
| US 6268369                                                                                                                                                                                                                                                                                            | B1 | 20010731 | US 1997-836628  | 19970516 |
| US 5942517                                                                                                                                                                                                                                                                                            | A  | 19990824 | US 1997-978682  | 19971126 |
| US 6228861                                                                                                                                                                                                                                                                                            | B1 | 20010508 | US 1998-68782   | 19981110 |
| US 6248747                                                                                                                                                                                                                                                                                            | B1 | 20010619 | US 1999-291553  | 19990414 |
| US 6727257                                                                                                                                                                                                                                                                                            | B1 | 20040427 | US 2000-730458  | 20001205 |
| PRIORITY APPLN. INFO.:                                                                                                                                                                                                                                                                                |    |          | US 1994-340611  | 19941116 |
|                                                                                                                                                                                                                                                                                                       |    |          | WO 1995-US15025 | 19951116 |
|                                                                                                                                                                                                                                                                                                       |    |          | US 1996-648770  | 19960516 |
|                                                                                                                                                                                                                                                                                                       |    |          | WO 1996-US18573 | 19961115 |
|                                                                                                                                                                                                                                                                                                       |    |          | US 1997-836628  | 19970516 |
|                                                                                                                                                                                                                                                                                                       |    |          | US 1997-978682  | 19971126 |

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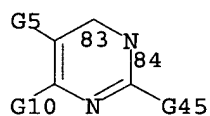


AB Title compds. [e.g., I; R = (un)substituted (hetero)aryl; R<sup>1</sup> = H, (fluoro)alkyl, cyano, ,CO<sub>2</sub>R<sup>3</sup>, etc.; R<sup>2</sup> = H, alkyl, OR<sup>3</sup>, etc.; R<sup>3</sup> = H, (fluoro)alkyl, etc.; R<sup>4</sup> = e.g, (4-arylpiperidinopropyl)carbamoyl; X = O, S, (alkyl)iminol] were prepared. Thus, title compound II had pK<sub>i</sub> of 9.74 for binding at human α<sub>1c</sub> receptors in vitro.

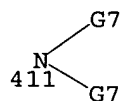
## MSTR 2



G<sub>1</sub> = pyridyl (opt. substd.)  
G<sub>4</sub> = 83-1 84-3



G<sub>7</sub> = carbon chain <containing 1-7 C,  
0 or more double bonds, 0 or more triple bonds>  
(opt. substd. by 1 or more F)  
G<sub>10</sub> = OH  
G<sub>45</sub> = 411



Derivative: or pharmaceutically acceptable salts  
Patent location: claim 20

Note: additional ring formation specified  
 Note: substitution is restricted

L12 ANSWER 26 OF 27 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 124:29756 MARPAT  
 TITLE: Imidazopyridine derivatives useful as  
 antihypertensives and processes for their preparation  
 INVENTOR(S): Yoo, Sung Eun; Yi, Kyu Yang; Lee, Sang Hee; Kim, Hye  
 Ryung; Suh, Jee Hee; Kim, Nak Jeong; Kim, Seon Ju;  
 Cha, Ok Ja; Shin, Young Ah; et al.  
 PATENT ASSIGNEE(S): S. Korea  
 SOURCE: PCT Int. Appl., 74 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

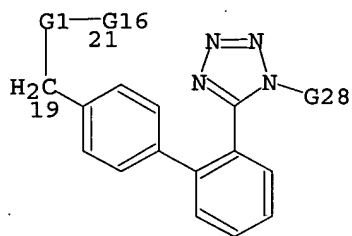
| PATENT NO.                     | KIND | DATE     | APPLICATION NO. | DATE     |
|--------------------------------|------|----------|-----------------|----------|
| WO 9521838                     | A1   | 19950817 | WO 1995-KR9     | 19950208 |
| W: AU, CA, JP, US              |      |          |                 |          |
| RW: DE, ES, FR, GB, IT, NL, SE |      |          |                 |          |
| KR 151816                      | B1   | 19981015 | KR 1995-1286    | 19950125 |
| CA 2182477                     | AA   | 19950817 | CA 1995-2182477 | 19950208 |
| CA 2182477                     | C    | 19990615 |                 |          |
| AU 9517184                     | A1   | 19950829 | AU 1995-17184   | 19950208 |
| AU 691879                      | B2   | 19980528 |                 |          |
| EP 743943                      | A1   | 19961127 | EP 1995-909125  | 19950208 |
| EP 743943                      | B1   | 20011031 |                 |          |
| R: DE, ES, FR, GB, IT, NL, SE  |      |          |                 |          |
| JP 09507675                    | T2   | 19970805 | JP 1995-521124  | 19950208 |
| JP 2905599                     | B2   | 19990614 |                 |          |
| ES 2166816                     | T3   | 20020501 | ES 1995-909125  | 19950208 |
| US 5849753                     | A    | 19981215 | US 1996-682684  | 19960725 |
| PRIORITY APPLN. INFO.:         |      |          | KR 1994-2354    | 19940208 |
|                                |      |          | KR 1994-13795   | 19940618 |
|                                |      |          | KR 1994-17900   | 19940725 |
|                                |      |          | KR 1995-1286    | 19950125 |
|                                |      |          | WO 1995-KR9     | 19950208 |

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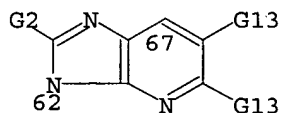
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title derivs. I [A = (cyclo)alkyl, OR1, NR2R3; R1, R2, R3 = H, (cyclo)alkyl; B = H, (cyclo)alkyl; D = H, halo, (cyclo)alkyl, (CH2)nX; n = 0-3; X = certain (un)substituted (hetero)aryl groups or CO2R1; W = (CH2)nCH(XR4)YR4; R4 = (cyclo)alkyl; or R4R4 = (CH2)2-5; X, Y = O, S] are effective inhibitors of the action of angiotensin II, and have superior antihypertensive activity. Examples include synthesis of approx. 30 I. Thus, 3-bromo-5,6-diamino-2-picoline [preparation given] was cyclized with valeric acid to give imidazopyridine intermediate II. This underwent a sequence of N-oxidation, rearrangement of the oxide to a hydroxymethyl compound, N3-protection, Pd-catalyzed phenylation of the bromide, N-deprotection, N-coupling with a biphenylmethyl bromide derivative, oxidation

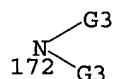
of hydroxymethyl to formyl, and acetalization, to give title compound III [D = Ph]. I showed superior potency and pharmacol. characteristics in comparison to similar known compds. in receptor and animal expts. For example, the similarly prepared compound III [D = 2-pyridyl] gave up to 8 h of maximum antihypertensive activity in furosemide-administered dogs, and had no metabolite in an enzyme digestion test, whereas a known imidazopyridine derivative gave only 2-3 h maximum effect and had an unidentified metabolite.

**MSTR 1B**

G1 = 62-19 67-21



G3 = alkyl <containing 1-6 C>  
 G16 = pyrimidinyl (opt. substd. by (1) G21)  
 G21 = OH / 172



Patent location: claim 1  
 Note: also incorporates claim 6, 7, 8 and 9

L12 ANSWER 27 OF 27 MARPAT COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 123:340179 MARPAT  
 TITLE: Preparation of herbicidal (hetero)arylpyrimidines.  
 INVENTOR(S): Baum, John William; Bamberg, Joe Timothy; Grina, Jonas  
 Antanas  
 PATENT ASSIGNEE(S): Sandoz Ltd., Switz.; Sandoz-Patent-G.m.b.H.;  
 Sandoz-Erfindungen Verwaltungsgesellschaft mbH  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| WO 9519358 | A1   | 19950720 | WO 1995-EP86    | 19950111 |

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN

RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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|------------|----|----------|-----------------|----------|
| IL 112290  | A1 | 19990126 | IL 1995-112290  | 19950110 |
| CA 2174730 | AA | 19950720 | CA 1995-2174730 | 19950111 |
| AU 9514559 | A1 | 19950801 | AU 1995-14559   | 19950111 |
| AU 689532  | B2 | 19980402 |                 |          |
| EP 739341  | A1 | 19961030 | EP 1995-906329  | 19950111 |
| EP 739341  | B1 | 19990602 |                 |          |

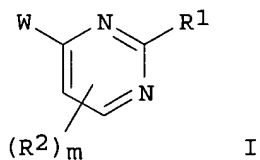
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| CN 1138859 | A  | 19961225 | CN 1995-191207 | 19950111 |
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| HU 74440   | A2 | 19961230 | HU 1996-1905   | 19950111 |
| BR 9506482 | A  | 19971007 | BR 1995-6482   | 19950111 |
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| ZA 9500221 | A  | 19960712 | ZA 1995-221    | 19950112 |

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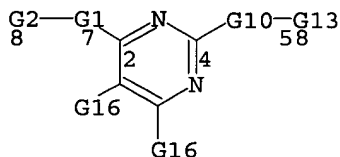
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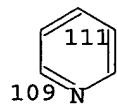


AB Title compds. [I; W = substituted Ph, 5- or 6-membered aromatic heterocyclyl wherein 1-2 atoms of said ring are selected from O, N, S; W being substituted by at least R; R = CO<sub>2</sub>R<sub>4</sub>, CHO, CONHOCH<sub>2</sub>CO<sub>2</sub>R<sub>4</sub>, COSR<sub>4</sub>, CO<sub>2</sub>CHR<sub>5</sub>OCOR<sub>6</sub>, CH:NOR<sub>4</sub>; R<sub>1</sub> = (substituted) (hetero)aryl, etc.; R<sub>2</sub> = H, halo, alkyl, alkenyl, haloalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkoxy, alkoxyalkyl, cyano, NO<sub>2</sub>, CO<sub>2</sub>R<sub>4</sub>, etc.; R<sub>4</sub> = H, alkali or alkaline earth cation, (substituted) ammonium, phosphonium, alkyl, alkenyl, haloalkyl, alkoxyalkyl, (substituted) Ph, phenylalkyl; R<sub>5</sub> = H, alkyl; R<sub>6</sub> = alkyl, alkenyl, haloalkyl, alkoxyalkyl, (substituted) Ph, phenylalkyl; m = 1, 2], were prepared Thus, 2-acetylpyridine-3-carboxylic acid was refluxed with DMF di-Me acetal in PhMe to give 1-(3-methoxycarbonylpyridin-2-yl)-3-(N,N-dimethylamino)prop-2-en-1-one. The latter was refluxed with benzamidine hydrochloride and NaOMe in MeOH to give 2-[4-(2-phenyl)pyrimidinyl]-3-pyridinecarboxylic acid. Several I at 1 kg/ha pre- or postemergent gave ≥80% control of specified weed species.

#### MSTR 1



G1 = 109-8 111-2



G10 = NH

G13 = Ph (opt. substd. by 1 or more G14)

G16 = OH

Patent location: claim 1

Note: substitution is restricted

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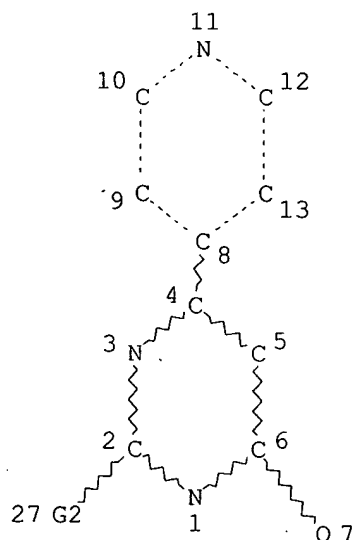
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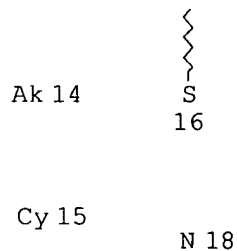
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<http://www.nlm.nih.gov/mesh/>  
[http://www.nlm.nih.gov/pubs/techbull/nd04/nd04\\_mesh.html](http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html)

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary.

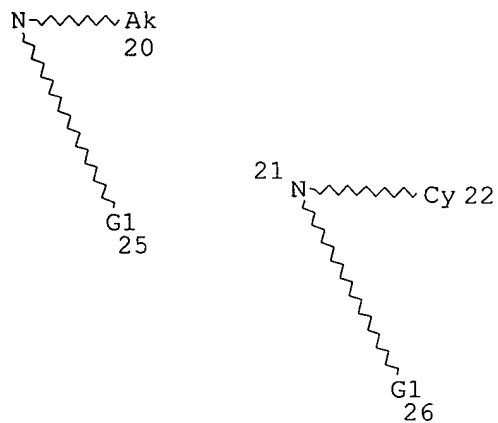
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L1 STR
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19 . . . . .

Page 2-A



Page 3-A

VAR G1=14/15/16/18

VAR G2=19/21/23

NODE ATTRIBUTES:

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|--------|----|----|----|----|
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| NSPEC  | IS | R  | AT | 1  |
| NSPEC  | IS | R  | AT | 2  |
| NSPEC  | IS | R  | AT | 3  |
| NSPEC  | IS | R  | AT | 4  |
| NSPEC  | IS | R  | AT | 5  |
| NSPEC  | IS | R  | AT | 6  |
| NSPEC  | IS | C  | AT | 7  |

NSPEC IS R AT 8  
NSPEC IS R AT 9  
NSPEC IS R AT 10  
NSPEC IS R AT 11  
NSPEC IS R AT 12  
NSPEC IS R AT 13  
NSPEC IS C AT 14  
NSPEC IS C AT 15  
NSPEC IS C AT 16  
NSPEC IS C AT 17  
NSPEC IS C AT 18  
NSPEC IS C AT 19  
NSPEC IS C AT 20  
NSPEC IS C AT 21  
NSPEC IS C AT 22  
NSPEC IS C AT 23  
NSPEC IS C AT 24  
NSPEC IS C AT 25  
NSPEC IS C AT 26  
NSPEC IS C AT 27  
CONNECT IS E2 RC AT 1  
CONNECT IS E3 RC AT 2  
CONNECT IS E3 RC AT 4  
CONNECT IS E2 RC AT 5  
CONNECT IS E3 RC AT 6  
CONNECT IS E1 RC AT 7  
DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 7 14 16 17 18 19 20 21 23  
DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE  
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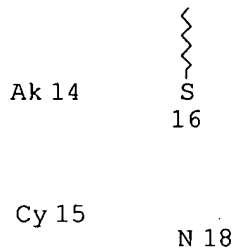
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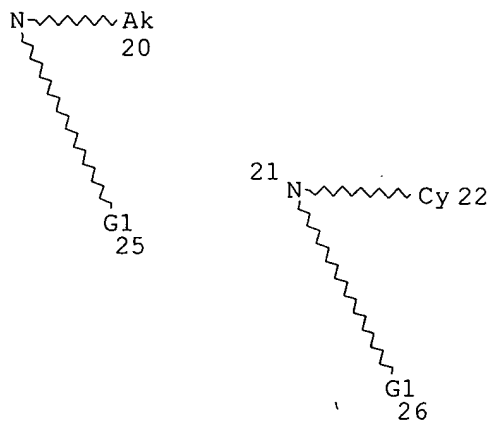
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O  
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19 . . . .

Page 2-A



Page 3-A

VAR G1=14/15/16/18

VAR G2=19/21/23

NODE ATTRIBUTES:

HCOUNT	IS M1	AT	23
NSPEC	IS R	AT	1
NSPEC	IS R	AT	2
NSPEC	IS R	AT	3
NSPEC	IS R	AT	4
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NSPEC   IS R      AT    9
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NSPEC   IS R      AT   12
NSPEC   IS R      AT   13
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CONNECT IS E3  RC AT    2
CONNECT IS E3  RC AT    4
CONNECT IS E2  RC AT    5
CONNECT IS E3  RC AT    6
CONNECT IS E1  RC AT    7
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS AT    7 14 16 17 18 19 20 21 23
DEFAULT ECLEVEL IS LIMITED
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## GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 27

## STEREO ATTRIBUTES: NONE

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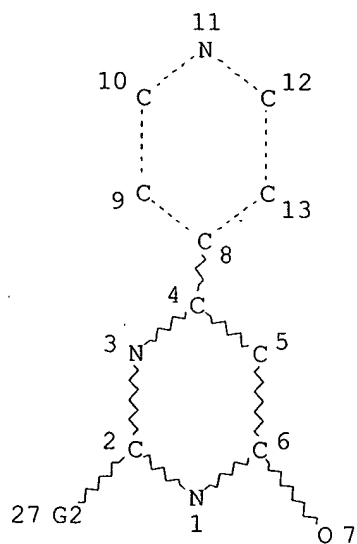
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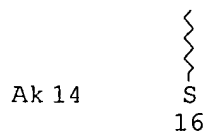
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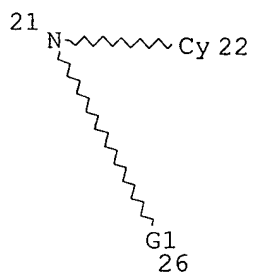
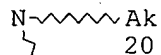
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19 . . . .

Page 2-A



Page 3-A

VAR G1=14/15/16/18

VAR G2=19/21/23

NODE ATTRIBUTES:

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NSPEC	IS	R	AT	1
NSPEC	IS	R	AT	2
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NSPEC	IS	C	AT	7

NSPEC IS R AT 8  
NSPEC IS R AT 9  
NSPEC IS R AT 10  
NSPEC IS R AT 11  
NSPEC IS R AT 12  
NSPEC IS R AT 13  
NSPEC IS C AT 14  
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CONNECT IS E2 RC AT 1  
CONNECT IS E3 RC AT 2  
CONNECT IS E3 RC AT 4  
CONNECT IS E2 RC AT 5  
CONNECT IS E3 RC AT 6  
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DEFAULT MLEVEL IS ATOM  
MLEVEL IS CLASS AT 7 14 16 17 18 19 20 21 23  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
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STEREO ATTRIBUTES: NONE  
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